6/12/05 10/797,497

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* * * * * * * * * * * * * * * * STN Columbus * * * * * * * * * * * * * * * *

1/ Structure Search

FILE 'HOME' ENTERED AT 17:54:07 ON 12 JUN 2005

=> fil reg

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	0.21	0.21

FILE 'REGISTRY' ENTERED AT 17:54:14 ON 12 JUN 2005
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Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 10 JUN 2005 HIGHEST RN 852098-52-3
DICTIONARY FILE UPDATES: 10 JUN 2005 HIGHEST RN 852098-52-3

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH JANUARY 18, 2005

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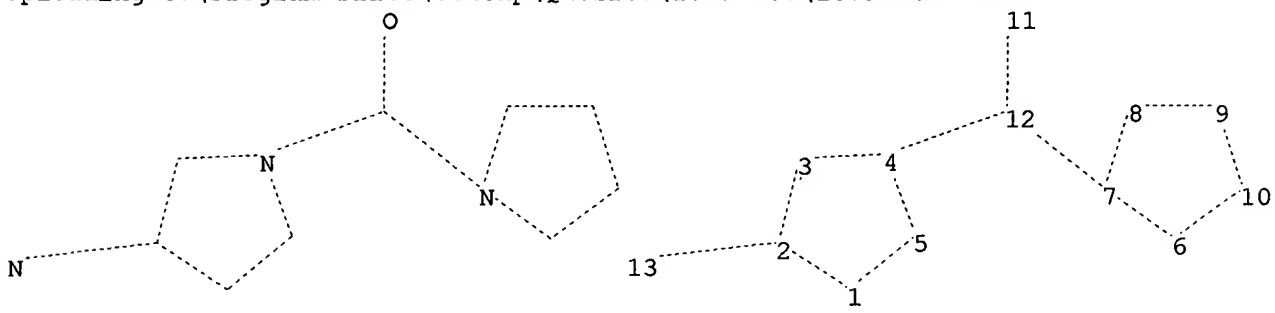
****
* *
* The CA roles and document type information have been removed from *
* the IDE default display format and the ED field has been added, *
* effective March 20, 2005. A new display format, IDERL, is now *
* available and contains the CA role and document type information. *
* *
****

Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. For more information enter HELP PROP at an arrow prompt in the file or refer to the file summary sheet on the web at:
http://www.cas.org/ONLINE/DBSS/registryss.html

=>
Uploading C:\Program Files\Stnexp\Queries\10797487\10797487e.str

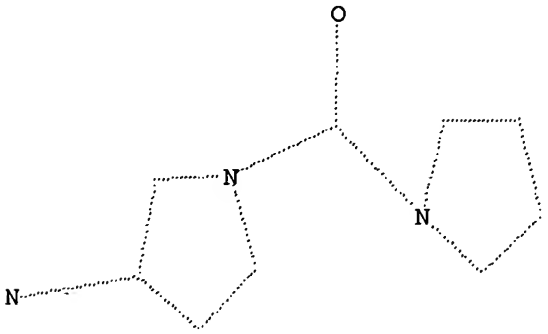


chain nodes :
11 12 13
ring nodes :
1 2 3 4 5 6 7 8 9 10
chain bonds :
2-13 4-12 7-12 11-12
ring bonds :
1-2 1-5 2-3 3-4 4-5 6-7 6-10 7-8 8-9 9-10
exact/norm bonds :
1-2 1-5 2-3 2-13 3-4 4-5 4-12 6-7 6-10 7-8 7-12 8-9 9-10 11-12

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom
11:CLASS 12:CLASS 13:CLASS

L1 STRUCTURE UPLOADED

=> d
L1 HAS NO ANSWERS
L1 STR



Structure attributes must be viewed using STN Express query preparation.

=> s L1
SAMPLE SEARCH INITIATED 17:54:36 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 160 TO ITERATE

100.0% PROCESSED 160 ITERATIONS 19 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 2442 TO 3958
PROJECTED ANSWERS: 119 TO 641

L2 19 SEA SSS SAM L1

=> s L1 full
FULL SEARCH INITIATED 17:54:40 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 2916 TO ITERATE

100.0% PROCESSED 2916 ITERATIONS 464 ANSWERS
SEARCH TIME: 00.00.01

L3 464 SEA SSS FUL L1

=> fil caplus

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	161.33	161.54

FILE 'CAPLUS' ENTERED AT 17:54:43 ON 12 JUN 2005
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FILE COVERS 1907 - 12 Jun 2005 VOL 142 ISS 25
FILE LAST UPDATED: 10 Jun 2005 (20050610/ED)

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This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s L3
L4 5 L3

=> d ibib abs 1-5

L4 ANSWER 1 OF 5 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2004:802720 CAPLUS
DOCUMENT NUMBER: 141:314159
TITLE: Preparation of lactam-containing cyclic diamines and derivatives as factor Xa inhibitors for treating thromboembolic disorders
INVENTOR(S): Qiao, Jennifer X.; Wang, Tammy C.; Wang, Gren Z.
PATENT ASSIGNEE(S): Bristol-Myers Squibb Company, USA
SOURCE: PCT Int. Appl., 260 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004082687	A1	20040930	WO 2004-US8088	20040317

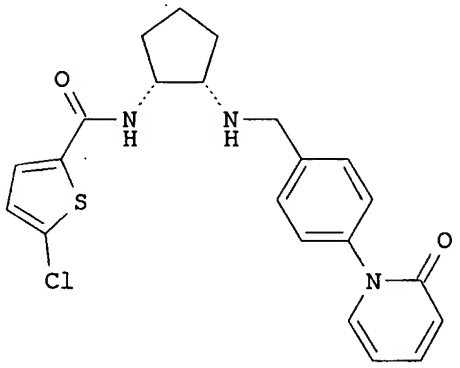
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE,

ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

US 2004204454	A1	20041014	US 2004-801469	20040316
PRIORITY APPLN. INFO.:			US 2003-455733P	P 20030318
			US 2003-508232P	P 20031002
			US 2004-801469	A 20040316

OTHER SOURCE(S): MARPAT 141:314159

GI



I

AB Title compds. of formula G-G1-M-Z-A-B [wherein M = central ring selected from (un)substituted optionally fused cyclopentane, or cyclohexane, (un)substituted tetrahydropyran, piperidine, piperidin-2-one, pyrrolidine, etc,; G = benzofused ring; G1 = (CH2)1-5 and derivs., (un)substituted CH2:CH2, C(:O), NH, NHCO SO2NH, SO2NHCO, all of the above optionally substituted on one or both ends with alkylene groups, etc., with provisos; Z = NHCO, CONH, Z = (CH2)1-5 and derivs., (un)substituted NHCO, CONH, CO, NHC(:S)NH, S, SO, SO2, SONH, SO2NH, all of the above optionally substituted on one or both ends with alkylene groups, etc.; A = (un)substituted carbo- or heeterocycle; B = lactam or sulfam bound to A ring through an optional linking group attached to the N, pharmaceutically acceptable salts] were prepared as inhibitors of trypsin-like serine proteases, specifically factor Xa, for treating thromboembolic disorders. For example, I was prepared by reductive amination of 4-(2-oxo-2H-pyridin-1-yl)benzaldehyde (preparation given) with (1R,2S)-5-Chlorothiophene-2-carboxylic acid (2-aminocyclopentyl)amide in CH2Cl2 in the presence of NaBH(OAc)3/AcOH. Selected invention compds. displayed Ki ≤ 10 μM in a spectrophotometrical assay using purified human factor Xa.

L4 ANSWER 2 OF 5 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER:	2004:780502 CAPLUS
DOCUMENT NUMBER:	141:295848
TITLE:	Preparation of bis(3-aminopyrrolidin-1-yl)methanones as melanin-concentrating hormone receptor antagonists for treatment of obesity and other disorders
INVENTOR(S):	Goodfellow, Val; Rowbottom, Martin; Dyck, Brian P.; Tamiya, Junko; Zhang, Mingzhu; Grey, Jonathan; Vickers, Troy; Kiankarimi, Mehrak; Wade, Warren; Hudson, Sarah Clough
PATENT ASSIGNEE(S):	Neurocrine Biosciences, Inc., USA
SOURCE:	PCT Int. Appl., 86 pp. CODEN: PIXXD2
DOCUMENT TYPE:	Patent
LANGUAGE:	English

FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004080411	A2	20040923	WO 2004-US7260	20040308
WO 2004080411	A3	20041216		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2004259931	A1	20041223	US 2004-797487	20040308
PRIORITY APPLN. INFO.:			US 2003-452709P	P 20030307
OTHER SOURCE(S):	MARPAT 141:295848			

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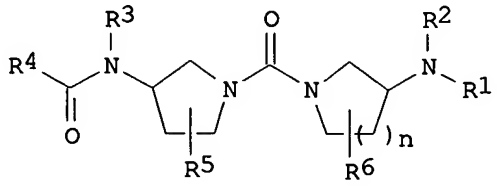

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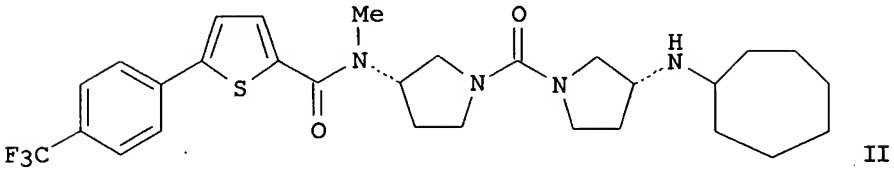

II

AB Title pyrrolidinamines I [wherein n = 0, 1; R1 = H, (un)substituted (aryl)alkyl, heterocyclyl(alkyl); R2 = H, (un)substituted alkyl, COR7, SO2R8; or NR1R2 = (un)substituted heterocyclyl; R3, R5, R6, R8 = independently H, (un)substituted alkyl; R4 = (un)substituted alkyl, (hetero)aryl, heterocyclyl; R7 = independently H, OH, alkoxy, (un)substituted alkyl, aryl, heterocyclyl; R9 = OH, alkoxy, (un)substituted alkyl, aryl; and stereoisomers, prodrugs, or pharmaceutically acceptable salts thereof] were prepared as melanin-concentrating hormone (MCH) receptor antagonists. For example, a 6-step synthesis starting from (R)-3-amino-1-benzylpyrrolidine, 4-nitrophenyl (S)-3-[(tert-butoxycarbonyl)(methyl)amino]pyrrolidine-1-carboxylate, 4-trifluoromethyl-5-phenylthiophene-2-carboxylic acid, and cycloheptanone gave II. Over half of the exemplified invention compds., including II, exhibited the ability to bind to the human [125I]-MCH receptor with Ki values <1 μM. Thus, I and their pharmaceutical compns. are useful for the treatment of MCH receptor-based disorders, such as obesity, anxiety, depression, digestive disorders, fertility, sexual function disorders, and urinary disorders (no data).

L4 ANSWER 3 OF 5 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2003:133040 CAPLUS

DOCUMENT NUMBER: 138:170082
TITLE: Preparation of piperidinylsulfonamides as γ-secretase inhibitors
INVENTOR(S): Josien, Hubert B.; Clader, John W.; Asberom, Theodros; Pissarnitski, Dmitri A.
PATENT ASSIGNEE(S): Schering Corporation, USA
SOURCE: PCT Int. Appl., 90 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003013527	A1	20030220	WO 2002-US24293	20020801
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, HR, HU, ID, IL, IN, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LU, LV, MA, MD, MG, MK, MN, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UZ, VN, YU, ZA, ZM, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2455861	AA	20030220	CA 2002-2455861	20020801
US 2003216380	A1	20031120	US 2002-210803	20020801
EP 1411944	A1	20040428	EP 2002-761207	20020801
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK				
JP 2005504042	T2	20050210	JP 2003-518536	20020801
PRIORITY APPLN. INFO.:			US 2001-310068P	P 20010803
			WO 2002-US24293	W 20020801

OTHER SOURCE(S): MARPAT 138:170082
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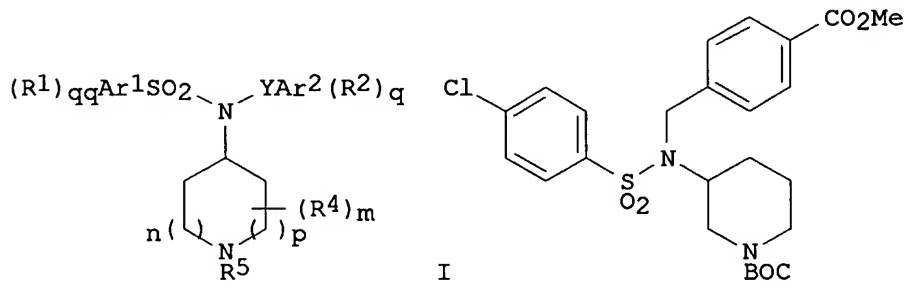

AB Title compds. [I; Ar1, Ar2 = aryl, heteroaryl; Y = bond, [C(R3)2]1-3; R1 = halo, CF3, OCF3, cyano, amino, alkyl, alkylaminocarbonyl, (substituted) aryl, heteroaryl, etc.; R2 = alkyl, halo, CF3, OCF3, cyano, NO2, amino, OH, alkoxycarbonyl, alkylaminocarbonyl, alkoxy, aryloxy, etc.; R3 = H, alkyl; R4 = alkyl, OH, alkoxy; R5 = H, alkyl, aryl, heteroaryl, alkoxyalkylene, alkylcarbonyl, arylcarbonyl, alkoxycarbonyl, alkylsulfonyl, alkylaminosulfonyl, etc.; m, n, p, q, qq = 0-3], were prepared Thus, 3-amino-1-tert-butoxycarbonylpiperidine, Me 4-formylbenzoate, and 4Å mol. sieves were stirred together in MeOH overnight; NaBH4 was added followed by 3 h stirring to give 85% benzylpiperidinylamine derivative This was stirred 2 days with 4-ClC6H4SO2Cl and Et3N in CH2Cl2 to give 77% title compound (II). I inhibited

γ-secretase with IC50 = 0.028-69.550 μM.
REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 4 OF 5 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2002:927188 CAPLUS
DOCUMENT NUMBER: 138:14005
TITLE: Preparation of 5-aralkylsulfonyl-3-(pyrrol-2-ylmethylidene)-2-indolinone derivatives as kinase inhibitors
INVENTOR(S): Cui, Jingrong; Ramphal, Yudhi; Liang, Congxin; Sun, Li; Wei, Chung Chen; Tang, Peng Cho
PATENT ASSIGNEE(S): USA
SOURCE: PCT Int. Appl., 479 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002096361	A2	20021205	WO 2002-US16841	20020530
WO 2002096361	A3	20030313		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2003125370	A1	20030703	US 2002-157007	20020530
US 6599902	B2	20030729		
PRIORITY APPLN. INFO.:			US 2001-294544P	P 20010530
			US 2001-328408P	P 20011010

OTHER SOURCE(S): MARPAT 138:14005
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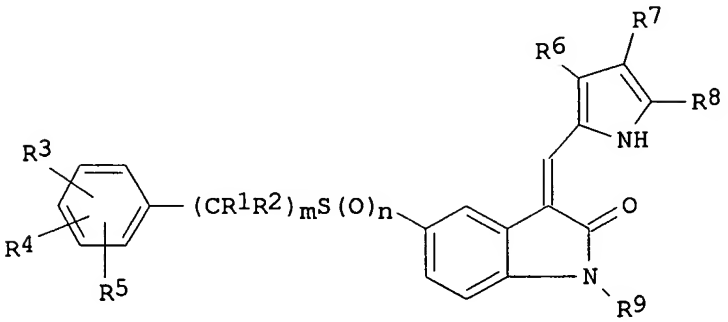


I

AB The present invention relates to certain 5-aralkylsulfonyl-3-(pyrrol-2-ylmethylidene)-2-indolinone derivs. (shown as I; see below for variable definitions; e.g. 2,4-dimethyl-5-(2-oxo-5-phenylmethanesulfonyl-1,2-dihydroindol-(3Z)-ylidenemethyl)-1H-pyrrole-3-carboxylic acid (2-diethylaminoethyl)amide) that inhibit kinases (no data), in particular met kinase. Pharmaceutical compns. comprising these compds., methods of treating diseases mediated by kinases using pharmaceutical compns.

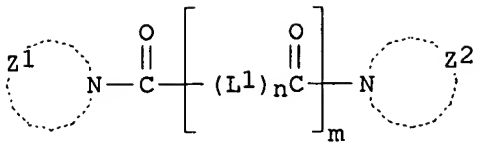
comprising these compds., and methods of preparing them are also disclosed. In I: n = 0-2; m = 1-3; R1 and R2 = H or alkyl; R3, R4, and R5 = H, halo, alkyl, cycloalkyl, haloalkyl, hydroxy, alkoxy, alkoxycarbonyl, haloalkoxy, cyano, carboxy, carboxyalkyl, nitro, aryl, aryloxy, heteroaryl, heteroaryloxy, -(alkylene)-CONR10R11, -CONR10R11, or - NR10R11 (R10 is H or alkyl, and R11 is aryl, heteroaryl, heterocycle, aminoalkyl, alkylaminoalkyl, dialkylaminoalkyl, hydroxyalkyl, acetylalkyl, cyanoalkyl, carboxyalkyl, alkoxycarbonylalkyl, heteroaralkyl, aralkyl, or heterocyclylalkyl wherein the alkyl chain in aminoalkyl, alkylaminoalkyl, dialkylaminoalkyl, aralkyl, heteroaralkyl, or heterocyclylalkyl is optionally substituted with one or two hydroxy, or R10 and R11 together with the N atom to which they are attached combine to form saturated or unsatd. heterocycloamino). R6 is H, alkyl, cycloalkyl, hydroxyalkyl, aminoalkyl, alkylaminoalkyl, dialkylaminoalkyl, carboxyalkyl, heterocyclylalkyl, aryl, heteroaryl, carboxy, alkoxycarbonyl, heterocyclylcarbonyl, aminoalkylcarbonyl, alkylaminoalkylcarbonyl, dialkylaminoalkylcarbonyl, -CONR10R11 or -(alkylene)-CONR10R11. R7 and R8 = H, alkyl, cycloalkyl, heterocyclylalkyl, -COR12, -(alkylene)-COR12 (R12 = alkoxy, hydroxy, or heterocycle, alkylamino, dialkylamino), -SO2R14, -CONR13R14, or -(alkylene)-CONR13R14 (R13 is H or alkyl, and R14 is aminoalkyl, alkylaminoalkyl, dialkylaminoalkyl, hydroxyalkyl, acetylalkyl, cyanoalkyl, carboxyalkyl, alkoxycarbonylalkyl, heteroaralkyl, or heterocyclylalkyl wherein the alkyl chain in aminoalkyl, heteroaralkyl, heteroaralkyl, or heterocyclylalkyl is optionally substituted with one or two hydroxy group(s), or when R13 and R14 are attached to a N atom R13 and R14 together with the N atom to which they are attached form saturated or unsatd. heterocycloamino). R6 and R7 or R7 and R8 can combine to form a saturated or unsatd. 5 to 8 membered ring; and R9 is: H or alkyl; -PO(OR15)2 where each R15 = H or alkyl; -COR16 where R16 is H or alkyl; or -CHR17NR18R19 where R17 is H or alkyl, and R18 and R19 = H or alkyl or R18 and R19 together with the N atom to which they are attached form heterocycloamino. Although the methods of preparation are not claimed, 375 example prepns. of I plus addnl. prepns. of intermediates are included.

L4 ANSWER 5 OF 5 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER:	2001:726586 CAPLUS
DOCUMENT NUMBER:	135:280591
TITLE:	Photothermographic material using binder hardened with specific hardener and its development
INVENTOR(S):	Hanyu, Takeshi; Usakawa, Yasushi
PATENT ASSIGNEE(S):	Konica Co., Japan
SOURCE:	Jpn. Kokai Tokkyo Koho, 28 pp. CODEN: JKXXAF
DOCUMENT TYPE:	Patent
LANGUAGE:	Japanese
FAMILY ACC. NUM. COUNT:	1
PATENT INFORMATION:	

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2001272751	A2	20011005	JP 2000-88777	20000328
PRIORITY APPLN. INFO.:			JP 2000-88777	20000328
OTHER SOURCE(S):	MARPAT 135:280591			

GI



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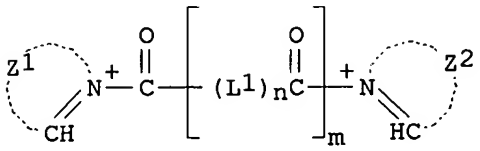

II

AB The material comprises a support having thereon (A) a photosensitive layer containing a photosensitive Ag halide, a reducing agent, and a binder and (B) a protective layer containing a fluorine compound, a matting agent, and a binder, in which the binder of the photosensitive or the protective layer is hardened with the hardener I or II [Z1, Z2 = atoms required to form a (substituted) 5- or 6-membered ring; L1 = bivalent linkage to link Z1 to Z2; m = 0, 1; upon m = 1, n =0, 1]. It is developed at 80-120° by a heated drum or roller on which silicone rubber surface containing an iron oxide having 20-90 hardness (defined by A hardness measured by a durometer) and unevenness with 0.5-8 μm depth and 10-1000 number per/mm.. It shows improved abrasion resistance and improved printout and dirt prevention.

=> log y

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	15.05	176.59

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	-3.65	-3.65

STN INTERNATIONAL LOGOFF AT 17:57:06 ON 12 JUN 2005

6/12/05 10/797,497

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* * * * * * * * * * * * * * STN Columbus * * * * * * * * * * * * * * *

FILE 'HOME' ENTERED AT 18:41:55 ON 12 JUN 2005

=> fil reg
COST IN U.S. DOLLARS SINCE FILE ENTRY TOTAL SESSION

FULL ESTIMATED COST 0.21 0.21

1) broaden search — eliminate 2nd pyrrolidone group

FILE 'REGISTRY' ENTERED AT 18:42:03 ON 12 JUN 2005
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STRUCTURE FILE UPDATES: 10 JUN 2005 HIGHEST RN 852098-52-3
DICTIONARY FILE UPDATES: 10 JUN 2005 HIGHEST RN 852098-52-3

57 hits

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TSCA INFORMATION NOW CURRENT THROUGH JANUARY 18, 2005

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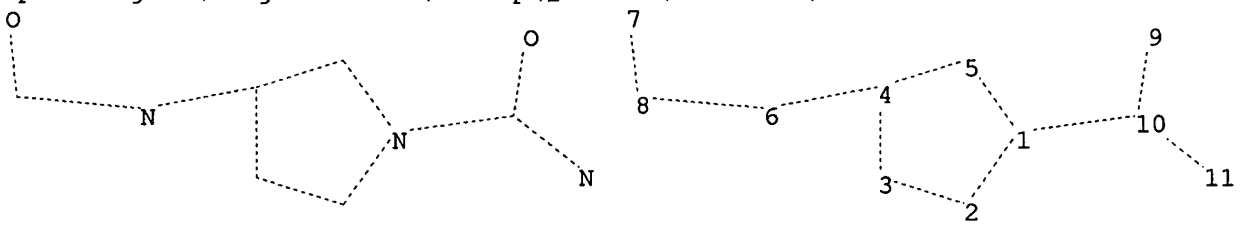
* *
* The CA roles and document type information have been removed from *
* the IDE default display format and the ED field has been added, *
* effective March 20, 2005. A new display format, IDERL, is now *
* available and contains the CA role and document type information. *
* *
****

Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. For more information enter HELP PROP at an arrow prompt in the file or refer to the file summary sheet on the web at:
http://www.cas.org/ONLINE/DBSS/registryss.html

=>
Uploading C:\Program Files\Stnexp\Queries\10797487\10797487f.str



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6 7 8 9 10 11
ring nodes :

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1  2  3  4  5
chain bonds :
1-10  4-6  6-8  7-8  9-10  10-11
ring bonds :
1-2  1-5  2-3  3-4  4-5
exact/norm bonds :
1-2  1-5  1-10  2-3  3-4  4-5  4-6  6-8  7-8  9-10  10-11


Match level :
1:Atom  2:Atom  3:Atom  4:Atom  5:Atom  6:CLASS  7:CLASS  8:CLASS  9:CLASS
10:CLASS  11:CLASS



L1      STRUCTURE UPLOADED

=> d
L1 HAS NO ANSWERS
L1              STR
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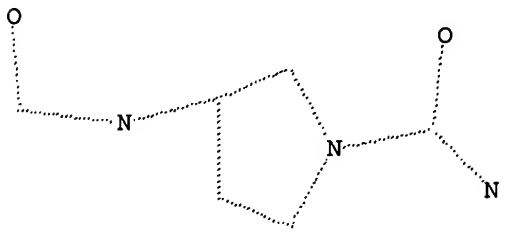


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Structure attributes must be viewed using STN Express query preparation.


=> s L1
SAMPLE SEARCH INITIATED 18:42:23 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED -    100 TO ITERATE

100.0% PROCESSED     100 ITERATIONS                              42 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:  ONLINE  **COMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS:         1401 TO     2599
PROJECTED ANSWERS:             452 TO     1228

L2           42 SEA SSS SAM L1

=> s L1 full
FULL SEARCH INITIATED 18:42:26 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED -   2007 TO ITERATE

100.0% PROCESSED    2007 ITERATIONS                             974 ANSWERS
SEARCH TIME: 00.00.01

L3           974 SEA SSS FUL L1

=> fil caplus
COST IN U.S. DOLLARS                                SINCE FILE      TOTAL
                                                       ENTRY    SESSION
FULL ESTIMATED COST                                   161.33     161.54
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FILE 'CAPLUS' ENTERED AT 18:42:30 ON 12 JUN 2005
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

FILE COVERS 1907 - 12 Jun 2005 VOL 142 ISS 25
FILE LAST UPDATED: 10 Jun 2005 (20050610/ED)

New CAS Information Use Policies, enter HELP USAGETERMS for details.

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s L3
L4 51 L3

=> d L4 1-51 ibib abs fhitstr

L4 ANSWER 1 OF 51 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2005:409526 CAPLUS
DOCUMENT NUMBER: 142:463710
TITLE: Preparation of thieno[2,3-b]pyridinone derivatives as kinase, especially p38 MAP kinase, inhibitors useful in the treatment of and/or prevention of immune or inflammatory disorders
INVENTOR(S): Alexander, Rikki Peter; Davis, Jeremy Martin; Hutchings, Martin Clive; Laing, Victoria Elizabeth; Trevitt, Graham Peter
PATENT ASSIGNEE(S): Celltech R & D Limited, UK
SOURCE: PCT Int. Appl., 181 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005042540	A1	20050512	WO 2004-GB4490	20041022

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: GB 2003-24902 A 20031024
GB 2003-29490 A 20031219

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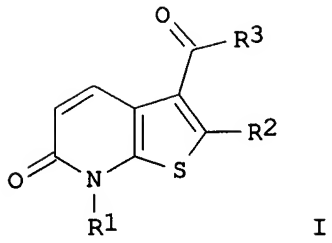

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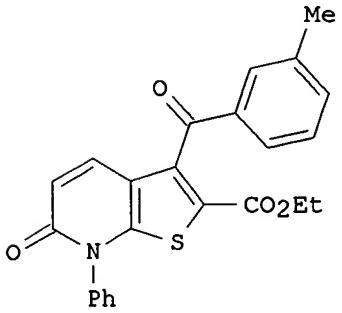


II

AB Title compds. I [wherein R1 = (un)substituted (C3-7 cycloalkyl)methyl, hetero/aryl; R2 = H, NO2, CN, CO2H and derivs., NH2 and derivs., etc.; R3 = (un)substituted hetero/aryl; and their pharmaceutically acceptable salts] were prepared as p38 MAP kinase inhibitors for treating and/or preventing immune or inflammatory disorders. For example, II was prepared by reacting Et 3-bromo-6-oxo-7-phenyl-6,7-dihydrothieno[2,3-b]pyridine-2-carboxylate (preparation given) with 3-methylbenzaldehyde and oxidation with MnO2.

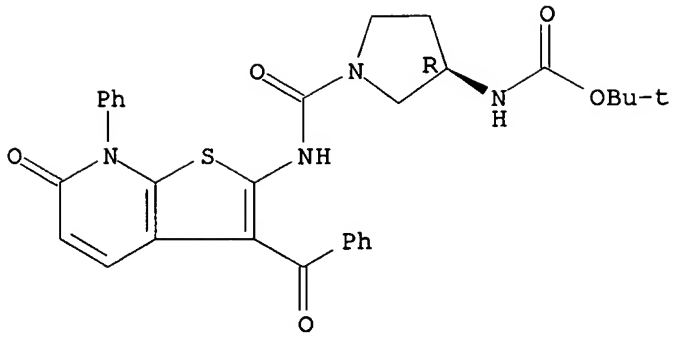
I are potent inhibitors of p38 MAP kinase (IC50 around 2 μM and below), especially p38α kinase.

IT **851749-11-6P**, tert-Butyl [(3R)-1-[[(3-benzoyl-6-oxo-7-phenyl-6,7-dihydrothieno[2,3-b]pyridin-2-yl)amino]carbonyl]pyrrolidin-3-yl]carbamate
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of thienopyridinones as p38 MAP kinase inhibitors useful in the treatment of and/or prevention of immune or inflammatory disorders)

RN 851749-11-6 CAPLUS

CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 2 OF 51 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2005:324003 CAPLUS
DOCUMENT NUMBER: 142:373692
TITLE: A preparation of pyrrolidine and piperidine derivatives, useful as factor Xa inhibitors
INVENTOR(S): Shi, Yan; Stein, Philip D.; Han, Wei; Gungor, Timur
PATENT ASSIGNEE(S): Bristol-Myers Squibb Company, USA
SOURCE: PCT Int. Appl., 138 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005032472	A2	20050414	WO 2004-US32010	20040929
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2005119266	A1	20050602	US 2004-952204	20040928
PRIORITY APPLN. INFO.:			US 2003-507533P	P 20031001
			US 2004-952204	A 20040928

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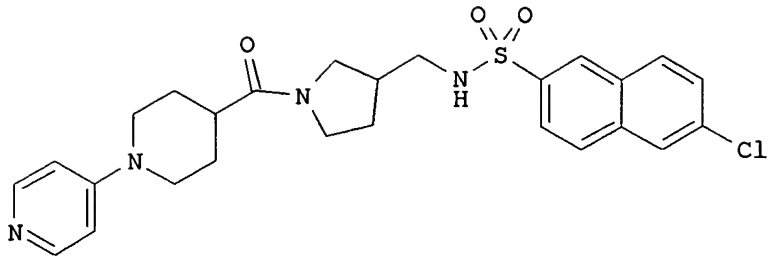

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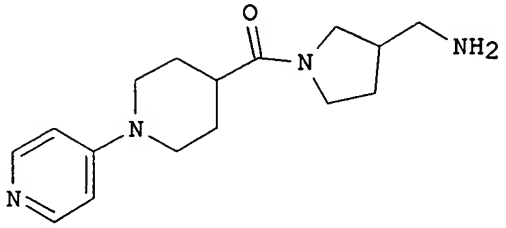


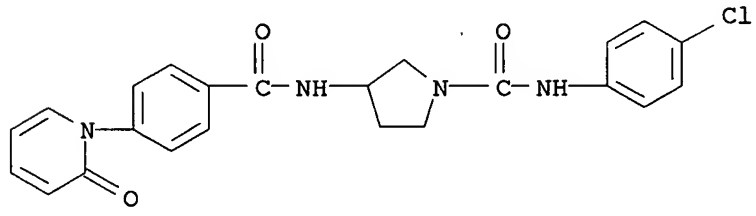
II

AB The invention relates to a preparation of pyrrolidine and piperidine derivs., useful as factor Xa inhibitors (anticoagulants). The invention compds. are useful as inhibitors of trypsin-like serine proteases, specifically factor Xa. For instance, naphthalenesulfonic acid amide derivative I was prepared via amidation of 6-chloronaphthalene-2-sulfonyl chloride by (aminomethyl)pyrrolidine derivative II with a yield of 10%. Preferred compds. of the invention were found to exhibit Ki values of ≤1 μM.

IT **849633-65-4P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of pyrrolidine and piperidine derivs. useful as factor Xa inhibitors)

RN 849633-65-4 CAPLUS

CN 1-Pyrrolidinecarboxamide, N-(4-chlorophenyl)-3-[[4-(2-oxo-1(2H)-pyridinyl)benzoyl]amino]- (9CI) (CA INDEX NAME)



L4 ANSWER 3 OF 51 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2005:86407 CAPLUS

DOCUMENT NUMBER: 142:336202

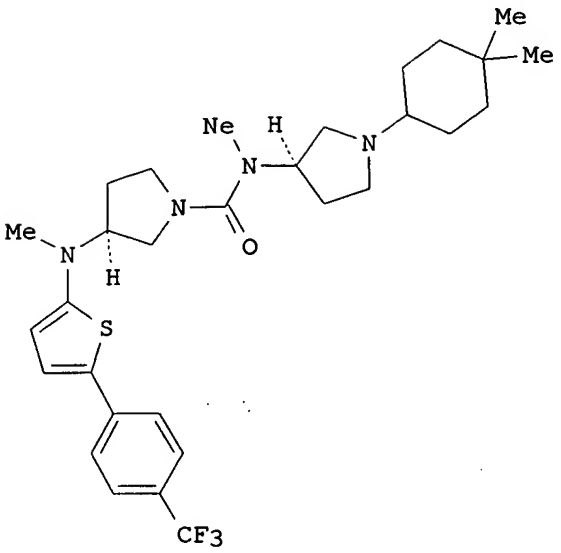
TITLE: Bis(aminopyrrolidine)-derived ureas (APUs) as potent MCH1 receptor antagonists

AUTHOR(S): Grey, Jonathan; Dyck, Brian; Rowbottom, Martin W.; Tamiya, Junko; Vickers, Troy D.; Zhang, Mingzhu; Zhao, Liren; Heise, Christopher E.; Schwarz, David; Saunders, John; Goodfellow, Val S.

CORPORATE SOURCE: Departments of Medicinal Chemistry, Pharmacology and Molecular Biology, Neurocrine Biosciences Inc., San Diego, CA, 92130, USA

SOURCE: Bioorganic & Medicinal Chemistry Letters (2005),

15(4), 999-1004
CODEN: BMCLE8; ISSN: 0960-894X
PUBLISHER: Elsevier B.V.
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



I

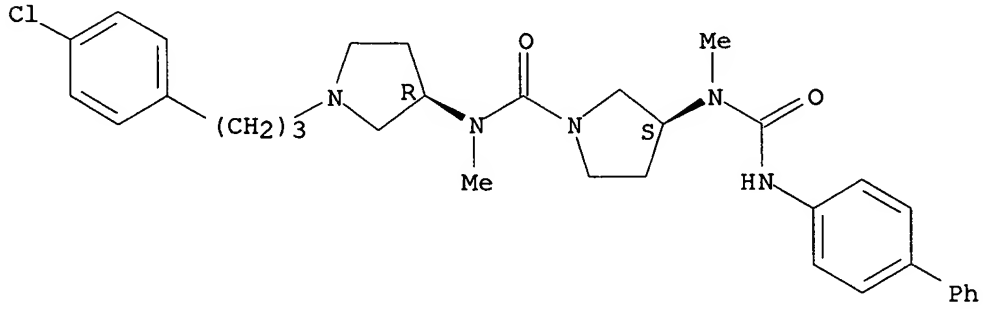
AB Ureas, e.g., I, derived from two substituted 3-aminopyrrolidine subunits were prepared as constrained analogs of a linear lead compound and tested as antagonists of the MCH1 receptor. The series was optimized for substitution and stereochem. to generate a functional antagonist with a Ki of 3.3 nM and IC50 of 12 nM (GTPγS).

IT **762279-00-5P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation and MCH1 receptor binding affinity of bis(aminopyrrolidine)-derived ureas starting from aminopyrrolidines, aldehydes, ketones, and acid chlorides)

RN 762279-00-5 CAPLUS

CN 1-Pyrrolidinecarboxamide, 3-[[([1,1'-biphenyl]-4-ylamino)carbonyl]methylamino]-N-[(3R)-1-[3-(4-chlorophenyl)propyl]-3-pyrrolidinyl]-N-methyl-, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



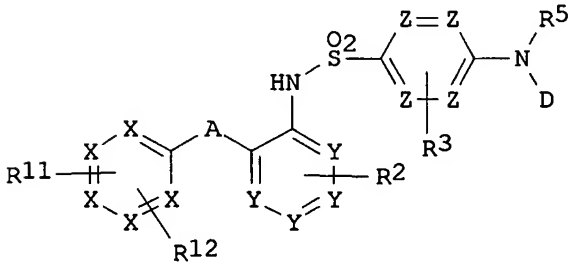
REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 4 OF 51 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2005:55027 CAPLUS
DOCUMENT NUMBER: 142:155671
TITLE: Preparation of arylsulfonamides for treating pain and inflammation associated with the bradykinin B1 pathway
INVENTOR(S): Anthony, Neville J.; Lim, John Jin; Su, Dai-Shi; Wood, Michael R.
PATENT ASSIGNEE(S): Merck & Co., Inc., USA
SOURCE: PCT Int. Appl., 61 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005004810	A2	20050120	WO 2004-US21018	20040630

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 2003-484498P P 20030702
OTHER SOURCE(S): MARPAT 142:155671
GI



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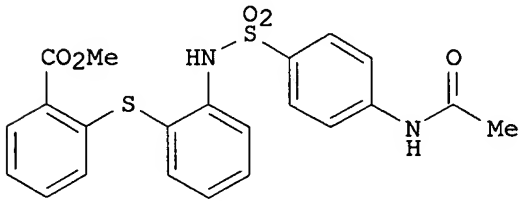


II

AB The title compds. I [A = O, CO, S, N5, CRbRc; D = COR4, (un)substituted CONH2, SO2NH2, ester group; X, Y, Z = N, C; with the proviso that 0-3 X, 0-3 Y and 0-3 Z are ring N atoms; R11, R12 = H, halo, alkyl, etc.; R2, R3

= H, halo, CN, NO2, etc.; R4 = H, alkyl, cycloalkyl, etc.; R5 = H, alkyl, arylalkyl, etc.; Rb, Rc = H, halo, alkyl, haloalkyl; with the proviso] which are bradykinin B1 antagonists or inverse agonists useful in the treatment or prevention of symptoms such as pain and inflammation associated with the bradykinin B1 pathway (no data), were prepared and formulated. E.g., a 3-step synthesis of II, starting from Me 2-mercaptobenzoate and 1-fluoro-2-nitrobenzene, was given.

IT **827575-99-5P**

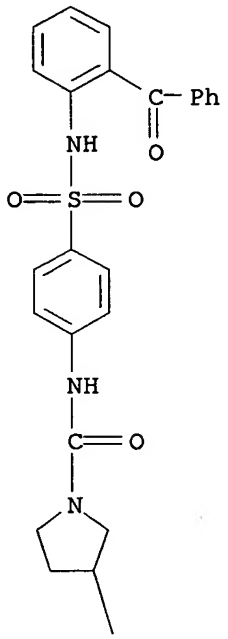
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of arylsulfonamides for treating pain and inflammation associated with the bradykinin B1 pathway)

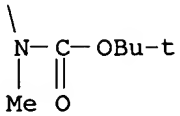
RN 827575-99-5 CAPLUS

CN Carbamic acid, [1-[[[4-[[(2-benzoylphenyl)amino]sulfonyl]phenyl]amino]carbonyl]-3-pyrrolidinyl]methyl-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 2-A



L4 ANSWER 5 OF 51 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2004:1127331 CAPLUS

DOCUMENT NUMBER: 142:93683

TITLE: Preparation of pyrrolidines and piperidines as NK1 antagonist

INVENTOR(S): Wager, Travis T.; Welch, Willard Mckowan, Jr.;

	O'Neill, Brian Thomas
PATENT ASSIGNEE(S):	Pfizer Products Inc., USA
SOURCE:	PCT Int. Appl., 119 pp.
	CODEN: PIXXD2
DOCUMENT TYPE:	Patent
LANGUAGE:	English
FAMILY ACC. NUM. COUNT:	1
PATENT INFORMATION:	

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
WO 2004110996	A1	20041223	WO 2004-IB1910		20040607
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW					
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG					
US 2005043354	A1	20050224	US 2004-868919		20040615
PRIORITY APPLN. INFO.:			US 2003-479901P	P	20030619
OTHER SOURCE(S):	MARPAT 142:93683				
GI					

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Tilte compds. I [wherein A (CH2)a; B = (CH2)m; D = (NR3CR4R5)n; E = (CH2)p; m, n = independently 0-1; p, a = independently 0-3; R1, R2 = independently alkyl, alkoxy, CF3, OCF3, halo; R3 = halo, alkyl; R4 = H, alk(en)yl, cycloalkyl, or R3NCR4 = 5-6-membered heterocyclic ring; R5 = H, alkyl, or R4CR5 = cycloalkyl; R6, R7 = independently H, halo, alkyl; R9, R10 = independently H, alkyl, or when m = 1, then R10 and R8 together with R9and the C atoms to which they are resp. attached may form a 8-14-membered heterobicyclic ring; R11 = H, R11CCR9 = cycloalkyl, or when m = 0 and R10 = H, R9CCR11 = 5-7-membered heterocyclic ring; R8 = H, acyl, alkyl, (un)substituted piperazin-1-yl, etc.; and their pharmaceutically acceptable salts and solvates, including their (R)/(S) enantiomers and cis/trans isomers] were prepared as neurokinin inhibitors, in particular NK1 antagonists. For example, reductive amination of 2-benzyl-3-formylpiperidine-1-carboxylic acid tert-Bu ester (preparation given) with 1-(piperazin-1-yl)ethanone, BOC-deprotection, coupling with 3,5-bis(trifluoromethyl)benzoyl chloride, and chiral chromatog. afforded the individual enantiomers of II. In an assay of NK1 binding, I displayed Ki of about 1 μM or less. I are useful for treating neurokinin-mediated conditions.

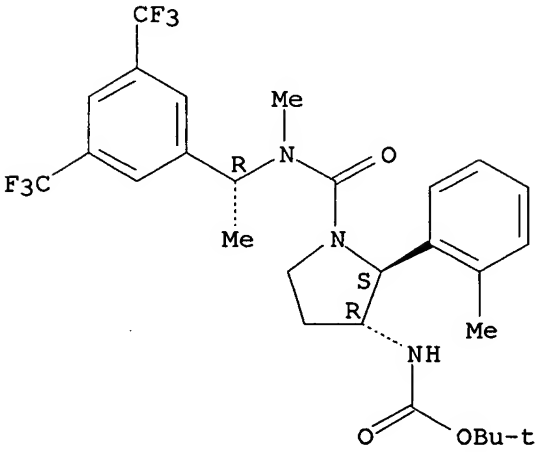
IT **815630-28-5P**, [(1R)-1-[[1-[3,5-Bis(trifluoromethyl)phenyl]ethyl]methylcarbamoyl]-(2S)-2-(o-tolyl)pyrrolidin-(3R)-3-yl]carbamic acid tert-butyl ester
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(NK1 antagonist; preparation of pyrrolidines and piperidines as NK1 antagonist)

RN 815630-28-5 CAPLUS

CN Carbamic acid, [(2S,3R)-1-[[[(1R)-1-[3,5-bis(trifluoromethyl)phenyl]ethyl]methylamino]carbonyl]-2-(2-methylphenyl)-3-pyrrolidinyl]-,

1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



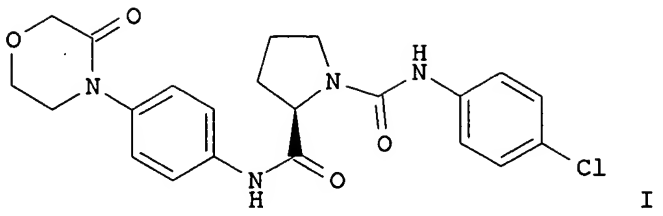
REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 6 OF 51 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2004:857551 CAPLUS
DOCUMENT NUMBER: 141:350179
TITLE: Preparation of azolidinedicarboxamides and related compounds as Factor Xa and Factor VIIa inhibitors
INVENTOR(S): Tsaklakidis, Christos; Dorsch, Dieter; Mederski, Werner; Cezanne, Bertram; Gleitz, Johannes
PATENT ASSIGNEE(S): Merck Patent GmbH, Germany
SOURCE: PCT Int. Appl., 162 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 5
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004087646	A2	20041014	WO 2004-EP2350	20040308
WO 2004087646	A3	20050106		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
DE 10315377	A1	20041014	DE 2003-10315377	20030403
DE 10329295	A1	20050203	DE 2003-10329295	20030630

PRIORITY APPLN. INFO.:
DE 2003-10315377 A 20030403
DE 2003-10329295 A 20030630
US 2003-483897P P 20030702

OTHER SOURCE(S): MARPAT 141:350179
GI



I

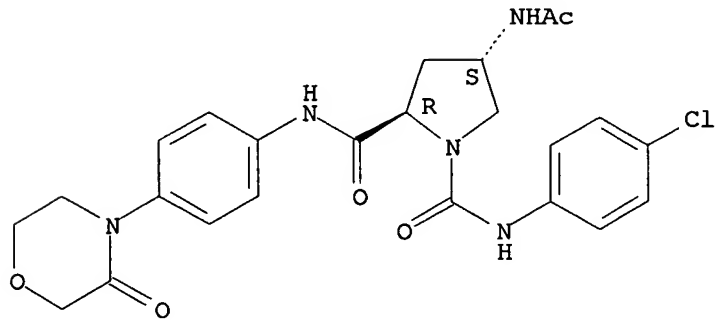
AB R1R2(TYX)EWCOGD [R1, R2 = H, O, halo, A, ethynyl, OR3, N(R3)2, NO2, cyano, N3, CO2R3, CON(R3)2, etc.; R3 = H, A, HC.tplbond.CCH2, MeC.tplbond.CCH2, CH2CH(OH)CH2OH, etc.; R4 = H, A; W = N, C, CR3; E = atoms to form a 3-7 membered (heterocyclic) ring optionally containing a double bond; D = mono- or dinuclear (substituted) (hetero)aryl; G = [C(R4)2]n, [C(R4)2]nNR3, [C(R4)2]nO, [C(R4)2]nS, etc.; n = 0-2; X = [C(R4)2]nCO[C(R4)2]n, [C(R4)2]n,NR3[C(R4)2]n, [C(R4)2]nNR3CO[C(R4)2]n, etc.; Y = alkylene, cycloalkylene, heterocyclylene, arenediyl; T = substituted mono- or dinuclear carbocyclyl, heterocyclyl; A = (fluoro-substituted) alkyl optionally interrupted by O, S, CH:CH], were prepared Thus, title compound (I) [preparation from 4-(4-aminophenyl)morpholin-3-one, Boc-D-proline, and 4-chlorophenyl isocyanate given] bound to Factor Xa receptors with IC50 = 1.8 + 10-8 M.

IT **773889-10-4P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of azolidinedicarboxamides and related compds. as Factor Xa and Factor VIIa inhibitors)

RN 773889-10-4 CAPLUS

CN 1,2-Pyrrolidinedicarboxamide, 4-(acetylamino)-N1-(4-chlorophenyl)-N2-[4-(3-oxo-4-morpholinyl)phenyl]-, (2R,4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L4 ANSWER 7 OF 51 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER:	2004:841766 CAPLUS
DOCUMENT NUMBER:	141:332202
TITLE:	Preparation of azolidinecarboxamides as antithrombotics and anticancer drugs.
INVENTOR(S):	Tsaklakidis, Christos; Dorsch, Dieter; Mederski, Werner; Cezanne, Bertram; Gleitz, Johannes
PATENT ASSIGNEE(S):	Merck Patent GmbH, Germany
SOURCE:	Ger. Offen., 47 pp. CODEN: GWXXBX
DOCUMENT TYPE:	Patent
LANGUAGE:	German
FAMILY ACC. NUM. COUNT:	5

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 10315377	A1	20041014	DE 2003-10315377	20030403
WO 2004087646	A2	20041014	WO 2004-EP2350	20040308
WO 2004087646	A3	20050106		

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RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

WO 2004087695	A1	20041014	WO 2004-EP2405	20040309

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WO 2004087696	A1	20041014	WO 2004-EP2407	20040309

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RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.:	DE 2003-10315377	A	20030403
	DE 2003-10327428	A	20030618
	DE 2003-10329295	A	20030630
	DE 2003-10329457	A	20030701
	US 2003-483897P	P	20030702
	DE 2003-10334174	A	20030726
	DE 2003-10336570	A	20030808

OTHER SOURCE(S): MARPAT 141:332202

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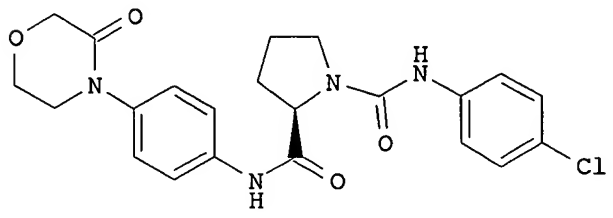


I

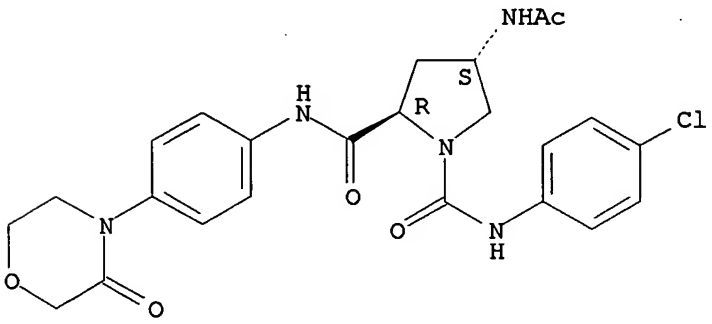
AB R1R2(TYX)EWCOGD [R1, R2 = H, O, halo, A, ethynyl, OR3, NO2, cyano, N3, CO2R3, CON(R3)2, NR3COA, NR3SO2A, etc.; R1R2 = toms to form a bicyclic or spirocyclic (heterocyclic) ring; R3 = H, A, etc.; R4 = H, A; W = N, CR3, C; E = atoms to form a 3-7 membered (double bond containing) (heterocyclic) ring with W; G = [C(R4)2]n, [C(R4)2]nNR3, [C(R4)2]nO, [C(R4)2]nS; X = [C(R4)2]nCONR3[C(R4)2]n, [C(R4)2]nON[C(R4)2]n, etc.; Y = alkylene, cycloalkylene, (substituted) heterocyclylene, arylene; T = mono- or bicyclic substituted (unsatd.) (hetero)cyclyl; A = (fluoro-substituted) alkylene optionally interrupted by O, S, CH:CH; n = 0-2], were prepared Thus, title compound (I) (prepared from 4-(4-aminophenyl)morpholin-3-one, Boc-D-proline, and 4-chlorophenyl isocyanate), bound to Factor Xa receptors with IC50 = 1.8 + 10-8 M.

IT **773889-10-4P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(claimed compound; preparation of azolidinecarboxamides as antithrombotics and
anticancer drugs)

RN 773889-10-4 CAPLUS

CN 1,2-Pyrrolidinedicarboxamide, 4-(acetylamino)-N1-(4-chlorophenyl)-N2-[4-(3-oxo-4-morpholinyl)phenyl]-, (2R,4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L4 ANSWER 8 OF 51 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2004:802720 CAPLUS

DOCUMENT NUMBER: 141:314159

TITLE: Preparation of lactam-containing cyclic diamines and derivatives as factor Xa inhibitors for treating thromboembolic disorders

INVENTOR(S): Qiao, Jennifer X.; Wang, Tammy C.; Wang, Gren Z.

PATENT ASSIGNEE(S): Bristol-Myers Squibb Company, USA

SOURCE: PCT Int. Appl., 260 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004082687	A1	20040930	WO 2004-US8088	20040317

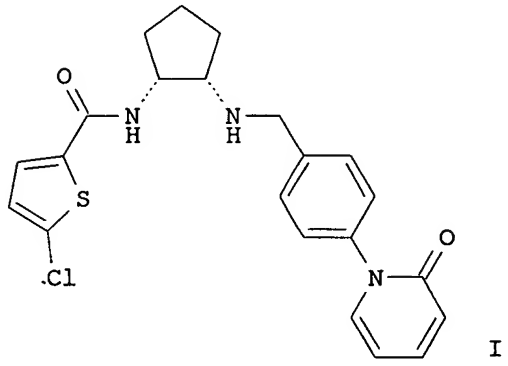
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW

RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

US 2004204454	A1	20041014	US 2004-801469	20040316
PRIORITY APPLN. INFO.:			US 2003-455733P	P 20030318
			US 2003-508232P	P 20031002
			US 2004-801469	A 20040316

OTHER SOURCE(S): MARPAT 141:314159

GI



I

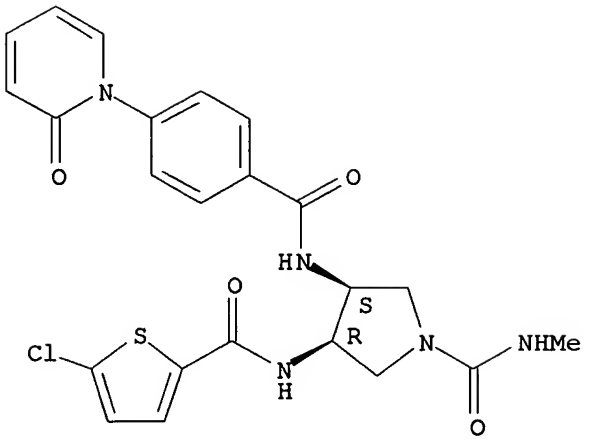
AB Title compds. of formula G-G1-M-Z-A-B [wherein M = central ring selected from (un)substituted optionally fused cyclopentane, or cyclohexane, (un)substituted tetrahydropyran, piperidine, piperidin-2-one, pyrrolidine, etc,; G = benzofused ring; G1 = (CH2)1-5 and derivs., (un)substituted CH2:CH2, C(:O), NH, NHCO SO2NH, SO2NHCO, all of the above optionally substituted on one or both ends with alkylene groups, etc., with provisos; Z = NHCO, CONH, Z = (CH2)1-5 and derivs., (un)substituted NHCO, CONH, CO, NHC(:S)NH, S, SO, SO2, SONH, SO2NH, all of the above optionally substituted on one or both ends with alkylene groups, etc.; A = (un)substituted carbo- or heeterocycle; B = lactam or sulfam bound to A ring through an optional linking group attached to the N, pharmaceutically acceptable salts] were prepared as inhibitors of trypsin-like serine proteases, specifically factor Xa, for treating thromboembolic disorders. For example, I was prepared by reductive amination of 4-(2-oxo-2H-pyridin-1-yl)benzaldehyde (preparation given) with (1R,2S)-5-Chlorothiophene-2-carboxylic acid (2-aminocyclopentyl)amide in CH2Cl2 in the presence of NaBH(OAc)3/AcOH. Selected invention compds. displayed Ki ≤ 10 μM in a spectrophotometrical assay using purified human factor Xa.

IT **766553-66-6P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(factor Xa inhibitor; preparation of lactam-containing cyclic diamines and derivs. as factor Xa inhibitors for treating thromboembolic disorders)

RN 766553-66-6 CAPLUS

CN 1-Pyrrolidinecarboxamide, 3-[[(5-chloro-2-thienyl)carbonyl]amino]-N-methyl-4-[[4-(2-oxo-1(2H)-pyridinyl)benzoyl]amino]-, (3R,4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L4 ANSWER 9 OF 51 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2004:780696 CAPLUS
DOCUMENT NUMBER: 141:295849
TITLE: Preparation of carboxamidopyrrolidines as melanin-concentrating hormone receptor antagonists and compositions and methods related thereto
INVENTOR(S): Goodfellow, Val; Rowbottom, Martin; Dyck, Brian P.; Tamiya, Junko; Zhang, Mingzhu; Grey, Jonathan; Vickers, Troy D.
PATENT ASSIGNEE(S): Neurocrine Biosciences, Inc., USA
SOURCE: PCT Int. Appl., 180 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004081005	A1	20040923	WO 2004-US7259	20040308

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 2003-452776P P 20030307
US 2003-518265P P 20031107
OTHER SOURCE(S): MARPAT 141:295849
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. I [m = 0 or 1; n = 1 or 2; X = -CH2-, or -N(R6)-; R1 = H, (un)substituted-alkyl, -aryl, -arylalkyl, etc.; R2 and R5 independently = H, (un)substituted alkyl; R3 = H, (un)substituted-alkyl, -arylalkyl,

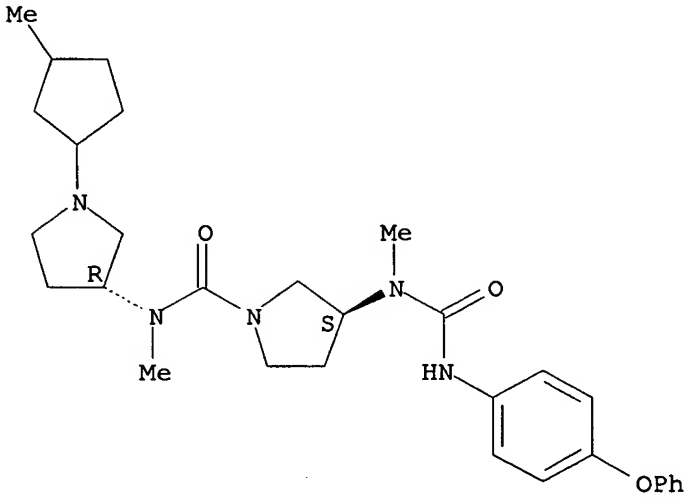
-heteroarylalkyl; R4 = (un)substituted-alkyl, -aryl, -heterocycle; R6 = H or (un)substituted alkyl] and their pharmaceutically acceptable salt, are disclosed as melanin-concentrating hormone (MCH) receptor antagonists having utility for the treatment of MCH receptor-based disorders such as obesity. Thus, e.g., II was prepared via amidation of of III (preparation given) with benzoyl chloride. Methods for evaluation of compds. are described (no data). Also disclosed are compns. containing a compound of this invention, as well as methods relating to the use thereof.

IT **762279-42-5P**
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(drug candidate; preparation of carboxamidopyrrolidine derivs. as melanin-concentrating hormone receptor antagonists)

RN 762279-42-5 CAPLUS

CN 1-Pyrrolidinecarboxamide, N-methyl-N-[(3R)-1-(3-methylcyclopentyl)-3-pyrrolidinyl]-3-[methyl[[(4-phenoxyphenyl)amino]carbonyl]amino]-, (3S)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 10 OF 51 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER:	2004:610055 CAPLUS
DOCUMENT NUMBER:	141:157473
TITLE:	Preparation of amino acid derivatives as antibacterial agents
INVENTOR(S):	Anderson, Neils H.; Bowman, Jason; Erwin, Alice; Harwood, Eric; Kline, Toni; Mdluli, Khisimuzi; Ng, Simon; Pfister, Keith B.; Shawar, Ribhi; Wagman, Allan; Yabannavar, Asha
PATENT ASSIGNEE(S):	Chiron Corporation, USA
SOURCE:	PCT Int. Appl., 324 pp. CODEN: PIXXD2
DOCUMENT TYPE:	Patent
LANGUAGE:	English
FAMILY ACC. NUM. COUNT:	1
PATENT INFORMATION:	

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

WO 2004062601 A2 20040729 WO 2004-US433 20040108
WO 2004062601 A3 20050421
W: AE, AE, AG, AL, AL, AM, AM, AM, AT, AT, AU, AU, AZ, AZ, BA, BB, BG, BG, BR, BR, BW, BY, BY, BZ, BZ, CA, CH, CN, CN, CO, CO, CR, CR, CU, CU, CZ, CZ, DE, DE, DK, DK, DM, DZ, EC, EC, EE, EE, EG, ES, ES, FI, FI, GB, GD, GE, GE, GH, GH, GH, GM, HR, HR, HU, HU, ID, IL, IN, IS, JP, JP, KE, KE, KG, KG, KP, KP, KP, KR, KR, KZ, KZ, KZ, LC, LK, LR, LS, LS, LT, LU, LV, MA, MD, MD, MG, MK, MN, MW, MX, MX, MZ
US 2004229955 A1 20041118 US 2004-754928 20040108
PRIORITY APPLN. INFO.: US 2003-438523P P 20030108
US 2003-466974P P 20030430
US 2003-520211P P 20031113
OTHER SOURCE(S): MARPAT 141:157473
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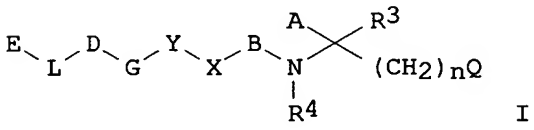

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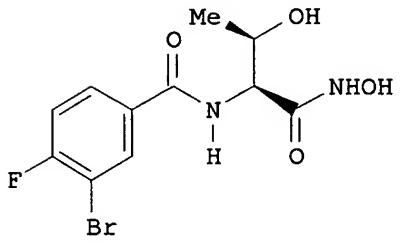
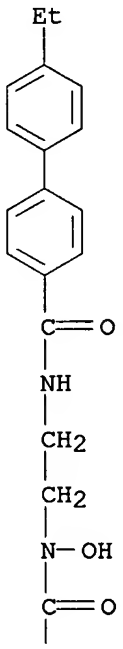


II

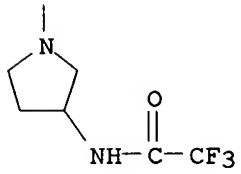
AB Title compds. I [E = absent or H, (un)substituted-alkyl, -alkenyl, -aryl, etc.; L = absent or CONH, NHCO, (un)substituted alkyl, etc.; D = absent or (un)substituted-cycloalkyl, -aryl, -heterocyclyl or -heteroaryl; G = absent or alkene, alkyne, CO, etc.; Y = (un)substituted-cycloalkyl, -aryl, -heterocyclyl or -heteroaryl; X = CO, alkylcarbonyl, alkenylcarbonyl, alkynylcarbonyl, methylene, or when B is absent X and A together form heterocyclic ring; B = absent or substituted aminoalkylcarbonyl; R3 = H or (un)substituted alkyl, or R3 and A together form a cycloalkyl or heterocyclic ring; R4 = H or (un)substituted alkyl, or R4 and A together form a heterocyclic ring; n = 0-2; A = H, acetylene, alkyl, etc.; Q = absent or substituted amide, SH, SO2NH2, CO2H, etc.] are disclosed: As well as stereoisomers, pharmaceutically acceptable salts, esters, and prodrugs thereof; pharmaceutical compns. comprising such compds.; methods of treating bacterial infections by the administration of such compds.; and processes for the preparation of the compds. Thus, e.g., II was prepared via
amidation of 3-bromo-4-fluorobenzoic acid with L-threonine Me ester hydrochloride followed by substitution with hydroxylamine hydrochloride. This invention pertains generally to treating infections caused by gram-neg. bacteria. More specifically, the invention described pertains to treating gram-neg. infections by inhibiting activity of UDP-3-O-(R-3-hydroxydecanoyl)-N-acetylglucosamine deacetylase (LpxC). Many of I displayed an IC50 value of less than 10 μM with respect to inhibition of LpxC.
IT **728866-21-5P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of amino acid derivs. as antibacterial agents)

RN 728866-21-5 CAPLUS
CN 1-Pyrrolidinecarboxamide, N-[2-[[(4'-ethyl[1,1'-biphenyl]-4-yl)carbonyl]amino]ethyl]-N-hydroxy-3-[(trifluoroacetyl)amino]- (9CI) (CA INDEX NAME)

PAGE 1-A



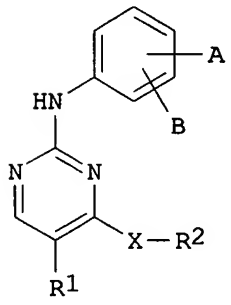
PAGE 2-A



L4 ANSWER 11 OF 51 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2004:467870 CAPLUS
DOCUMENT NUMBER: 141:38625
TITLE: Preparation of Chk-, pdk- and akt-inhibitory pyrimidines
INVENTOR(S): Bryant, Judi; Kochanny, Monica; Yuan, Shendong; Khim, Seock-Kuy; Buckman, Brad; Arnaiz, Damian; Boemer, Ulf; Briem, Hans; Esperling, Peter; Huwe, Peter; Kuhnke, Joachim; Schaefer, Martina; Wortmann, Lars; Kosemund, Dirk; Eckle, Emil; Feldman, Richard; Phillips, Gary
PATENT ASSIGNEE(S): Schering Aktiengesellschaft, Germany
SOURCE: PCT Int. Appl., 293 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004048343	A1	20040610	WO 2003-EP13443	20031128
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2004186118	A1	20040923	US 2003-722591	20031128
PRIORITY APPLN. INFO.:			EP 2002-26607 A	20021128
OTHER SOURCE(S):	MARPAT 141:38625			

GI



I

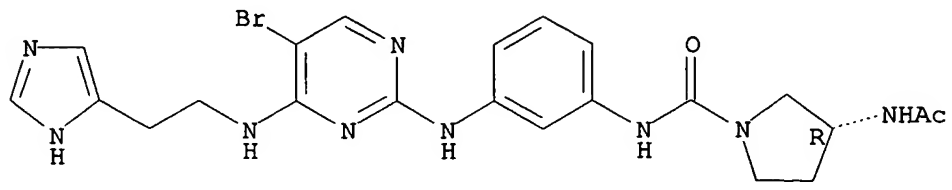
AB The title compds. [I; A, B = CN, halo, H, OH, etc.; X = O, (un)substituted NH; R1 = H, halo, CH2OH, alkyl, etc.; R2 = H, (un)substituted NHCO-aryl or alkyl] which are inhibitors of kinases useful as medications for treating various diseases, were prepared E.g., a multi-step synthesis of 5-bromo-4-[2-(1H-imidazol-4-yl)ethylamino]-2-(4-pyrrolidin-1-ylmethylphenylamino)pyrimidine, starting from 5-bromouracil, was given. Biol. data for inhibition of Akt-2, Chk-1, and VEGFR-II (KDR) were given. The pharmaceutical composition comprising the compds. I is claimed.

IT **702676-16-2P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of Chk-, pdk- and akt-inhibitory pyrimidines)

RN 702676-16-2 CAPLUS

CN 1-Pyrrolidinecarboxamide, 3-(acetylamino)-N-[3-[[5-bromo-4-[[2-(1H-imidazol-4-yl)ethyl]amino]-2-pyrimidinyl]amino]phenyl]-, (3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

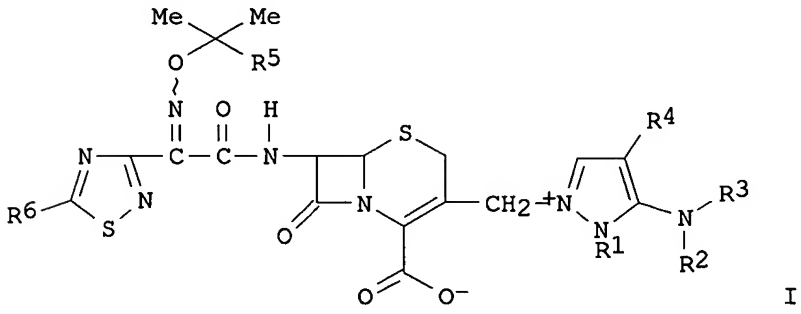


REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 12 OF 51 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2004:390255 CAPLUS
DOCUMENT NUMBER: 140:406684
TITLE: Synthesis of (thiadiazolyliminoacetamido)(pyrazoliomethyl)cephem compounds as antimicrobial agents
INVENTOR(S): Ohki, Hidenori; Okuda, Shinya; Yamanaka, Toshio; Ohgaki, Masaru; Toda, Ayako; Kawabata, Kohji; Inoue, Satoshi; Misumi, Keiji; Itoh, Kenji; Satoh, Kenji
PATENT ASSIGNEE(S): Fujisawa Pharmaceutical Co., Ltd., Japan; Wakunaga Pharmaceutical Co., Ltd.; et al.
SOURCE: PCT Int. Appl., 137 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004039814	A1	20040513	WO 2003-JP13684	20031027
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2004132994	A1	20040708	US 2003-695895	20031030
PRIORITY APPLN. INFO.:			AU 2002-952355 A	20021030
			AU 2003-904813 A	20030904

OTHER SOURCE(S): MARPAT 140:406684
GI



I

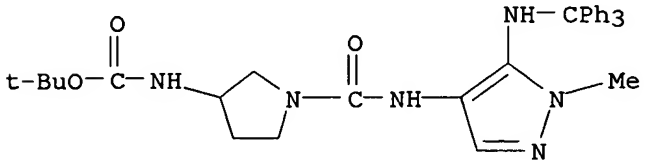
AB Cephem derivs. I [R1 = (hydroxy/halo)alkyl; R2 = H, amino protecting group; R1R2 = alkylene, alkenylene; R3 = H, alkyl; R4 = N(R7)(A)k(NH)mOn(CHR8)q(CH2)pR9, A = C:X, COCO,COCH2CO, etc., R7 = H, alkyl, amino protecting group, R8 = H, OH, R9 = amino, dialkylamino, protected amino, etc., k, m, n, q = independently 0, 1, p = 0-3, X = O, NH; R5 = carboxy, protected carboxy; R6 = amino, protected amino] were prepared to be used as antimicrobial agents. Thus, benzyhydryl 7β-[-(Z)-2-(5-tert-butoxycarbonylamino-1,2,4-thiadiazol-3-yl)-2-(1-tert-butoxycarbonyl-1-methylethoxyimino)acetamido]-3-chloromethyl-3-cephem-

4-carboxylate reacted with 5-amino-4-(3-(2-[(tert-butoxycarbonyl)amino]ethyl)ureido)-1-methylpyrazole to give 7β-[(Z)-2-(5-amino-1,2,4-thiadiazol-3-yl)-2-(1-carboxy-1-methylethoxyimino)acetamido]-3-[3-amino-4-[3-(2-aminoethyl)ureido]-2-methyl-1-pyrazolio]methyl-3-cephem-4-carboxylate. The prepared cephems were tested in vitro for antibacterial activity against Pseudomonas aeruginosa FP 1380.

IT **689294-55-1P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; synthesis of (thiadiazolyliminoacetamido)(pyrazoliomethyl)cephem compds. as antimicrobial agents)

RN 689294-55-1 CAPLUS

CN Carbamic acid, [1-[[[1-methyl-5-[(triphenylmethyl)amino]-1H-pyrazol-4-yl]amino]carbonyl]-3-pyrrolidinyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 13 OF 51 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2004:310829 CAPLUS
DOCUMENT NUMBER: 140:303552
TITLE: Preparation of β-amino acid derivatives as inhibitors of matrix metalloproteases and TNF-α
INVENTOR(S): Duan, Jingwu; King, Bryan W.; Decicco, Carl; Maduskuie, Thomas P.; Voss, Mathew E.
PATENT ASSIGNEE(S): USA
SOURCE: U.S. Pat. Appl. Publ., 150 pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2004072802	A1	20040415	US 2002-267207	20021009
PRIORITY APPLN. INFO.:			US 2002-267207	20021009
OTHER SOURCE(S):	MARPAT 140:303552			

AB Novel β-amino acid derivs. A-CR3R4aCR2R4NR1CO-X-Z-Ua-Xa-Ya-Za [A = CO2H, SH, CH2SH, S(O)Ra:NH (Ra = H, alkyl), P(O)(OH)2, etc.; X, Xa is absent or alkylene, alkenylene or alkynylene; Z is absent or substituted C3-13 carbocycle or 5-14 membered heterocycle; Ua is absent or O, NRa1 [Ra1 = H, (un)substituted alkyl, alkenyl or alkynyl; Ra and Ra1 may form a ring], CO, CO2, O2C, CONRa1, S(O)p (p = 0-2), etc.; Ya is absent or O, NRa1, S(O)p or CO; Za is H, substituted C3-13 carbocycle or 5-14 membered heterocycle; R1 is H, alkyl, Ph, benzyl; R2 is Q (Q is H, substituted carbocycle or heterocycle), alkylene-Q, (CRaRa1)r1O(CRaRa1)r-Q (r, r1 = 0-4), (CRaRa1)r1NRa(CRaRa1)r-Q, etc.; R3 = Q1 (Q1 is any group given for Q), alkylene-Q1, (CRaRa1)r1O(CRaRa1)r-Q1, (CRaRa1)r1NRa(CRaRa1)r-Q1, etc.; R4, R4a = H, substituted alkyl, alkenyl or alkynyl; alternatively R1 and R2, R1 and R3, R3 and R4a may form rings (with provisos)] or a stereoisomer or pharmaceutically acceptable salt were prepared as

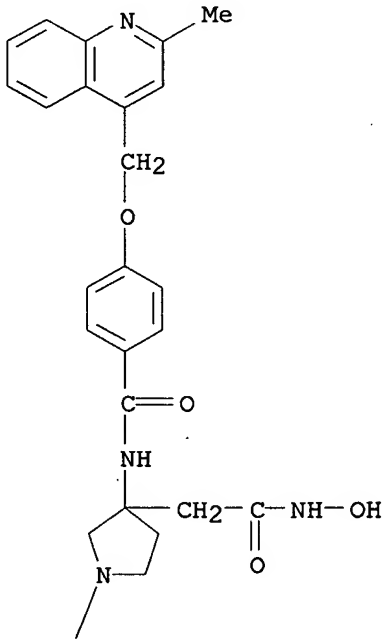
metalloprotease and TNF-α inhibitors. Thus, N-hydroxy-1-[[4-[(2-methyl-4-quinolinyl)methoxy]phenyl]acetyl]-3-azetidinecarboxamide was prepared by a multistep procedure involving reactions of Me 4-hydroxyphenylacetate, 2-methyl-4-quinolinylmethanol, and 3-azetidinecarboxylic acid Me ester.

IT **362700-46-7P**
RL: BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of β-amino acid derivs. as inhibitors of matrix metalloproteases and TNF-α)

RN 362700-46-7 CAPLUS

CN 3-Pyrrolidineacetamide, N-hydroxy-3-[[4-[(2-methyl-4-quinolinyl)methoxy]benzoyl]amino]-1-[(phenylamino)carbonyl]- (9CI) (CA INDEX NAME)

PAGE 1-A



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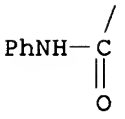

L4 ANSWER 14 OF 51 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2003:892757 CAPLUS

DOCUMENT NUMBER: 139:381501

TITLE: Preparation of N-[thio(oxo)carbonylaminophenyl]uracils as herbicides

INVENTOR(S): Schwarz, Hans-Georg; Andree, Roland; Hoischen, Dorothee; Kluth, Joachim; Linker, Karl-Heinz; Vidal-Ferran, Anton; Drewes, Mark Wilhelm; Dahmen, Peter; Feucht, Dieter; Pontzen, Rolf

PATENT ASSIGNEE(S): Bayer CropScience AG, Germany
SOURCE: PCT Int. Appl., 118 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003093244	A1	20031113	WO 2003-EP4138	20030422
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
DE 10219434	A1	20031120	DE 2002-10219434	20020502
CA 2484280	AA	20031113	CA 2003-2484280	20030422
EP 1503994	A1	20050209	EP 2003-729934	20030422
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
BR 2003009872	A	20050419	BR 2003-9872	20030422

PRIORITY APPLN. INFO.: DE 2002-10219434 A 20020502
WO 2003-EP4138 W 20030422

OTHER SOURCE(S): MARPAT 139:381501
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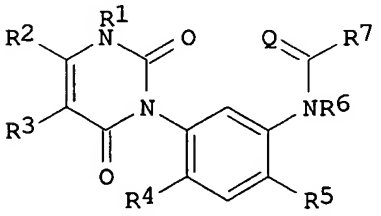


I

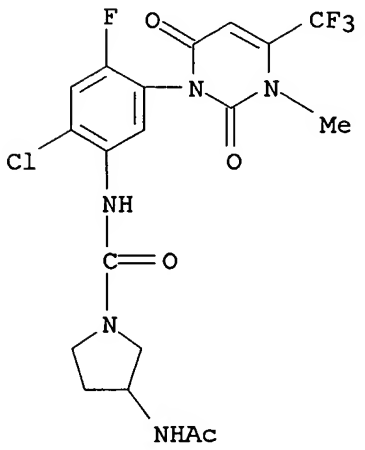
AB Title compds. [I; Q = O, S; R1 = H, amino, (substituted) alkyl; R2 = carboxy, cyano, (thio)carbamoyl, (substituted) alkyl, alkoxycarbonyl; R3 = H, halo, (halogenated) alkyl; R4 = H, cyano, (thio)carbamoyl, halo; R5 = cyano, (thio)carbamoyl, halo, (halogenated) alkyl, alkoxy; R6 = H, (substituted) alkyl, alkylcarbonyl, alkylsulfonyl, (halogenated) alkenyl, alkenylcarbonyl, etc.; R7 = (halogenated) alkoxycarbonyl, alkoxycarbonylalkylthio, hydroxyamino, cyanoalkylamino, (substituted) heterocyclyloxy, N-bonded (monocyclic) N-heterocyclyl, etc.], were prepared Thus, a mixture of 3-(4-bromo-2-fluoro-5-isocyanatophenyl)-1-methyl-6-trifluoromethyl-1H-pyrimidin-2,4-one, piperidine-3-carboxylic acid Et ester, Et3N, and MeCN was stirred for 15 h at room temperature to give 42% 1-[2-bromo-4-fluoro-5-(3-methyl-2,6-dioxo-4-trifluoromethyl-3,6-dihydro-2H-pyrimidin-1-yl)phenylcarbamoyl]piperidine-3-carboxylic acid Et ester. I were said to show strong pre- and postemergent herbicidal activity and good crop tolerance.

IT **623929-06-6P**
RL: AGR (Agricultural use); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of [thio(oxo)carbonylaminophenyl]uracils as herbicides)

RN 623929-06-6 CAPLUS

CN 1-Pyrrolidinecarboxamide, 3-(acetylamino)-N-[2-chloro-5-[3,6-dihydro-3-methyl-2,6-dioxo-4-(trifluoromethyl)-1(2H)-pyrimidinyl]-4-fluorophenyl]-(9CI) (CA INDEX NAME)



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 15 OF 51 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2003:777763 CAPLUS

DOCUMENT NUMBER: 139:276827

TITLE: Preparation of (adamantyl)(quinolinyl)amides as P2X7 receptor antagonists

INVENTOR(S): Ford, Rhonan; Leroux, Frederic; Stocks, Michael

PATENT ASSIGNEE(S): Astrazeneca AB, Swed.

SOURCE: PCT Int. Appl., 171 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

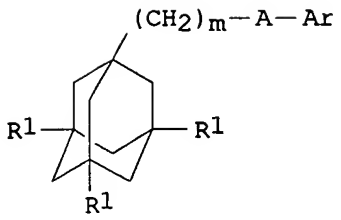
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003080579	A1	20031002	WO 2003-SE481	20030324
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1490341	A1	20041229	EP 2003-745060	20030324
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
US 2005090524	A1	20050428	US 2003-505789	20030324
PRIORITY APPLN. INFO.:			SE 2002-920 A	20020325
			WO 2003-SE481 W	20030324

OTHER SOURCE(S): MARPAT 139:276827

GI



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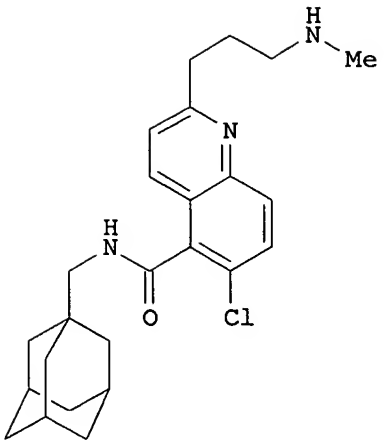


II

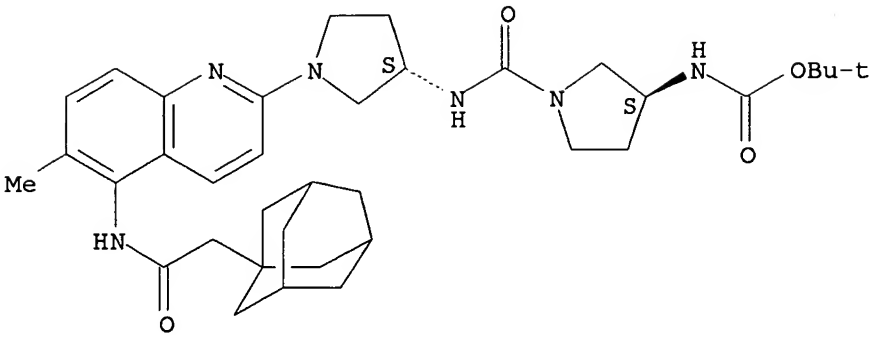
AB Title compds. I [wherein m = 1-3; R1 = independently H or halo; A = CONH or NHCO; Ar = (un)substituted (iso)quinolinyl; with provisos; or pharmaceutically acceptable salts or solvates thereof] where prepared using standard or combinatorial methods as purinoceptor P2X7 antagonists. For example, 3-ethoxyprop-2-enoyl chloride was coupled with 5-amino-2-chlorobenzoic acid in THF to provide 2-chloro-5-[(3-ethoxyprop-2-enoyl)amino]benzoic acid. Cyclization and chlorination of the (propenoylamino)benzoic acid to the 2,6-dichloro-5-quinolinecarboxylic acid by heating with concentrated H2SO4 at 60° for 3 h and reaction with phosphoryl chloride, followed by amidation with 1-adamantylmethylamine in the presence of TEA in CH2Cl2 gave N-(1-adamantylmethyl)-2,6-dichloroquinoline-5-carboxamide. Reductive addition of tert-Bu allyl(methyl)carbamate to the dichloroquinolinecarboxamide using Pd(PPh3)4 in DMF, work up, and recrystn. from a solution of HCl in dioxane afforded II•3/2HCl. The latter was tested for antagonist activity at the P2X7 receptor using benzoylbenzoyl ATP (bbATP, a P2X7 agonist) as a control for P2X7 receptor activation. II inhibited activity with pIC50 (neg. log of the concentration of test compound necessary to reduce the bbATP agonist activity by 50%) of 8.30. Thus, I and their pharmaceutical compns. are useful for the treatment of inflammatory and immune disorders associated with the P2X7 receptor, such as rheumatoid arthritis, obstructive airway disease, chronic obstructive pulmonary disease, osteoarthritis, and atherosclerosis (no data).

IT **607380-46-1P**, tert-Butyl [(3S)-1-[[[(3S)-1-[5-[(1-adamantylacetyl)amino]-6-methylquinolin-2-yl]pyrrolidin-3-yl]amino]carbonyl]pyrrolidin-3-yl]carbamate
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of (adamantyl)(quinolinyl)amides as P2X7 receptor antagonists for treatment of inflammatory and immune disorders)

RN 607380-46-1 CAPLUS

CN Carbamic acid, [(3S)-1-[[[(3S)-1-[6-methyl-5-[(tricyclo[3.3.1.13,7]dec-1-ylacetyl)amino]-2-quinolinyl]-3-pyrrolidinyl]amino]carbonyl]-3-pyrrolidinyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

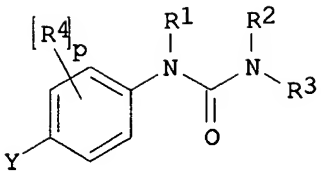


REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 16 OF 51 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2003:473270 CAPLUS
DOCUMENT NUMBER: 139:36444
TITLE: Preparation of substituted ureas as neuropeptide Y5 receptor antagonists
INVENTOR(S): Greenlee, William J.; Huang, Ying; Kelly, Joseph M.; McCombie, Stuart W.; Stamford, Andrew W.; Wu, Yusheng
PATENT ASSIGNEE(S): Schering Corporation, USA
SOURCE: U.S. Pat. Appl. Publ., 108 pp., Cont.-in-part of U.S. Ser. No. 950,908.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
US 2003114517	A1	20030619	US 2002-96390		20020312
US 6894063	B2	20050517			
US 2002165223	A1	20021107	US 2001-950908		20010912
US 2005038100	A1	20050217	US 2004-933016		20040901
PRIORITY APPLN. INFO.:			US 2000-232255P	P	20000914
			US 2001-950908	A2	20010912
			US 2002-96390	A3	20020312

OTHER SOURCE(S): MARPAT 139:36444
GI



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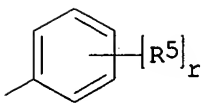

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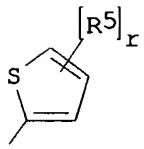

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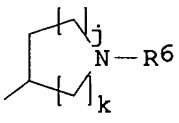

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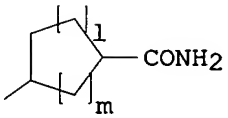
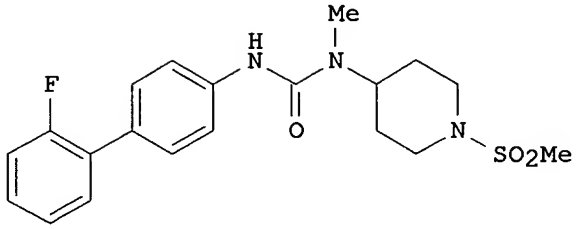


V



VI

AB The title compds. [I; Y = II, III; R1 = H, alkyl; R2 = H, alkyl, cycloalkyl, etc.; R3 = IV, V, etc.; j = 0-2; k = 1-2; l = 0-2; m = 0-2; p = 1-3; r = 1-3; R4 = H, OH, halo, etc.; R5 = H, halo, OH, etc.; R6 = alkylSO2, cycloalkylSO2, heteroarylalkyl, etc.;], useful as neuropeptide Y5 receptor antagonists for treating obesity, hyperphagia, type II diabetes, insulin resistance, and hypertension, were prepared E.g., a multi-step synthesis of VI, was given. For the compds. I, a range of neuropeptide Y5 receptor binding activity from about 0.2 nM to about 500 nM was observed Methods of preparing pharmaceutical formulations comprising one or more such compds. I were claimed.

IT **405054-57-1P**

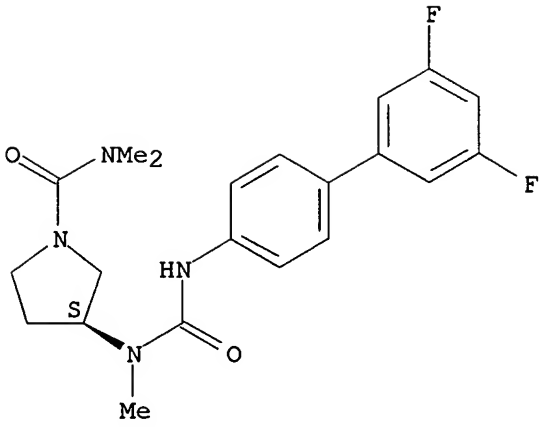
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of substituted ureas as neuropeptide Y5 receptor antagonists)

RN 405054-57-1 CAPLUS

CN 1-Pyrrolidinecarboxamide, 3-[[[(3',5'-difluoro[1,1'-biphenyl]-4-yl)amino]carbonyl]methylamino]-N,N-dimethyl-, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



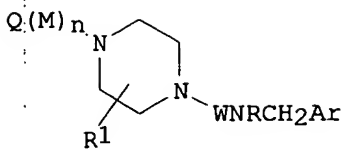
L4 ANSWER 17 OF 51 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2003:454110 CAPLUS
DOCUMENT NUMBER: 139:36546
TITLE: Preparation of nitrogen heterocyclic compounds as inhibitors of prenyl transferases
INVENTOR(S): Come, Jon H.; Murthi, Krishna K.; Wang, Zhonghuo
PATENT ASSIGNEE(S): GPC Biotech, Inc., USA
SOURCE: PCT Int. Appl., 163 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003047569	A1	20030612	WO 2002-US38511	20021203

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.:
US 2001-337461P P 20011203
US 2001-337505P P 20011203
US 2001-337973P P 20011203

OTHER SOURCE(S): MARPAT 139:36546
GI



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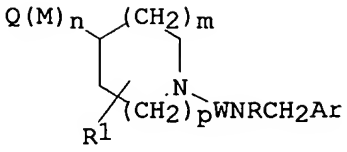

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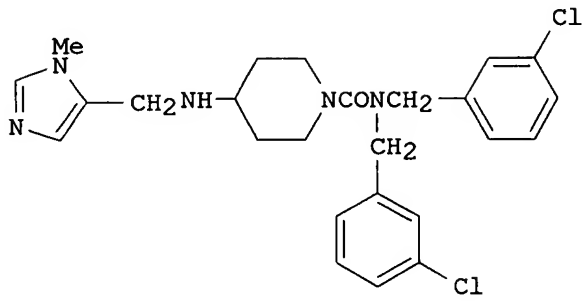


III

AB Heterocyclic compds. I and II [Q = (un)substituted N heteroaryl; Ar = aryl, hetyeroaryl; W = CO, CS, S(O), SO2; R = H, (un)substituted alkyl, alkenyl, alkynyl, cycloalkyl, heterocyclyl, amino acid residue; R1 = H, (un)substituted alkyl, aryl, heterocyclyl, aralkyl, heteroaralkyl, NH2, OH, SH, CO2H, CONH2, acyl, amino acid residue; M = (un)substituted CH2, Nh, O, S, CO, CS, S(O), SO2; n = 0-3; m, p = 0-2; Z = H, OH] were prepared for use as inhibitors of prenyl transferases. Thus, 4-tert.-butoxycarbonylaminopiperidine was acylated with ClCON(CH2C6H4Cl-3)2, deblocked, and reductively alkylated with 1-methyl-5-imidazolecarboxaldehyde to give the product III which was active against Candida albicans geranylgeranyl-protein transferase at < 0.01 (no units).

IT **540749-43-7P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of nitrogen heterocyclic compds. as inhibitors of prenyl transferases)

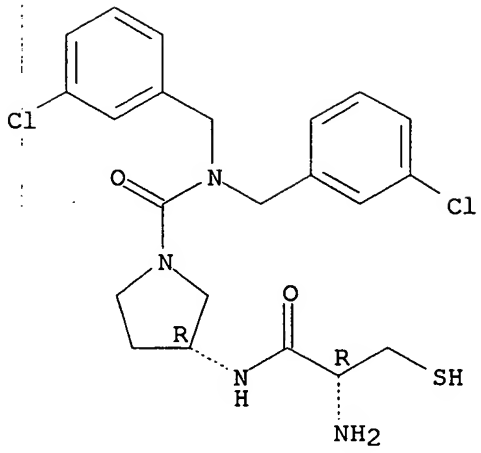
RN 540749-43-7 CAPLUS

CN 1-Pyrrolidinecarboxamide, 3-[[(2R)-2-amino-3-mercapto-1-oxopropyl]amino]-N,N-bis[(3-chlorophenyl)methyl]-, (3R)-, mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

CM 1

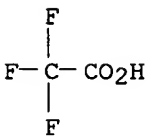
CRN 540749-42-6
CMF C22 H26 Cl2 N4 O2 S

Absolute stereochemistry.



CM 2

CRN 76-05-1
CMF C2 H F3 O2



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 18 OF 51 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2003:434550 CAPLUS
DOCUMENT NUMBER: 139:22112
TITLE: Preparation of ureido and related piperidines as CCR3 receptor antagonists for treating asthma
INVENTOR(S): Du Bois, Daisy Joe; Kertesz, Denis John; Sjogren, Eric Brian; Smith, David Bernard; Wang, Beihan
PATENT ASSIGNEE(S): F. Hoffmann-La Roche A.-G., Switz.
SOURCE: PCT Int. Appl., 93 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003045937	A1	20030605	WO 2002-EP13218	20021125

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZM, ZW
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF,

CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

CA 2467874	AA	20030605	CA 2002-2467874		20021125
EP 1453825	A1	20040908	EP 2002-787796		20021125
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK					
BR 2002014613	A	20040914	BR 2002-14613		20021125
JP 2005515193	T2	20050526	JP 2003-547387		20021125
US 2003229121	A1	20031211	US 2002-307130		20021129
PRIORITY APPLN. INFO.:			US 2001-334653P	P	20011130
			US 2001-334655P	P	20011130
			US 2001-334819P	P	20011130
			WO 2002-EP13218	W	20021125

OTHER SOURCE(S): MARPAT 139:22112

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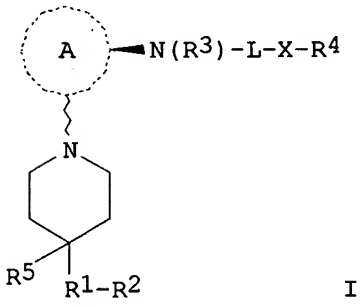


I

AB The present invention relates to N-ureido-piperidines (shown as I; variables defined below; e.g. trans-1-[2-[4-(4-chlorobenzyl)piperidin-1-yl]cyclohexyl]-3-(3,4,5-trimethoxyphenyl)urea). The compds. are useful as CCR3 receptor antagonists by blocking the ability of the CCR-3 receptor to bind RANTES, MCP-3 and eotaxin and thereby preventing the recruitment of eosinophils, and therefore, may be used for treatment of CCR3 mediated diseases such as asthma. Five pharmaceutical formulations are described. Seven example prepns. of intermediates and 31 of I are included. For example, trans-1-[2-[4-(4-chlorobenzyl)piperidin-1-yl]cyclohexyl]-3-(3,4,5-trimethoxyphenyl)urea was prepared in 55% yield from [trans-2-[4-(4-chlorobenzyl)piperidin-1-yl]cyclohexyl]amine (56 mg, 0.18 mmol) and 5-isocyanato-1,2,3-trimethoxybenzene in CH2Cl2; [trans-2-[4-(4-chlorobenzyl)piperidin-1-yl]cyclohexyl]amine was prepared in 2 steps starting from 4-(4-chlorobenzyl)piperidine and 7-oxabicyclo[4.1.0]heptane via intermediate trans-2-[4-(4-chlorobenzyl)piperidin-1-yl]cyclohexanol with yields of 88 and 67%. IC50 values for inhibiting the binding of 125I eotaxin to CCR-3 L1.2 transfectant cells were determined for 10 examples of I, e.g. 0.0185 μM for trans-N-[3-[3-[2-[4-(4-Chlorobenzyl)piperidin-1-yl]cyclopentyl]ureido]phenyl]acetamide. For I: R1 is (C1-C2)alkylene; R2 is (un)substituted phenyl; R3 is H, C1-6 alkyl, acyl, aryl, or aryl C1-6 alkyl; ring A is a C3-7 cycloalkyl, heterocyclyl, or (un)substituted phenyl; L is -C(O)-, -C(S)-, -SO2-, -C(O)N(Ra)-, -C(S)N(Ra)-, -SO2N(Ra)-, -C(O)O-, -C(S)-O-, -S(O)2O-; where Ra is H, C1-6 alkyl, acyl, aryl, aryl C1-6 alkyl, C1-6 alkoxycarbonyl, or benzyloxycarbonyl; X is absent, -(CR'R'')O-, -(CR'R'')S-, -(CR'R'')NRb- or C1-6 alkylene; where R' and R'' = H or C1-6 alkyl, and Rb is H or C1-6 alkyl; R4 is aryl or heteroaryl; and R5 is H or C1-6 alkyl; provided that when R1 is -CH2-, R2 is Ph, R3 is H, R5 is H, A is Ph, L is -C(O)NH- and X is absent, then R4 is not 2,5-difluorophenyl.

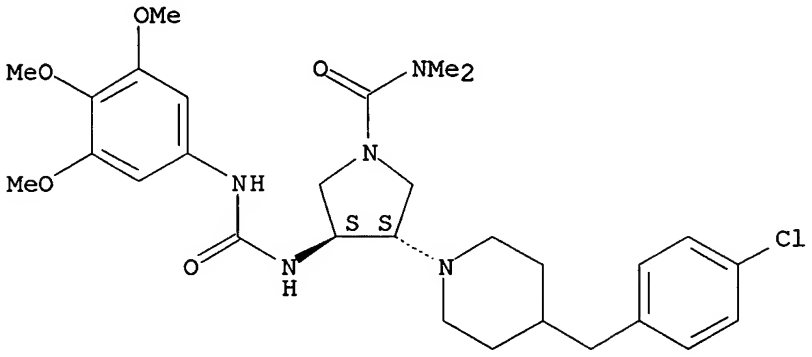
IT **538371-26-5P**, trans-3-[4-(4-Chlorobenzyl)piperidin-1-yl]-4-[3-(3,4,5-trimethoxyphenyl)ureido]pyrrolidine-1-carboxylic acid dimethylamide

hydrochloride
RL: DGN (Diagnostic use); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate and diagnosis agent; preparation of ureido and related piperidines as CCR3 receptor antagonists for treating asthma)

RN 538371-26-5 CAPLUS

CN 1-Pyrrolidinecarboxamide, 3-[4-[(4-chlorophenyl)methyl]-1-piperidinyl]-N,N-dimethyl-4-[[[(3,4,5-trimethoxyphenyl)amino]carbonyl]amino]-, monohydrochloride, (3R,4R)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



● HCl

REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 19 OF 51 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2003:434528 CAPLUS

DOCUMENT NUMBER: 139:6763

TITLE: Preparation of pyrrolidinedicarboxamides and related compounds as inhibitors of factor Xa useful for thrombotic disorders

INVENTOR(S): Bigge, Christopher Franklin; Dudley, Danette Andrea; Edmunds, Jeremy John; Van Huis, Chad Alan; Casimiro-Garcia, Agustin; Filipski, Kevin James; Kohrt, Jeffrey Thomas

PATENT ASSIGNEE(S): Warner-Lambert Company L.L.C., USA

SOURCE: PCT Int. Appl., 389 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003045912	A1	20030605	WO 2002-IB4757	20021114
WO 2003045912	C1	20031002		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

US 2003162787	A1	20030828	US 2002-278643		20021023
CA 2468715	AA	20030605	CA 2002-2468715		20021114
BR 2002014519	A	20041013	BR 2002-14519		20021114
EP 1465864	A1	20041013	EP 2002-803885		20021114
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK					
JP 2005515985	T2	20050602	JP 2003-547364		20021114
PRIORITY APPLN. INFO.:			US 2001-334168P	P	20011129
			US 2002-384895P	P	20020531
			WO 2002-IB4757	W	20021114

OTHER SOURCE(S): MARPAT 139:6763

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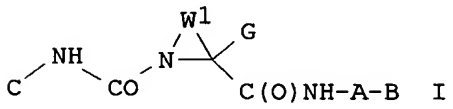


I

AB The present invention provides pyrrolidinedicarboxamides and related compds. (shown as I; variables defined below; e.g. (R)-pyrrolidine-1,2-dicarboxylic acid 1-[(4-chlorophenyl)amide] 2-[(3-fluoro-2'-sulfamoylbiphenyl-4-yl)amide]) and pharmaceutically acceptable salt thereof, that are useful to treat thrombotic disorders. Also disclosed are pharmaceutical compns. comprising ≥1 compds. I, processes for preparing I, and intermediates useful for preparing I. IC50 values for inhibition of factor Xa are tabulated for >170 examples of I. About 180 example prepns. of I are included. For example, (R)-pyrrolidine-1,2-dicarboxylic acid 1-[(4-chlorophenyl)amide] 2-[(3-fluoro-2'-sulfamoylbiphenyl-4-yl)amide] was prepared in 4 steps starting from Fmoc-D-Pro, SOCl2, and 4-bromo-2-fluoroaniline and involving intermediates (R)-2-[(4-bromo-2-fluorophenyl)carbamoyl]pyrrolidine-1-carboxylic acid 9H-fluoren-9-ylmethyl ester, (R)-pyrrolidine-2-carboxylic acid (2'-tert-butylsulfamoyl-3-fluorobiphenyl-4-yl)amide, and (R)-pyrrolidine-1,2-dicarboxylic acid 2-[(2'-tert-butylsulfamoyl-3-fluorobiphenyl-4-yl)amide] 1-[(4-chlorophenyl)amide] with yields of 99, 70, 66 and 76%, resp. Four pharmaceutical formulations are described. For I: A is (un)substituted aryl or (un)substituted monocyclic heteroaryl; B is -NHC(O)(C1-C6)alkyl, -NHC(O)(C3-C7)cycloalkyl, -NHC(O)O(C1-C6 alkyl), -C(O)R1, (C3-C7)cycloalkyl, (C3-C7)heterocyclo, (C4-C7)cycloalkenyl, unsatd. (C4-C7)heterocyclo, aryl, or heteroaryl, any of which may be (un)substituted by halo, (C1-C6)alkyl, or halo(C1-C6)alkyl, O(C1-C6), -CN, haloalkyl, amino, alkylamino, amidino, amido, or sulfonamido. C is Ph or heteroaryl, wherein Ph or heteroaryl is (un)substituted with ≥1 substituents = aryl, heteroaryl, halogen, hydroxy, -CO2R2, -COR2, -CONR2R2', alkoxy, alkyl, -CN, haloalkyl, amino, alkylamino, amidino, amido, or sulfonamido; G is H, halo, (C1-C6)alkyl, halo(C1-C6)alkyl, hydroxy(C1-C6)alkyl, -CH2O(C1-C6)alkyl, -CH2CO2(C1-C6)alkyl, -CH2NR2R2', or -CH2C(O)NH(C1-C6)alkyl. W1 is a saturated or unsatd., (un)substituted hydrocarbon chain or hydrocarbon-heteroatom chain having 2-6 atoms, wherein W1 connects the N atom at position 1 to the C atom at position 2 to form a four to eight membered ring; R1 is (C1-C6)alkoxy, (C3-C7)cycloalkyl, (C3-C7)heterocycloalkyl, (C4-C7) cycloalkenyl, (C4-C7)heterocycloalkenyl, aryl, monocyclic heteroaryl, or -NR3R4; R2 and R2' are each independently H or (C1-C6)alkyl; and R3 and R4 are each independently H, (C1-C6)alkyl, aralkyl, aryl, monocyclic heteroaryl, alkoxycarbonyl, aralkoxycarbonyl, -SO2alkyl, or joined together to form a

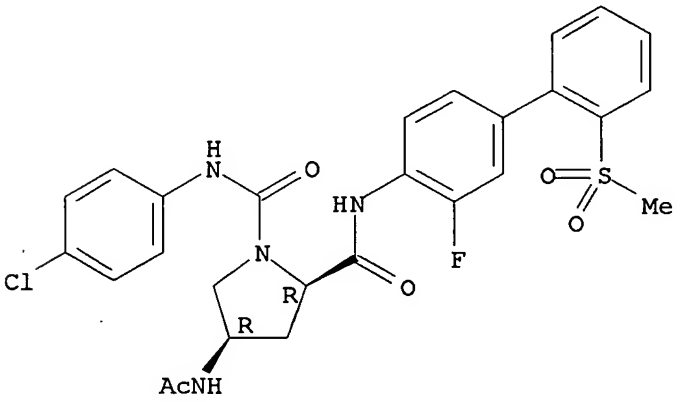
saturated or unsatd. 3 to 7 membered ring.

IT **536747-89-4P**, (2R,4R)-4-Acetylaminopyrrolidine-1,2-dicarboxylic acid 1-[(4-chlorophenyl)amide] 2-[(3-fluoro-2'-methanesulfonylbiphenyl-4-yl)amide]
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of pyrrolidinedicarboxamides and related compds. as inhibitors of factor Xa useful for thrombotic disorders)

RN 536747-89-4 CAPLUS

CN 1,2-Pyrrolidinedicarboxamide, 4-(acetylamino)-N1-(4-chlorophenyl)-N2-[3-fluoro-2'-(methylsulfonyl)[1,1'-biphenyl]-4-yl]-, (2R,4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 20 OF 51 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2003:282524 CAPLUS
DOCUMENT NUMBER: 138:304064
TITLE: Preparation of phenylurea derivatives as vanilloid receptor agonists
INVENTOR(S): Matsumoto, Takahiro; Yamamoto, Masataka; Nagabukuro, Hiroshi; Mochizuki, Manabu
PATENT ASSIGNEE(S): Takeda Chemical Industries, Ltd., Japan
SOURCE: PCT Int. Appl., 293 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003029199	A1	20030410	WO 2002-JP9995	20020927
WO 2003029199	C2	20030925		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW

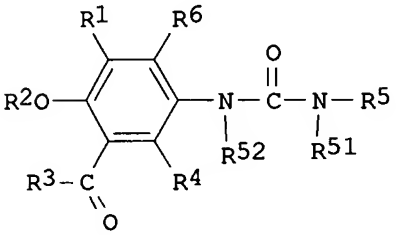
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF,

CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

EP 1437344	A1	20040714	EP 2002-768103	20020927
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK				
JP 2004339061	A2	20041202	JP 2002-282514	20020927
US 2004259912	A1	20041223	US 2004-489621	20040312
PRIORITY APPLN. INFO.:			JP 2001-300564 A	20010928
			WO 2002-JP9995 W	20020927

OTHER SOURCE(S): MARPAT 138:304064

GI



I

AB The title compds. I [R1, R4 and R6 are each independently hydrogen, halogeno, or hydrocarbyl; R2 is hydrocarbyl or a heterocyclic group; R3 is hydrocarbyl, etc.; R5 is hydrocarbyl or a heterocyclic group (except quinolyl) and R51 is hydrogen or hydrocarbyl, or R5 and R51 together with the nitrogen atom adjacent thereto may form a ring; and R52 is hydrogen or hydrocarbyl] are prepared I are useful for the treatment of pain, urinary incontinence, etc. In a tail flick test using mice, one compound of this invention showed a min. ED of 1 mg/kg.

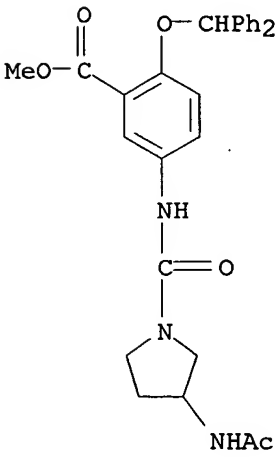
IT **508216-15-7P**

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of phenylurea derivs. as vanilloid receptor agonists)

RN 508216-15-7 CAPLUS

CN Benzoic acid, 5-[[[3-(acetylamino)-1-pyrrolidinyl]carbonyl]amino]-2-(diphenylmethoxy)-, methyl ester (9CI) (CA INDEX NAME)



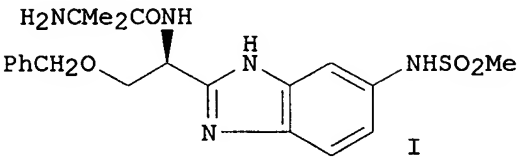
REFERENCE COUNT: 18 THERE ARE 18 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 21 OF 51 CAPLUS

ACCESSION NUMBER: 2003:150554 CAPLUS
DOCUMENT NUMBER: 138:188073
TITLE: Preparation of dipeptide heterocyclic aromatic compounds as growth hormone secretagogues
INVENTOR(S): Tino, Joseph A.
PATENT ASSIGNEE(S): Bristol-Myers Squibb Company, USA
SOURCE: U.S., 157 pp., Cont.-in-part of U.S. Ser. No. 506,749, abandoned.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
US 6525203	B1	20030225	US 2000-662448		20000914
US 6518292	B1	20030211	US 2000-506749		20000218
ZA 2001006854	A	20021120	ZA 2001-6854		20010820
US 6660760	B1	20031209	US 2002-282182		20021028
US 2004002525	A1	20040101	US 2002-281818		20021028
US 2004029935	A1	20040212	US 2002-281649		20021028
US 2004072881	A1	20040415	US 2002-281848		20021028
PRIORITY APPLN. INFO.:			US 1999-124131P	P	19990312
			US 1999-154919P	P	19990921
			US 2000-506749	A2	20000218

OTHER SOURCE(S): MARPAT 138:188073
GI



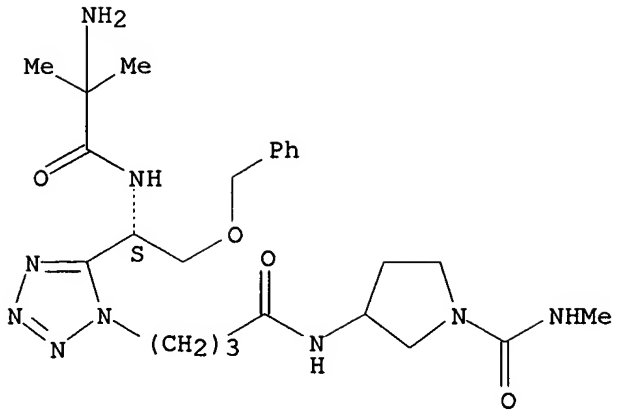
I

AB R1R1aCXaNR6COYXb [R1 = (un)substituted alkyl, (hetero)aryl(alkyl), etc.; R1a = H or (cyclo)alkyl; R6 = H, (cyclo)alkyl, alkenyl, aryl; Xa = substituted 2-benzoxazolyl, 2-benzothiazolyl, or 2-benzimidazolyl; Xb = (di)(alkyl)amino, (un)substituted imidazolyl; Y = phenylene, (phenylene-interrupted)alkylene, (un)substituted alkylene, aza- or oxaalkylene, or alkenylene] were prepared as growth hormone production and/or release stimulants. Thus, dipeptide benzimidazole derivative I (Boc = tert-butoxycarbonyl) was prepared by a multistep procedure starting from Boc-D-Ser(CH2Ph)-OH, 4-nitro-o-phenylenediamine, Boc-methylalanine, and MeSO2Cl.

IT **295336-48-0P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of dipeptide heterocyclic aromatic compds. as growth hormone secretagogues)

RN 295336-48-0 CAPLUS
CN 1H-Tetrazole-1-butanamide, 5-[(1S)-1-[(2-amino-2-methyl-1-oxopropyl)amino]-2-(phenylmethoxy)ethyl]-N-[1-[(methylamino)carbonyl]-3-pyrrolidinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 36 THERE ARE 36 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 22 OF 51 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2002:964345 CAPLUS
DOCUMENT NUMBER: 138:24952
TITLE: Preparation of novel amino nitriles useful as reversible inhibitors of cysteine proteases
INVENTOR(S): Hickey, Eugene R.; Bekkali, Younes; Patel, Usha R.; Spero, Denice M.; Thomson, David S.; Young, Erick R. R.
PATENT ASSIGNEE(S): Boehringer Ingelheim Pharmaceuticals, Inc., USA
SOURCE: PCT Int. Appl., 223 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002100849	A2	20021219	WO 2002-US17590	20020605
WO 2002100849	A3	20031016		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2003119827	A1	20030626	US 2002-163015	20020604
CA 2449192	AA	20021219	CA 2002-2449192	20020605
EP 1399431	A2	20040324	EP 2002-741825	20020605
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2005501017	T2	20050113	JP 2003-503617	20020605

PRIORITY APPLN. INFO.: US 2001-296863P P 20010608
WO 2002-US17590 W 20020605

OTHER SOURCE(S): MARPAT 138:24952

AB Novel nitrile compds. YCO2CR2R3C(:X)NR6CR4R5CN [Y = R1, R1O, R1S, R12N, R13C, where R1 = H, (un)substituted (cyclo)alkyl, aryl, benzyl, tetrahydronaphthyl, indenyl, indanyl, alkylsulfonylalkyl, cycloalkylsulfonylalkyl, arylsulfonylalkyl, heterocyclyl, or heteroaryl;

R2-R5 = H, (un)substituted (cyclo)alkyl, aryl, etc. or CR2R3 and CR4R5 may form rings; R6 = H, OH, or (cyclo)alkyl; X = O or S (with provisos)] or their pharmaceutically-acceptable derivs. were prepared as reversible inhibitors of cysteine proteases such as cathepsin K, S, F, L and B for treating diseases and pathol. conditions exacerbated by these proteases such as osteoporosis, rheumatoid arthritis, multiple sclerosis, asthma and other autoimmune diseases, Alzheimer's disease, and atherosclerosis. Thus, morpholine-4-carboxylic acid 1-[[(benzyloxymethyl)cyanomethyl]carbam oyl]-3-methylbutyl ester was prepared from N-(tert-butoxycarbonyl)-O-benzyl-L-serine, 2-Hydroxyisocaproic acid, and 4-morpholinecarbonyl chloride.

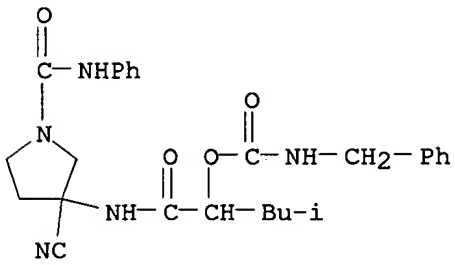
IT **478280-82-9P**

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of novel amino nitriles as reversible inhibitors of cysteine proteases)

RN 478280-82-9 CAPLUS

CN Carbamic acid, (phenylmethyl)-, 1-[[[3-cyano-1-[(phenylamino)carbonyl]-3-pyrrolidinyl]amino]carbonyl]-3-methylbutyl ester (9CI) (CA INDEX NAME)



L4 ANSWER 23 OF 51 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2002:658746 CAPLUS

DOCUMENT NUMBER: 137:185833

TITLE: Preparation of novel heterocyclic urea compounds, particularly N-hydroxy-2-[N-substituted-N-[(2-substituted-pyrrolidin-1-yl)carbonyl]amino]acetamides, with activity as peptide deformylase inhibitors, their compositions and methods of use as antimicrobials

INVENTOR(S): Jacobs, Jeffrey W.; Patel, Dinesh; Lewis, Jason; Ni, Zhi-Jie

PATENT ASSIGNEE(S): Vicuron Pharmaceuticals Inc., USA

SOURCE: U.S. Pat. Appl. Publ., 40 pp.
CODEN: USXXCO

DOCUMENT TYPE: Patent

LANGUAGE: English

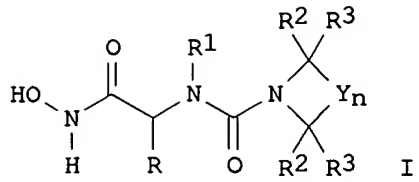
FAMILY ACC. NUM. COUNT: 3

PATENT INFORMATION:

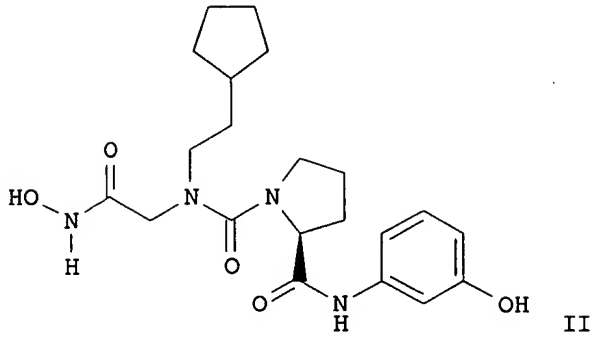
PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
US 2002119962	A1	20020829	US 2000-738376		20001213
US 6852752	B2	20050208			
PRIORITY APPLN. INFO.:			US 1999-266329P	P	19991217

OTHER SOURCE(S): MARPAT 137:185833

GI



I



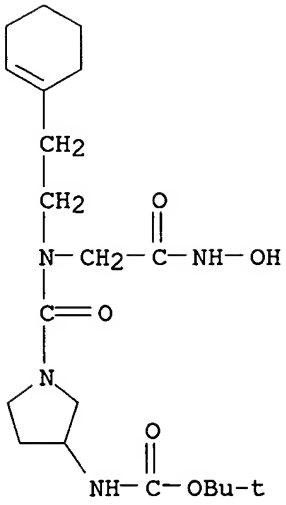
II

AB Novel hydroxamic acid compds. I are disclosed [wherein: R = H, R4, R5OH, R5OR6; R4, R6 = (un)substituted (hetero)alk(en/yn)yl or alkyl-(hetero)aryl-alkyl; R5 = (un)substituted (hetero)alk(en/yn)ylene or alkylene-(hetero)arylene-alkylene; R1 = H, (un)substituted (hetero)alk(en/yn)yl or alkyl-(hetero)aryl-alkyl; n = 1-5; zero or one Y group = O, NR7, or S; remaining Y = CR2R3; R2, R3 = H, R7, OH, OR7, SH, SR7, NH2, NHR7, NR7R8, COR7, CONR7R8, CO2R7, COCR7R8R9, CO2CR7R8R9, SO2NR7R8, etc.; R7, R8, R9 = H, (un)substituted (hetero)alk(en/yn)yl, alkoxy, or alkyl-(hetero)aryl-alkyl; or vicinal R2/R3 or vicinal pairs of R7/R8/R9 form (un)substituted cyclic (hetero)alkyl or (hetero)aryl group]. These hydroxamates inhibit peptide deformylase (PDF), an enzyme present in prokaryotes, and are therefore useful as antimicrobials and antibiotics. Methods of synthesis and use of the compds. are also disclosed. Over 60 synthetic examples are given. For instance, N-benzyloxycarbonyl-L-proline was treated with SOCl2 and then 3-hydroxyaniline in pyridine to give the corresponding 3-hydroxyphenylamide, followed by deprotection of the proline N-terminus, coupling with N-[2-(cyclopentyl)ethyl]-N-[(methoxycarbonyl)methyl]carbamoyl chloride, and aminolysis with aqueous NH2OH, to give title compound II. Five standard formulations of I are described. I showed high selectivity for PDF over a variety of matrix and other metalloproteinases, and showed activity against Staphylococcus aureus, Staphylococcus epidermidis, Enterococcus faecium, Streptococcus pneumoniae, Haemophilus influenzae, Moraxella catarrhalis, and Escherichia coli (no data).

IT **345890-02-0P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of heterocyclic urea hydroxamates as peptide deformylase inhibitors for use as antimicrobials)

RN 345890-02-0 CAPLUS

CN Carbamic acid, [1-[[[2-(1-cyclohexen-1-yl)ethyl][2-(hydroxyamino)-2-oxoethyl]amino]carbonyl]-3-pyrrolidinyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



L4 ANSWER 24 OF 51 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2002:504757 CAPLUS
DOCUMENT NUMBER: 137:78855
TITLE: Preparation of carbazoles as neuropeptide Y5 receptor ligands
INVENTOR(S): Block, Michael Howard; Foote, Kevin Michael; Donald, Craig Samuel; Schofield, Paul
PATENT ASSIGNEE(S): Astrazeneca AB, Swed.; Astrazeneca UK Limited
SOURCE: PCT Int. Appl., 102 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
WO 2002051806	A1	20020704	WO 2001-GB5577		20011217
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM					
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG					
CA 2432008	AA	20020704	CA 2001-2432008		20011217
BR 2001016388	A	20030930	BR 2001-16388		20011217
EP 1358157	A1	20031105	EP 2001-272068		20011217
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR					
JP 2004520324	T2	20040708	JP 2002-552903		20011217
NZ 526623	A	20041126	NZ 2001-526623		20011217
ZA 2003004764	A	20040920	ZA 2003-4764		20030619
NO 2003002842	A	20030818	NO 2003-2842		20030620
US 2004067999	A1	20040408	US 2003-450928		20031010
PRIORITY APPLN. INFO.:			GB 2000-31382	A	20001222
			GB 2001-21919	A	20010911
			WO 2001-GB5577	W	20011217

OTHER SOURCE(S): MARPAT 137:78855
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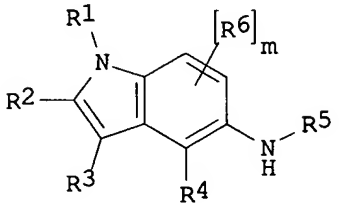


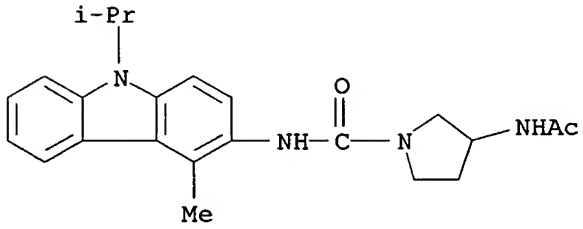
I

AB The title compds. [I; R1 = alkyl, alkanoyl, alkylsulfonyl, etc.; R2, R3 = Me; or R2 and R3 together = (un)substituted (CH2)4 or (CH)4; R4 = alkyl; R5 = CONR9R10, COR9, COCOR9; R6 = halo, CN, OH, etc.; R9, R10 = H, alkyl, alkoxy, etc.; or NR9R10 = (un)substituted heterocyclic ring; m = 0-2], useful as NPY 5 inhibitors in treating eating disorders, were prepared and formulated. Thus, amidation of 4-morpholinecarbonyl chloride with 3-amino-2,4-dimethyl-9-isopropyl-9H-carbazole in the presence of Et3N in DCM afforded I [R1 = iso-Pr; R2 and R3 together = (CH)4; R4 = Me; R5 = morpholinocarbonyl; R6 = 2-Me; m = 1]. In general, compds. I possess an IC50 in the range 0.0002 to 200 μM against NPY5.

IT **439862-23-4P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of carbazoles as neuropeptide Y5 receptor ligands)

RN 439862-23-4 CAPLUS

CN 1-Pyrrolidinecarboxamide, 3-(acetylamino)-N-[4-methyl-9-(1-methylethyl)-9H-carbazol-3-yl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 25 OF 51 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2002:220568 CAPLUS
DOCUMENT NUMBER: 136:263169
TITLE: Preparation of Substituted ureas as neuropeptide Y5 receptor antagonists
INVENTOR(S): Greenlee, William J.; Huang, Ying; Kelly, Joseph M.; McCombie, Stuart W.; Stamford, Andrew W.; Wu, Yusheng
PATENT ASSIGNEE(S): Schering Corporation, USA
SOURCE: PCT Int. Appl., 101 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

WO 2002022592	A2	20020321	WO 2001-US28324		20010912
WO 2002022592	A3	20020627			
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, HR, HU, ID, IL, IN, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LU, LV, MA, MD, MG, MK, MN, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UZ, VN, YU, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM					
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG					
CA 2422013	AA	20020321	CA 2001-2422013		20010912
AU 2001094547	A5	20020326	AU 2001-94547		20010912
EP 1322628	A2	20030702	EP 2001-975194		20010912
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR					
JP 2004509108	T2	20040325	JP 2002-526845		20010912
PRIORITY APPLN. INFO.:			US 2000-232255P	P	20000914
			WO 2001-US28324	W	20010912

OTHER SOURCE(S): MARPAT 136:263169
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

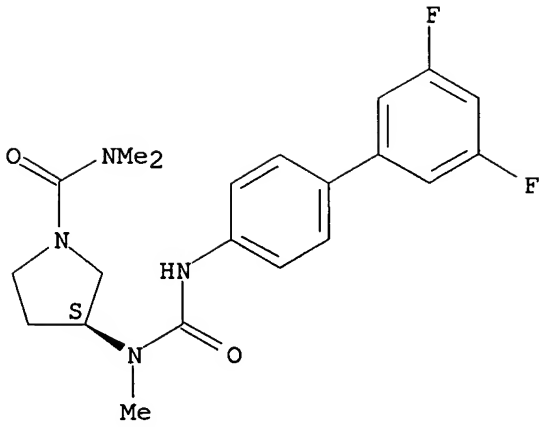
AB Title compds. [I; A = Q, Q1; R1 = H, F, Cl, CF3, OH; R2 = H, F, Cl, CF3, CN, OCH3, OH; R3 = H, F, Cl, CF3, OCF3, CN, OCH2C6H5, OH; R4 = H, F, Cl; X = NH, NCH3; n = 0, 1, 2; Y = NR5, C:NOH; R5 = SO2CH3, SO2(CH2)2CH3, cyclopropylmethyl, 3-pyridyl, 2-pyridyl, 2-thiazolyl, 2-pyrimidyl, 1-oxo-3-pyridyl, SO2NH2, CH2CONH2, CONH2, NHSO2CH3, SO2(CH2)2OH, C(:NCN)NHCH3, C(:NCN)SCH3, 3-pyridylcarbonyl, cyclobutylcarbonyl, cyclopentylcarbonyl, CON(CH3)2, cyclohexyl; R6 = H, F, Br, Cl, OCH3, OH; R7 = H, F, Cl, OCH3; etc.], stereoisomers, N-oxides, pharmaceutically acceptable salts or hydrates, and prodrugs are disclosed as neuropeptide Y5 receptor antagonists. Method of treating obesity, hyperphagia, type II diabetes, insulin resistance, and hypertension involving title compds. I are claimed. Thus, the title compound II was prepared from N-tert-butoxycarbonyl-4-piperidone, 4-bromophenyl isocyanate, 2-fluorophenylboronic acid, and methanesulfonyl chloride in multiple steps.

IT **405054-57-1P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of substituted ureas as neuropeptide Y5 receptor antagonists)

RN 405054-57-1 CAPLUS

CN 1-Pyrrolidinecarboxamide, 3-[[[(3',5'-difluoro[1,1'-biphenyl]-4-yl)amino]carbonyl]methylamino]-N,N-dimethyl-, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L4 ANSWER 26 OF 51 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2002:171896 CAPLUS
DOCUMENT NUMBER: 136:232316
TITLE: 7-Oxopyridopyrimidines as inhibitors of cellular proliferation, and particularly as inhibitors of p38 kinase, for treatment of p38-related conditions
INVENTOR(S): Chen, Jian Jeffrey; Dunn, James Patrick; Goldstein, David Michael; Lim, Julie Anne
PATENT ASSIGNEE(S): F. Hoffmann-La Roche Ag, Switz.
SOURCE: PCT Int. Appl., 135 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002018380	A1	20020307	WO 2001-EP9689	20010822
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2420286	AA	20020307	CA 2001-2420286	20010822
AU 2001093784	A5	20020313	AU 2001-93784	20010822
EP 1315726	A1	20030604	EP 2001-974206	20010822
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
BR 2001013628	A	20030701	BR 2001-13628	20010822
JP 2004507541	T2	20040311	JP 2002-523895	20010822
US 2002055513	A1	20020509	US 2001-943338	20010830
US 6518276	B2	20030211		
US 2002137756	A1	20020926	US 2001-943407	20010830
US 6506749	B2	20030114		
US 2003153586	A1	20030814	US 2002-230723	20020829
US 6861423	B2	20050301		
US 2003144307	A1	20030731	US 2002-315633	20021210
US 6753427	B2	20040622		
ZA 2003001079	A	20040507	ZA 2003-1079	20030207

US 2004192709	A1	20040930	US 2004-816554		20040401
PRIORITY APPLN. INFO.:			US 2000-229584P	P	20000831
			US 2000-229577P	P	20000831
			WO 2001-EP9689	W	20010822
			US 2001-943338	A3	20010830
			US 2001-943407	A1	20010830
			US 2002-315633	A3	20021210

OTHER SOURCE(S): MARPAT 136:232316

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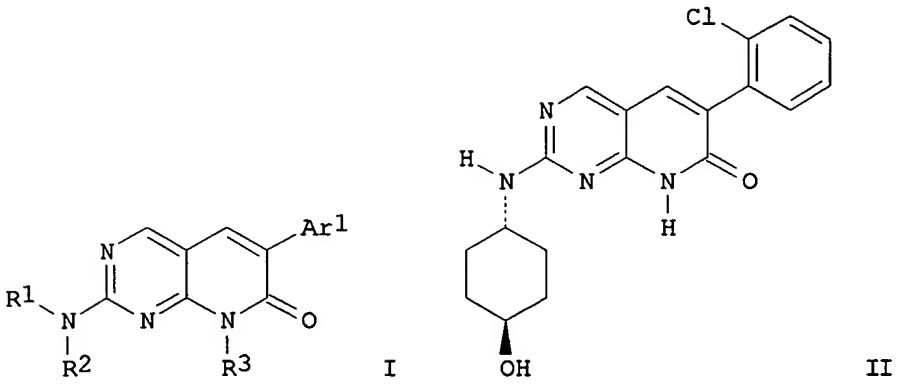


AB Compds. I are disclosed [wherein: R1 = H or alkyl; R2 = substituted cycloalkyl, hetero-substituted cycloalkyl, heteroalkyl-substituted cycloalkyl, hetero-substituted cycloalkyl-aryl, heterocyclyl, heterocyclylspirocycloalkyl, aralkoxy, alkoxy, -alkylene-S(O)n-alkyl (where n = 1 or 2) or SO2Ar2; R3 = H, amino, monoalkylamino, dialkylamino, acylamino, NRaC(:O)Rb (where Ra = H or alkyl, and Rb = heterocyclyl or heteroalkyl), alkyl, cycloalkyl, aryl, aralkyl, haloalkyl, heteroalkyl, cyanoalkyl, -alkylene-C(O)R (where R = H, alkyl, OH, alkoxy, amino, monoalkylamino or dialkylamino), acyl, or phthalimidoalkyl; and each of Ar1 and Ar2 = aryl]. Also disclosed in claims is their use for treatment of disorders selected from the group consisting of arthritis, Crohn's disease, Alzheimer's disease, irritable bowel syndrome, adult respiratory distress syndrome, and chronic obstructive pulmonary disease. A list of 151 compds. I is given, as well as approx. 100 synthetic examples. For instance, cyclocondensation of 4-amino-2-(methylthio)pyrimidine-5-carboxaldehyde with Et (2-chlorophenyl)acetate, followed by oxidation of the sulfide to a sulfone with Oxone, and displacement of the Me sulfone with trans-4-aminocyclohexanol, gave 78% title compound II. In an in vitro p38 assay, I had IC50 values ranging from about 4.76 μM to about 0.0003 μM.

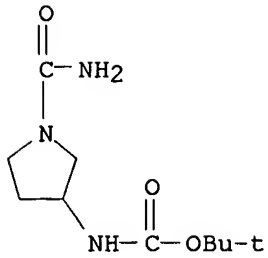
IT **402927-85-9P**

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(intermediate; preparation of oxopyridopyrimidines as p38 kinase inhibitors)

RN 402927-85-9 CAPLUS

CN Carbamic acid, [1-(aminocarbonyl)-3-pyrrolidinyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 27 OF 51 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2002:51438 CAPLUS
DOCUMENT NUMBER: 136:118447
TITLE: Preparation of benzimidazolecarboxylates and related compounds as viral polymerase inhibitors
INVENTOR(S): Beaulieu, Pierre Louis; Fazal, Gulrez; Gillard, James; Kukolj, George; Austel, Volkhard
PATENT ASSIGNEE(S): Boehringer Ingelheim (Canada) Ltd., Can.
SOURCE: PCT Int. Appl., 322 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002004425	A2	20020117	WO 2001-CA989	20010704
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
US 2002065418	A1	20020530	US 2001-898297	20010703
US 6448281	B2	20020910		
CA 2412718	AA	20020117	CA 2001-2412718	20010704
EP 1301487	A2	20030416	EP 2001-951274	20010704
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2004502761	T2	20040129	JP 2002-509292	20010704
US 6479508	B1	20021112	US 2001-995099	20011127
CA 2439176	AA	20020912	CA 2002-2439176	20020306
WO 2002070739	A2	20020912	WO 2002-CA323	20020306
WO 2002070739	A3	20030530		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				

EP 1370682		A2	20031217	EP 2002-712681		20020306
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR						
JP 2004520839		T2	20040715	JP 2002-570761		20020306
US 2003232816		A1	20031218	US 2002-238282		20020910
US 6794404		B2	20040921			
US 2004110126		A1	20040610	US 2004-471164		20040205
US 2004224955		A1	20041111	US 2004-851710		20040521
PRIORITY APPLN. INFO.:				US 2000-216084P	P	20000706
				US 2001-274374P	P	20010308
				US 2001-281343P	P	20010405
				US 2001-898297	A3	20010703
				WO 2001-CA989	W	20010704
				US 2001-995099	A3	20011127
				WO 2002-CA323	W	20020306
				US 2002-238282	A1	20020910

OTHER SOURCE(S): MARPAT 136:118447

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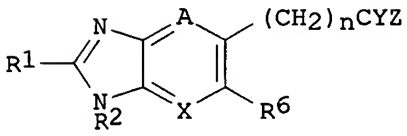


I

AB Title compds. [I; X = CH, N; Y = O, S; Z = OH, NH2, NMeR3, NHR3, OR3, 5-6 membered (substituted) heterocyclyl; A = N, COR7, CR5; R5 = H, halo, alkyl; R7 = H, alkyl; X and A are not both N; R6 = H, halo, alkyl, OR7; R7 = H , alkyl; R1 = (substituted) hetero(bi)cyclyl, Ph, phenylalkyl, alkenyl, phenylalkenyl, cycloalkyl, alkyl, CF3; R2 = (substituted) alkyl, cycloalkyl, cycloalkylalkyl, bicycloalkyl, adamantyl, Ph, pyridyl; R3 = H, alkyl, cycloalkyl, cycloalkylalkyl, aryl, arylalkyl, alkenyl, cycloalkylalkenyl, arylalkenyl, dialkylamino, heterocyclyl, etc.; n = 0, 1], were prepared Thus, Me 3-amino-4-cyclohexylaminobenzoate (preparation given), 2-pyridinecarboxaldehyde, and Oxone were stirred in DMF to give 80% Et 1-cyclohexyl-2-pyridin-2-yl-1H-benzimidazole-5-carboxylate, which was saponified with aqueous NaOH in MeOH to give 91% 1-cyclohexyl-2-pyridin-2-yl-1H-benzimidazole-5-carboxylic acid. The latter inhibited hepatitis C virus RNA dependent polymerase (NS5B) with IC50 = 1-5 μM.

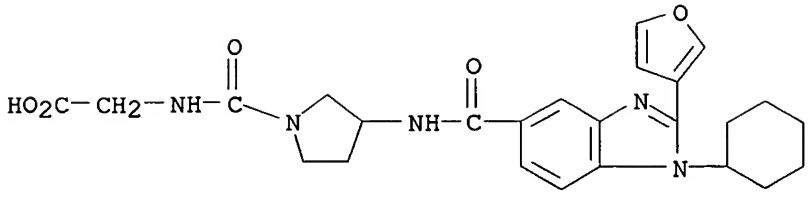
IT **390812-92-7P**

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of benzimidazolecarboxylates and related compds. as viral polymerase inhibitors)

RN 390812-92-7 CAPLUS

CN Glycine, N-[[3-[[[1-cyclohexyl-2-(3-furanyl)-1H-benzimidazol-5-yl]carbonyl]amino]-1-pyrrolidinyl]carbonyl]- (9CI) (CA INDEX NAME)



L4 ANSWER 28 OF 51 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2001:904207 CAPLUS
DOCUMENT NUMBER: 136:37902
TITLE: Preparation of 2-aminocarbonyl-9H-purine nucleosides and their uses in treatment of respiratory disease, as A2a receptor agonists and anti-inflammatory agents
INVENTOR(S): Mantell, Simon John; Stephenson, Peter Thomas
PATENT ASSIGNEE(S): Pfizer Limited, UK; Pfizer Inc.
SOURCE: PCT Int. Appl., 198 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
WO 2001094368	A1	20011213	WO 2001-IB973		20010605
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM					
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG					
CA 2414018	AA	20011213	CA 2001-2414018		20010605
US 2002058641	A1	20020516	US 2001-874007		20010605
US 6753322	B2	20040622			
EP 1292604	A1	20030319	EP 2001-934242		20010605
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR					
BR 2001011263	A	20030617	BR 2001-11263		20010605
JP 2003535871	T2	20031202	JP 2002-501916		20010605
NZ 522184	A	20040528	NZ 2001-522184		20010605
EE 200200678	A	20040615	EE 2002-678		20010605
BG 107216	A	20030530	BG 2002-107216		20021023
NO 2002005821	A	20030204	NO 2002-5821		20021204
ZA 2002009875	A	20031205	ZA 2002-9875		20021205
US 2004077584	A1	20040422	US 2003-676782		20031001
PRIORITY APPLN. INFO.:			GB 2000-14048	A	20000606
			GB 2000-18246	A	20000725
			GB 2000-24920	A	20001011
			US 2000-214307P	P	20000627
			US 2000-225236P	P	20000815
			US 2000-245243P	P	20001102
			US 2001-874007	A3	20010605
			WO 2001-IB973	W	20010605

OTHER SOURCE(S): MARPAT 136:37902
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

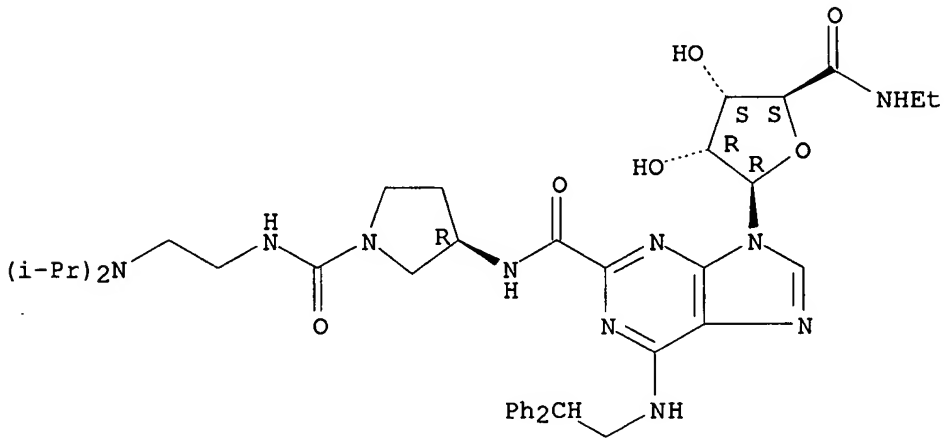
AB 2-Aminocarbonyl-9H-purine nucleosides I wherein R, R2 are independently H, alkyl; R1 is H, substituted alkyl, fluorenyl; R3 is H, alkyl, cycloalkyl, benzyl; R4 is substituted azetidin-3-yl, pyrrolidin-3-yl, piperidin-3-yl, piperidin-4-yl, homopiperidin-3-yl or homopiperidin-4-yl; R3R4 taken together with the nitrogen atom to which they are attached, represent azetidinyl, pyrrolidinyl, piperidinyl, piperazinyl, homopiperidinyl or homopiperazinyl, each being optionally substituted on a ring nitrogen or carbon atom by alkyl or cycloalkyl; R5 is CH2OH, amide; X is substituted alkylene; RX or R2X with the nitrogen atom to which they are attached , represent azetidin-3-yl, pyrrolidin-3-yl, piperidin-3-yl, piperidin-4-yl, homopiperidin-3-yl or homopiperidin-4-yl; Y is CO, CS, SO2, C=N(CN); were prepared as A2a receptor agonists and anti-inflammatory agents. Thus, nucleoside II was prepared and tested as A2a receptor agonist and anti-inflammatory agent. Title compds. were tested for biol. activity as A2a receptor agonists and anti-inflammatory agents and all were found to have an IC50 of less than 100 nM.

IT **380221-78-3P**
RL: IMF (Industrial manufacture); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of 2-aminocarbonyl-9H-purine nucleosides and uses in treatment of respiratory disease, as A2a receptor agonists and anti-inflammatory agents)

RN 380221-78-3 CAPLUS

CN β-D-Ribofuranuronamide, 1-[2-[[[(3R)-1-[[[2-[bis(1-methylethyl)amino]ethyl]amino]carbonyl]-3-pyrrolidinyl]amino]carbonyl]-6-[(2,2-diphenylethyl)amino]-9H-purin-9-yl]-1-deoxy-N-ethyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 29 OF 51 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2001:758466 CAPLUS
DOCUMENT NUMBER: 136:63597
TITLE: 5-(Tryptophyl)amino-1,3-dioxoperhydropyrido[1,2-c]pyrimidine-based potent and selective CCK1 receptor antagonists: structure-activity relationship studies on the central 1,3-dioxoperhydropyrido[1,2-

c]pyrimidine scaffold

AUTHOR(S): Bartolome-Nebreda, Jose M.; Garcia-Lopez, M. Teresa; Gonzalez-Muniz, Rosario; Cenarruzabeitia, Edurne; Latorre, Miriam; Del Rio, Joaquin; Herranz, Rosario

CORPORATE SOURCE: Instituto de Quimica Medica (CSIC), Madrid, E-28006, Spain

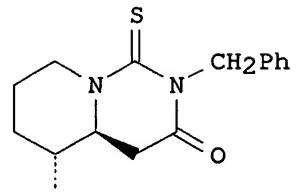
SOURCE: Journal of Medicinal Chemistry (2001), 44(24), 4196-4206
CODEN: JMCMAR; ISSN: 0022-2623

PUBLISHER: American Chemical Society

DOCUMENT TYPE: Journal

LANGUAGE: English

GI



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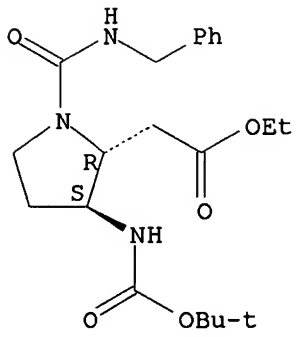
AB To further define the pharmacophore of the potent and selective 5-(tryptophyl)amino-1,3-dioxoperhydropyrido[1,2-c]pyrimidine-based CCK1 receptor antagonists the electronic and topog. properties of the central 1,3-dioxoperhydro-pyrido[1,2-c]pyrimidine scaffold have been modified. With this aim, the 1- and 3-oxo groups have been replaced by the thioxo- and deoxi-analogs, and the fused piperidine ring has been contracted to the corresponding pyrrolidine moiety. The results of the evaluation of the new analogs as CCK receptor ligands, in rat pancreas and cerebral cortex prepns., showed that, whereas replacement of oxygen with sulfur is allowed, reduction of the 1- or 3-oxo groups or the contraction of the fused piperidine ring lead to the complete loss of binding affinity at CCK1 receptors. Four thioxo-analogs showed functional CCK1 antagonist activity, inhibiting the CCK-8-stimulated amylase release from pancreatic acinar cells. The 1-thioxo analog (I), with subnanomolar affinity (IC50 = 0.09 + 10-9 M), was found to be the most potent and selective compound within the family of 5-(tryptophyl)amino-1,3-dioxoperhydropyrido[1,2-c]pyrimidine-based CCK1 antagonists.

IT **383174-81-0P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(tryptophylaminodioxoperhydropyridopyrimidine-based CCK1 receptor antagonists: SAR studies on the central 1,3-dioxoperhydropyrido[1,2-c]pyrimidine scaffold)

RN 383174-81-0 CAPLUS

CN 2-Pyrrolidineacetic acid, 3-[[(1,1-dimethylethoxy)carbonyl]amino]-1-[[(phenylmethyl)amino]carbonyl]-, ethyl ester, (2R,3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 42 THERE ARE 42 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 30 OF 51 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2001:713343 CAPLUS
DOCUMENT NUMBER: 135:272894
TITLE: Preparation of β-amino acid derivatives as inhibitors of matrix metalloproteases and TNF-α
INVENTOR(S): Duan, Jingwu; King, Bryan W.; Decicco, Carl; Maduskuie, Thomas P., Jr.; Voss, Matthew E.
PATENT ASSIGNEE(S): Dupont Pharmaceuticals Company, USA
SOURCE: PCT Int. Appl., 483 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
WO 2001070734	A2	20010927	WO 2001-US8336		20010315
WO 2001070734	A3	20020314			
W: AT, AU, BR, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, HU, IL, IN, JP, KR, LT, LU, LV, NZ, PL, PT, RO, SE, SG, SI, SK, UA, VN, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM					
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR					
CA 2400168	AA	20010927	CA 2001-2400168		20010315
AU 2001050850	A5	20011003	AU 2001-50850		20010315
EP 1263756	A2	20021211	EP 2001-924171		20010315
EP 1263756	B1	20040225			
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, CY, TR					
BR 2001009469	A	20030429	BR 2001-9469		20010315
JP 2003528097	T2	20030924	JP 2001-568935		20010315
AT 260272	E	20040315	AT 2001-924171		20010315
NZ 521245	A	20040430	NZ 2001-521245		20010315
ES 2215893	T3	20041016	ES 2001-1924171		20010315
US 2002013341	A1	20020131	US 2001-811116		20010316
US 6495565	B2	20021217			
HK 1049334	A1	20040716	HK 2003-101437		20030226
PRIORITY APPLN. INFO.:			US 2000-190183P	P	20000317
			US 2000-235467P	P	20000926
			US 2000-252062P	P	20001120
			WO 2001-US8336	W	20010315

OTHER SOURCE(S): MARPAT 135:272894
AB Novel β-amino acid derivs. A-CR3R4aCR2R4NR1CO-X-Z-Ua-Xa-Ya-Za [A = CO2H, SH, CH2SH, S(O)Ra:NH (Ra = H, alkyl), P(O)(OH)2, etc.; X, Xa is

absent or alkylene, alkenylene or alkynylene; Z is absent or substituted C3-13 carbocycle or 5-14 membered heterocycle; Ua is absent or O, NRa1 [Ra1 = H, (un)substituted alkyl, alkenyl or alkynyl; Ra and Ra1 may form a ring], CO, CO2, O2C, CONRa1, S(O)p (p = 0-2), etc.; Ya is absent or O, NRa1, S(O)p or CO; Za is H, substituted C3-13 carbocycle or 5-14 membered heterocycle; R1 is H, alkyl, Ph, benzyl; R2 is Q (Q is H, substituted carbocycle or heterocycle), alkylene-Q, (CRaRa1)r1O(CRaRa1)r-Q (r, r1 = 0-4), (CRaRa1)r1NRa(CRaRa1)r-Q, etc.; R3 = Q1 (Q1 is any group given for Q), alkylene-Q1, (CRaRa1)r1O(CRaRa1)r-Q1, (CRaRa1)r1NRa(CRaRa1)r-Q1, etc.; R4, R4a = H, substituted alkyl, alkenyl or alkynyl; alternatively R1 and R2, R1 and R3, R3 and R4a may form rings (with provisos)] or a stereoisomer or pharmaceutically acceptable salt were prepared as metalloprotease and TNF-α inhibitors. Thus, N-hydroxy-1-[[4-[(2-methyl-4-quinolinyl)methoxy]phenyl]acetyl]-3-azetidinecarboxamide was prepared by a multistep procedure involving reactions of Me 4-hydroxyphenylacetate, 2-methyl-4-quinolinylmethanol, and 3-azetidinecarboxylic acid Me ester.

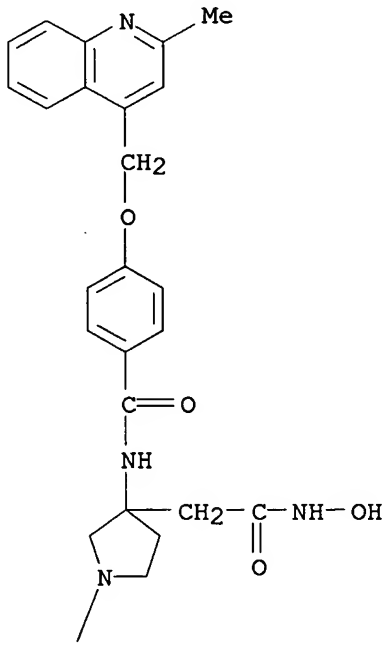
IT **362700-46-7P**

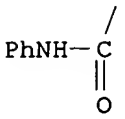
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of β-amino acid derivs. as inhibitors of matrix metalloproteases and TNF-α)

RN 362700-46-7 CAPLUS

CN 3-Pyrrolidineacetamide, N-hydroxy-3-[[4-[(2-methyl-4-quinolinyl)methoxy]benzoyl]amino]-1-[(phenylamino)carbonyl]- (9CI) (CA INDEX NAME)

PAGE 1-A



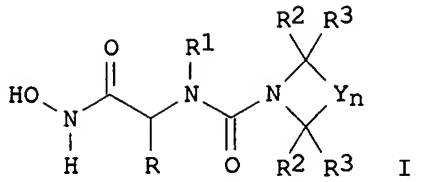


L4 ANSWER 31 OF 51 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2001:453006 CAPLUS
DOCUMENT NUMBER: 135:61229
TITLE: Novel heterocyclic urea compounds, particularly N-hydroxy-2-[N-substituted-N-[(2-substituted-pyrrolidin-1-yl)carbonyl]amino]acetamides, with activity as peptide deformylase inhibitors, and their compositions, methods of use as antimicrobials, and preparation
INVENTOR(S): Ni, Zhi-jie; Jacobs, Jeffrey W.; Patel, Dinesh V.; Lewis, Jason
PATENT ASSIGNEE(S): Versicor, Inc., USA
SOURCE: PCT Int. Appl., 88 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

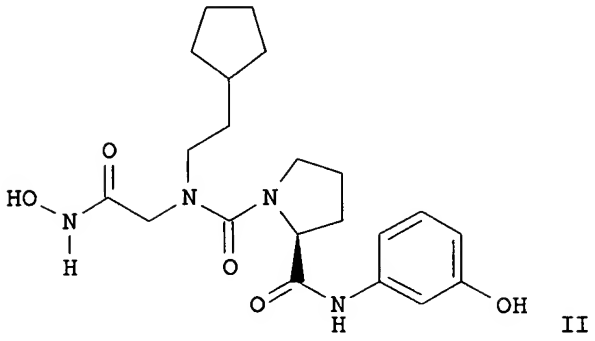
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001044178	A1	20010621	WO 2000-US34126	20001213
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				

PRIORITY APPLN. INFO.: US 1999-266329P P 19991217
US 1999-466402 A1 19991217

OTHER SOURCE(S): MARPAT 135:61229
GI



I



II

AB Novel hydroxamic acid compds. I are disclosed [wherein: R = H, R4, R5OH, R5OR6; R4, R6 = (un)substituted (hetero)alk(en/yn)yl or alkyl-(hetero)aryl-alkyl; R5 = (un)substituted (hetero)alk(en/yn)ylene or alkylene-(hetero)arylene-alkylene; R1 = H, (un)substituted (hetero)alk(en/yn)yl or alkyl-(hetero)aryl-alkyl; n = 1-5; zero or one Y group = O, NR7, or S; remaining Y = CR2R3; R2, R3 = H, R7, OH, OR7, SH, SR7, NH2, NHR7, NR7R8, COR7, CONR7R8, CO2R7, COCR7R8R9, CO2CR7R8R9, SO2NR7R8, etc.; R7, R8, R9 = H, (un)substituted (hetero)alk(en/yn)yl, alkoxy, or alkyl-(hetero)aryl-alkyl; or vicinal R2/R3 or vicinal pairs of R7/R8/R9 form (un)substituted cyclic (hetero)alkyl or (hetero)aryl group]. These hydroxamates inhibit peptide deformylase (PDF), an enzyme present in prokaryotes, and are therefore useful as antimicrobials and antibiotics. Methods of synthesis and use of the compds. are also disclosed. Over 60 synthetic examples are given. For instance, N-CBZ-L-proline was treated with SOCl2 and then 3-hydroxyaniline in pyridine to give the corresponding 3-hydroxyphenylamide, followed by deprotection of the proline N-terminus, coupling with N-[2-(cyclopentyl)ethyl]-N-[(methoxycarbonyl)methyl]carbamoyl chloride, and aminolysis with aqueous NH2OH, to give title compound II. Five standard formulations of I are described. I showed high selectivity for PDF over a variety of matrix and other metalloproteinases, and showed activity against Staphylococcus aureus, Staphylococcus epidermidis, Enterococcus faecium, Streptococcus pneumoniae, Haemophilus influenzae, Moraxella catarrhalis, and Escherichia coli (no data).

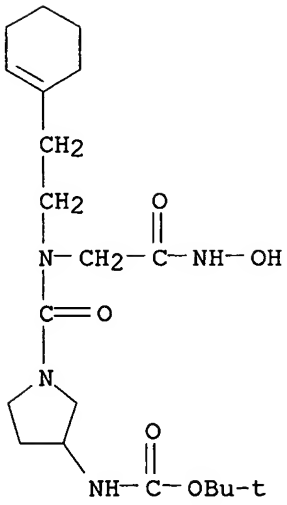
IT **345890-02-0P**

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of heterocyclic urea hydroxamates as peptide deformylase inhibitors for use as antimicrobials)

RN 345890-02-0 CAPLUS

CN Carbamic acid, [1-[[[2-(1-cyclohexen-1-yl)ethyl][2-(hydroxyamino)-2-oxoethyl]amino]carbonyl]-3-pyrrolidinyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 32 OF 51 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2001:192986 CAPLUS
DOCUMENT NUMBER: 135:159
TITLE: Design, Synthesis, and Structural Analysis of Influenza Neuraminidase Inhibitors Containing Pyrrolidine Cores
AUTHOR(S): Wang, Gary T.; Chen, Yuanwei; Wang, Sheldon; Gentles, Robert; Sowin, Thomas; Kati, Warren; Muchmore, Steve; Giranda, Vincent; Stewart, Kent; Sham, Hing; Kempf, Dale; Laver, W. Graeme
CORPORATE SOURCE: Pharmaceutical Product Division, Abbott Laboratories, Abbott Park, IL, 60064, USA
SOURCE: Journal of Medicinal Chemistry (2001), 44(8), 1192-1201
CODEN: JMCMAR; ISSN: 0022-2623
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 135:159

AB The discovery of (±)-(2S,3R,4R)-2-(trifluoroacetamido)methyl-3-amino-1-(N'-ethyl-N'-isopropylcarbamyl)pyrrolidine-4-carboxylic acid (A-192558) as a potent inhibitor of influenza neuraminidase (NA) is described. Efficient syntheses of two core structures, cis-3-(allyloxycarbonyl)amino-1-(9'-fluorenylmethoxycarbonyl)pyrrolidine-4-carboxylic acid and tert-Bu(±)-(2S,3R,4R)-2-aminomethyl-3-bis(tert-butyloxycarbonyl)amino-1-(N'-ethyl-N'-isopropylcarbamyl)pyrrolidine-4-carboxylate were developed. Starting with these core structures and using available structural information of the NA active site as the guide, analogs were synthesized in both the tri- and tetrasubstituted pyrrolidine series by high-throughput parallel synthesis in solid or solution phase for expeditious SAR. These studies accelerated the identification of A-192558 as the most potent NA inhibitor in this series (IC50 = 0.2 μM against NA A and 8 μM against NA B). The x-ray crystallog. structure of A-192558 bound to NA revealed the predicted interaction of the carboxylic group with the pos. charged pocket (Arg118, Arg292, Arg371) and interaction of the trifluoroacetamino residue with the hydrophobic pocket (Ile222, Trp178) of the enzyme active site. Surprisingly, the Et and iso-Pr groups of the urea functionality induced a conformational change of Glu276, turning the Glu276/Glu277 hydrophilic pocket, which normally accommodates the

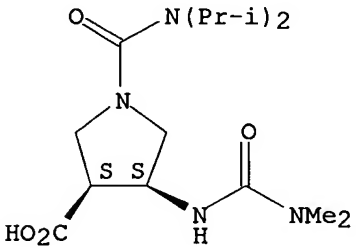
triglycerol side chain of substrate sialic acid, into an induced hydrophobic pocket.

IT **341969-84-4P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation, structure activity relations and structural anal. of influenza neuraminidase inhibitors containing pyrrolidine cores)

RN 341969-84-4 CAPLUS

CN 3-Pyrrolidinecarboxylic acid, 1-[[bis(1-methylethyl)amino]carbonyl]-4-[[(dimethylamino)carbonyl]amino]-, (3R,4R)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



REFERENCE COUNT: 34 THERE ARE 34 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 33 OF 51 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2001:137020 CAPLUS

DOCUMENT NUMBER: 134:193741

TITLE: Preparation of peptide derivatives as cell adhesion inhibitors

INVENTOR(S): Lee, Wen-Cherng; Scott, Daniel; Cornebise, Mark; Petter, Russell

PATENT ASSIGNEE(S): Biogen, Inc., USA

SOURCE: PCT Int. Appl., 144 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001012186	A1	20010222	WO 2000-US22285	20000814
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2380817	AA	20010222	CA 2000-2380817	20000814
BR 2000013248	A	20020723	BR 2000-13248	20000814
EP 1265606	A1	20021218	EP 2000-959232	20000814
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL				
JP 2003506491	T2	20030218	JP 2001-516532	20000814
EE 200200070	A	20030415	EE 2002-70	20000814
US 6630503	B1	20031007	US 2000-638652	20000814

NZ 517011	A	20040227	NZ 2000-517011		20000814
AU 780610	B2	20050407	AU 2000-70586		20000814
ZA 2002001158	A	20030512	ZA 2002-1158		20020211
NO 2002000725	A	20020408	NO 2002-725		20020213
BG 106510	A	20021031	BG 2002-106510		20020311
US 2004132809	A1	20040708	US 2003-677756		20031003
PRIORITY APPLN. INFO.:			US 1999-148845P	P	19990813
			US 2000-638652	A1	20000814
			WO 2000-US22285	W	20000814

OTHER SOURCE(S): MARPAT 134:193741

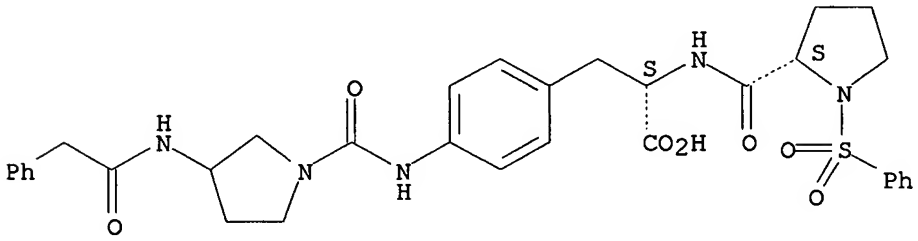
AB Cell adhesion inhibitors of the general formula R3-L-L'-R1 (R1 = H, C1-10alkyl, C2-10alkenyl or -alkynyl, cycloalkyl, cycloalkylalkyl, -alkenyl, or -alkynyl; L' and L are hydrocarbon linker moieties having 1-5 or 1-14 carbons, resp., which are optionally substituted and interrupted by, or terminally attached to, various groups; R3 = alkyl, cycloalkyl, aryl, aralkyl, aryloxy, arylamino, heterocyclyl, etc.) were prepared An inhibitor of the present invention interacts with VLA-4 mols. to inhibit VLA-4 dependent cell adhesion. Thus, N2-[N-[(3,5-dichlorophenyl)sulfonyl]-L-prolyl]-N4-[N-(o-MePUPA)-N-methyl-L-leucyl]-L-2,4-diaminobutyric acid [o-MePUPA = [4-[[[(2-methylphenyl)amino]carbonyl]amino]phenyl]acetyl] was prepared via peptide coupling reactions in solution

IT **327613-79-6P**
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of peptide derivs. as cell adhesion inhibitors)

RN 327613-79-6 CAPLUS

CN L-Phenylalanine, 1-(phenylsulfonyl)-L-prolyl-4-[[[3-[(phenylacetyl)amino]-1-pyrrolidinyl]carbonyl]amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 34 OF 51 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2001:78361 CAPLUS

DOCUMENT NUMBER: 134:147496

TITLE: Preparation of carbazoles as neuropeptide Y5 receptor ligands

INVENTOR(S): Block, Michael Howard; Donald, Samuel Craig; Foote, Kevin; Schofield, Paul; Marsham, Peter Robert

PATENT ASSIGNEE(S): AstraZeneca UK Limited, UK

SOURCE: PCT Int. Appl., 169 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001007409	A1	20010201	WO 2000-GB2745	20000715

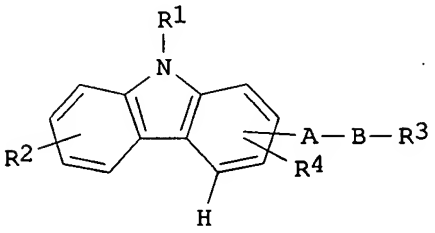
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: GB 1999-17173 A 19990723
GB 1999-18380 A 19990805
GB 1999-30314 A 19991222

OTHER SOURCE(S): MARPAT 134:147496

GI



I

AB The title compds. [I; R1 = H, alkyl, aryl, etc.; R2 = H, alkyl, CN, etc.; A = NH, CH2NH, NHCO, etc.; B = alkylene, alkenylene, a direct bond, etc.; R3 = H, OH, alkoxy, etc.; R4 = H, alkyl, halo, NO2] and their pharmaceutically acceptable salts, useful for the treatment of disorders mediated by the neuropeptide Y5 receptor, were prepared and formulated. E.g., reacting 3-amino-9-ethylcarbazole with PrNCO in the presence of Et3N in DMF afforded 50% I [R1 = Et; R2, R4 = H; ABR3 = 3-(NHCONHPr)]. In general, the compds. I possess an IC50 of 0.0002-200 μM against neuropeptide Y5 receptor binding.

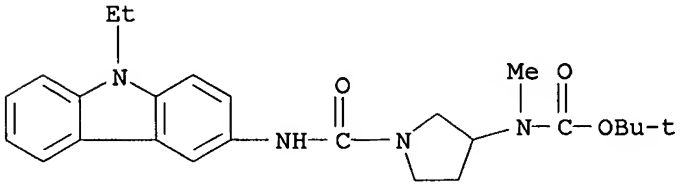
IT **322724-82-3P**

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of carbazoles as neuropeptide Y5 receptor ligands)

RN 322724-82-3 CAPLUS

CN Carbamic acid, [1-[[(9-ethyl-9H-carbazol-3-yl)amino]carbonyl]-3-pyrrolidinyl]methyl-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 35 OF 51 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2000:666562 CAPLUS

DOCUMENT NUMBER: 133:252748

TITLE: Preparation of methylalanyl-O-benzyltyrosine derivatives as growth hormone production and/or

release stimulants

INVENTOR(S): Robl, Jeffrey; Tino, Joseph A.; Hernandez, Andres S.; Li, James J.; Li, Jun; Swartz, Stephen G.

PATENT ASSIGNEE(S): Bristol-Myers Squibb Company, USA

SOURCE: PCT Int. Appl., 205 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
WO 2000054729	A2	20000921	WO 2000-US5704		20000302
WO 2000054729	A3	20010111			
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM					
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG					
CA 2367461	AA	20000921	CA 2000-2367461		20000302
EP 1175213	A2	20020130	EP 2000-913733		20000302
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO					
TR 200102780	T2	20020821	TR 2001-200102780		20000302
BR 2000008937	A	20020924	BR 2000-8937		20000302
JP 2002539141	T2	20021119	JP 2000-604808		20000302
EE 200100479	A	20021216	EE 2001-479		20000302
ZA 2001006854	A	20021120	ZA 2001-6854		20010820
BG 105843	A	20020531	BG 2001-105843		20010824
LT 4958	B	20021025	LT 2001-87		20010824
NO 2001004407	A	20011108	NO 2001-4407		20010911
PRIORITY APPLN. INFO.:			US 1999-124131P	P	19990312
			US 1999-154919P	P	19990921
			WO 2000-US5704	W	20000302

OTHER SOURCE(S): MARPAT 133:252748

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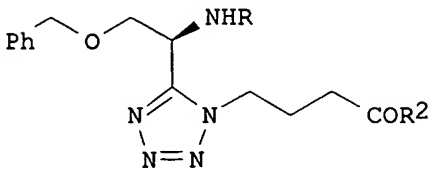


I

AB R1R1aCXaNR6COYXb [R1 = (un)substituted alkyl, (hetero)aryl(alkyl), etc.; R1a = H or (cyclo)alkyl; R6 = H, (cyclo)alkyl, alkenyl, aryl; Xa = (un)substituted heteroaryl; Xb = (di)(alkyl)amino, (un)substituted imidazolyl, etc.; Y = phenylene, (phenylene-interrupted)alkylene, alkenylene, etc.] were prepared as growth hormone production and/or release stimulants (no data). Thus, (R)-PhCH2OCH2CH(NHCO2CMe3)CO2H was amidated by H2N(CH2)3CO2Me and the product cyclocondensed with Me3SiN3 to give, after deprotection, O-benzyltyrosine derivative I (R = H, R2 = OMe) which was amidated by BocNHCMe2CO2H to give, in 3 addnl. steps, I.CF3CO2H (R = COCMe2NH2, R2 = NHCH2CH2R3, R3 = 3-indolyl).

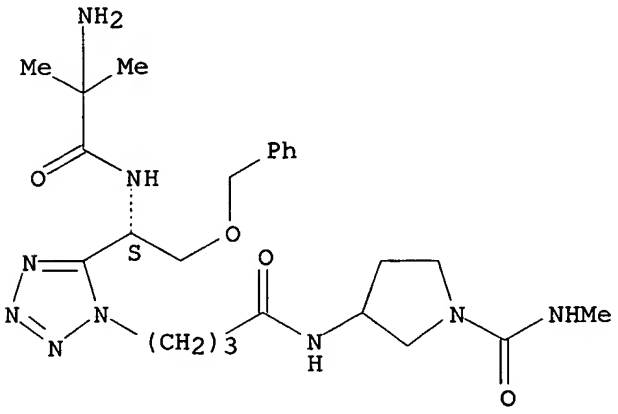
IT **295336-48-0P**

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of methylalanyl-O-benzyltyrosine derivs. as growth hormone production and/or release stimulants)

RN 295336-48-0 CAPLUS

CN 1H-Tetrazole-1-butanamide, 5-[(1S)-1-[(2-amino-2-methyl-1-oxopropyl)amino]-2-(phenylmethoxy)ethyl]-N-[1-[(methylamino)carbonyl]-3-pyrrolidinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L4 ANSWER 36 OF 51 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1999:691093 CAPLUS

DOCUMENT NUMBER: 131:310284

TITLE: Preparation of substituted diamines as α4β1 mediated cell adhesion inhibitors

INVENTOR(S): Mccarthy, Clive; Harris, Neil Victor; Morley, Andrew David

PATENT ASSIGNEE(S): Rhone-Poulenc Rorer Limited, UK

SOURCE: PCT Int. Appl., 189 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

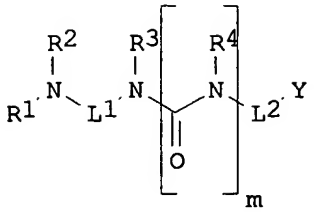
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9954321	A1	19991028	WO 1999-GB1230	19990421
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
AU 9937164	A1	19991108	AU 1999-37164	19990421

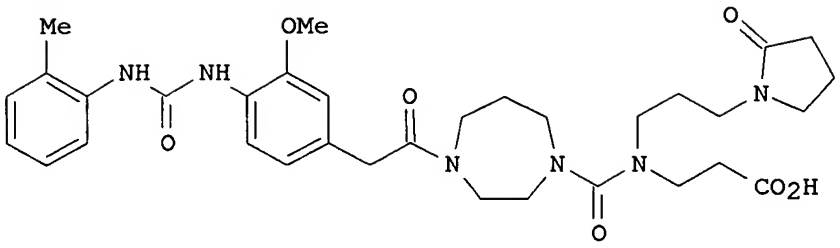
PRIORITY APPLN. INFO.:		
GB 1998-8431	A	19980421
GB 1998-11417	A	19980528
US 1998-104139P	P	19981014
US 1998-104238P	P	19981014
WO 1999-GB1230	W	19990421

OTHER SOURCE(S): MARPAT 131:310284

GI



I



II

AB Substituted diamines (I) [wherein R1 = lower alkyl or various combinations of substituents, such as (cyclo)alkyl, (cyclo)alkenyl, (cyclo)alkynyl, (hetero)aryl(alkyl), etc., and linkage groups, such as C(O), C(S), (un)substituted NHC(O) or NHC(S), S(O), SO2, heteroaryldiyl, heterocycloalkylene, phenylene, etc.; R2 = H or lower alkyl; R3 and R4 = independently H or (un)substituted alkyl, alkenyl, or alkynyl; or R3 and R4 together may = (CH2)n or C(O)CH:CH; L1 = alkylene or (un)substituted (CHR10)pAr(CHR10)p; or L1N(R3) = (un)substituted alkylheterocyclo; or N(R2)L1 = (un)substituted heterocycloalkyl; or N(R2)L1N(R3) = diaza heterocyclo; L2 = (un)substituted alkylene, alkenylene, alkynylene, cycloalkenylene, cycloalkylene, or heterocycloalkylene; Y = carboxy (or an acid bioisostere) or (un)substituted C(O)NH2; Ar = phenylene, (hetero)cycloalkylene, or heteroaryldiyl; R10 = H or lower alkyl; m = 0 or 1; n = 2-4; p = 0-3] were prepd by solid phase synthesis as α4β1 mediated cell adhesion inhibitors. For example, the ureido derivative (II) was prepared using a Wang resin support. The resin was loaded with acryloyl chloride and treated sequentially with 1-(3-aminopropyl)-2-pyrrolidinone, triphosgene, homopiperazine, and 3-methoxy-4-[3-(2-methylphenyl)ureido]phenylacetic acid to yield II. Compds. of formula I regulate the interaction of VCAM-1 and fibronectin with the integrin VLA-4 (α4β1). Particular compds. of the invention suppressed cell adhesion to fibronectin and VCAM-1 with IC50 values ranging from 100μM to 1 nM in assays on metabolically labeled RAMOS cells. Particular compds. also inhibited airway inflammation after antigen challenge in mice and rats. The inhibitors caused a statistically significant reduction in eosinophil and lymphocyte nos. in bronchoalveolar lavage (BAL) and airway tissue. The invention compds., their prodrugs, pharmaceutically acceptable salts, and solvates, are useful for the treatment of inflammatory diseases and asthma.

IT **247253-52-7P**

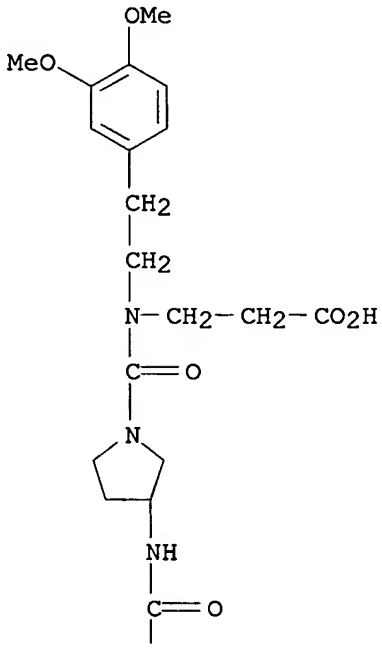
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(target compound; preparation of substituted diamines as α4β1 mediated cell adhesion inhibitors for treatment of inflammatory diseases and asthma)

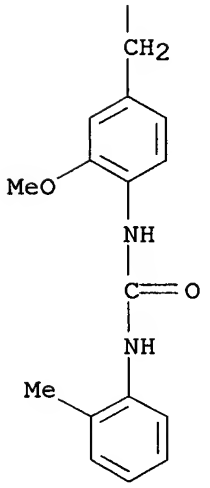
RN 247253-52-7 CAPLUS

CN β-Alanine, N-[2-(3,4-dimethoxyphenyl)ethyl]-N-[[3-[[[3-methoxy-4-[[[(2-methylphenyl)amino]carbonyl]amino]phenyl]acetyl]amino]-1-pyrrolidinyl]carbonyl]- (9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 2-A



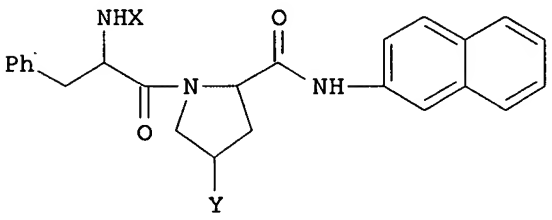
REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 37 OF 51 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1998:268469 CAPLUS
DOCUMENT NUMBER: 129:16384
TITLE: Preparation of novel pyrrolidine derivatives as remedies for infectious diseases
INVENTOR(S): Ohta, Toshiharu; Nakayama, Kiyoshi; Ohtsuka, Masami;

Inagaki, Hiroaki; Nishi, Toshiyuki; Ishida, Yohhei
PATENT ASSIGNEE(S): Daiichi Pharmaceutical Co., Ltd., Japan
SOURCE: PCT Int. Appl., 164 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9817625	A1	19980430	WO 1997-JP3812	19971022
W: AL, AU, BA, BB, BG, BR, CA, CN, CU, CZ, EE, GE, HU, ID, IL, IS, JP, KR, LC, LK, LR, LT, LV, MG, MK, MN, MX, NO, NZ, PL, RO, SG, SI, SK, SL, TR, TT, UA, US, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9747221	A1	19980515	AU 1997-47221	19971022
PRIORITY APPLN. INFO.:			JP 1996-279172 A	19961022
			JP 1996-287203 A	19961030
			WO 1997-JP3812 W	19971022

OTHER SOURCE(S): MARPAT 129:16384
GI



II

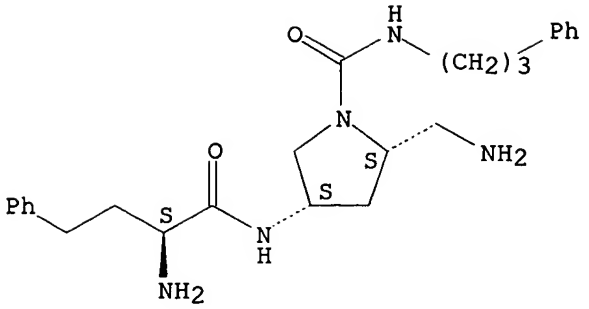
AB Novel compds. (I; R1-R3 = substituents in the cyclic structure, such as a pyrrolidine or a benzene ring; A = hydrocarbon or heterocyclo ring) are prepared I act on pathogenic microorganisms which have acquired tolerance to the existing antimicrobials and elevate the sensitivity to the antimicrobials, thus making them nontolerant. When used together with the antimicrobials, I can efficaciously establish the prevention and treatment of microbial infectious diseases. Thus, compound (II; X = tert-BuCO, Y = N3) (preparation given) was hydrogenated over Pd/C to give 95% the title compound
II.2HCl (X = H, Y = NH2), which was tested and showed inhibitory activity against PAM1001.

IT **207304-10-7P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of novel pyrrolidine derivs. as remedies for infectious diseases)

RN 207304-10-7 CAPLUS

CN 1-Pyrrolidinecarboxamide, 2-(aminomethyl)-4-[[(2S)-2-amino-1-oxo-4-phenylbutyl]amino]-N-(3-phenylpropyl)-, dihydrochloride, (2S,4S)- (9CI) (CA INDEX NAME)

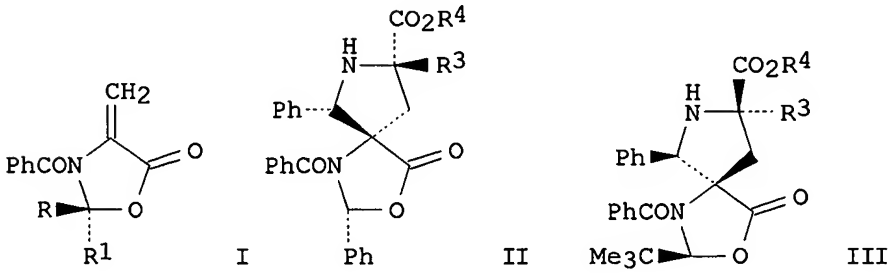
Absolute stereochemistry.



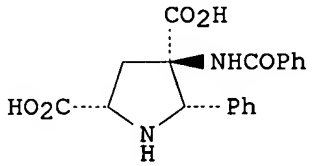
●2 HCl

REFERENCE COUNT: 26 THERE ARE 26 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 38 OF 51 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1998:243963 CAPLUS
DOCUMENT NUMBER: 129:16079
TITLE: Diastereoselective 1,3-dipolar cycloadditions and Michael reactions of azomethine ylides to (2R)-3-benzoyl-4-methylidene-2-phenyloxazolidin-5-one and (2S)-3-benzoyl- 2-t-butyl-4-methylideneoxazolidin-5-one
AUTHOR(S): Pyne, Stephen G.; Safaei, Javad; Schafer, A. Karl; Javidan, Abdollah; Skelton, Brian W.; White, Allan H.
CORPORATE SOURCE: Department of Chemistry, University of Wollongong, Wollongong, 2522, Australia
SOURCE: Australian Journal of Chemistry (1998), 51(2), 137-158
CODEN: AJCHAS; ISSN: 0004-9425
PUBLISHER: CSIRO Publishing
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



I II III



IV

AB The 1,3-dipolar cycloaddn. reactions of the title oxazolidinones I (R = H,

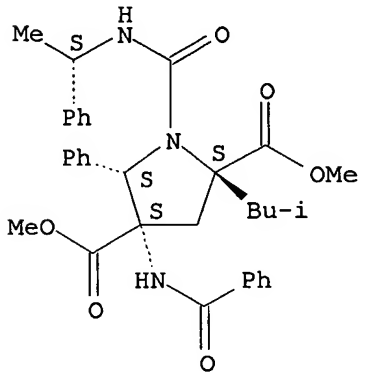
R1 = Ph; R = CMe3, R1 = H) with the azomethine ylides PhCH:NCHR3CO2R4 (R3 = Me, CH2CHMe2, Ph, CH2Ph, H; R4 = Me, Et), derived from N-benzylidene α-amino acid esters, proceed with good to high diastereoselectivity giving mainly the exo-cycloadducts II and III. The cycloaddn. adducts can be converted to highly functionalized prolines, e.g., IV, in high enantiomeric purity. The Michael addition adducts of I with the azomethine ylides derived from N-(disubstituted methylidene) α-amino acid esters allow for a practical synthesis of all four stereoisomers of 4-benzamidopyroglutamate. The stereochem. of these cycloaddn. and Michael adducts has been extensively determined by single-crystal x-ray structural anal. Lithium-chelated transition state structures have been proposed to rationalize the stereochem. outcomes of these reactions.

IT **207796-15-4P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(diastereoselective dipolar cycloaddns. and Michael reactions of azomethine ylides to oxazolidinones)

RN 207796-15-4 CAPLUS

CN 2,4-Pyrrolidinedicarboxylic acid, 4-(benzoylamino)-2-(2-methylpropyl)-5-phenyl-1-[[[(1S)-1-phenylethyl]amino]carbonyl]-, dimethyl ester, (2S,4S,5S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 56 THERE ARE 56 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 39 OF 51 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1997:543479 CAPLUS
DOCUMENT NUMBER: 127:161698
TITLE: Heterocyclic diphenylmethane derivatives as MIP-1α/RANTES receptor antagonists
INVENTOR(S): Kato, Kaneyoshi; Yamamoto, Mitsuo; Honda, Susumu; Fujisawa, Tomoyuki
PATENT ASSIGNEE(S): Takeda Chemical Industries, Ltd., Japan
SOURCE: PCT Int. Appl., 250 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

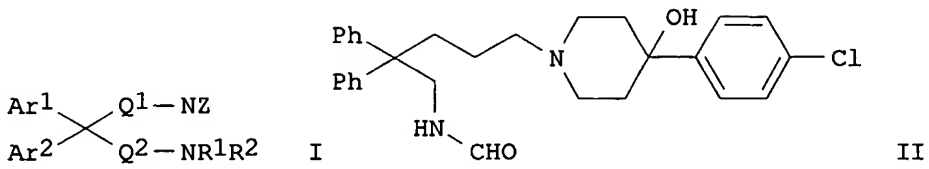
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9724325	A1	19970710	WO 1996-JP3820	19961226
W: AL, AM, AU, AZ, BA, BB, BG, BR, BY, CA, CN, CU, CZ, EE, GE, HU, IL, IS, KG, KR, KZ, LC, LK, LR, LT, LV, MD, MG, MK, MN, MX, NO, NZ, PL, RO, RU, SG, SI, SK, TJ, TM, TR, TT, UA, US, UZ, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				

RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG

AU 9712083	A1	19970728	AU 1997-12083		19961226
JP 10081665	A2	19980331	JP 1996-349136		19961227
PRIORITY APPLN. INFO.:			JP 1995-343905	A	19951228
			JP 1996-187375	A	19960717
			WO 1996-JP3820	W	19961226

OTHER SOURCE(S): MARPAT 127:161698

GI



AB Compds. which are MIP-1α/RANTES-receptor antagonists are disclosed, specifically I [Ar1, Ar2 = (un)substituted aromatic group; Q1, Q2 = (un)substituted divalent C1-6 aliphatic hydrocarbon group which may have either O or S within the C chain; R1 = H, (un)substituted alkyl or (un)substituted alkylcarbonyl; R2 = (un)substituted hydrocarbon group or (un)substituted acyl; or NR1R2 = (un)substituted N-containing heterocyclic; NZ = (un)substituted N-containing mono- or fused heterocyclic group], and salts thereof. The compds. are useful for therapy or prophylaxis of inflammatory, allergic, and other diseases. Over 120 title compds., and a variety of intermediates, were prepared For instance, N-alkylation of 4-(4-chlorophenyl)-4-hydroxypiperidine by 5-(formylamino)-1-iodo-4,4-diphenylpentane in MeCN in the presence of K2CO3 at 60° gave title compound II, isolated as the monohydrochloride (III). III displaced 125I-RANTES from human RANTES receptors in vitro with an IC50 of 0.04 μM, vs. 3 μM for ioperamide.

IT **193542-00-6P**

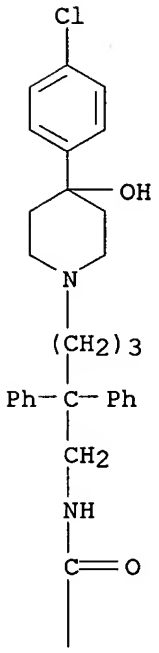
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of heterocyclic diphenylmethane derivs. as MIP-1α/RANTES receptor antagonists)

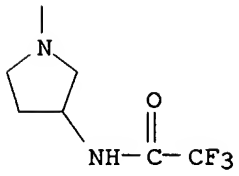
RN 193542-00-6 CAPLUS

CN 1-Pyrrolidinecarboxamide, N-[5-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]-2,2-diphenylpentyl]-3-[(trifluoroacetyl)amino]- (9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 2-A



L4 ANSWER 40 OF 51 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1997:359895 CAPLUS
DOCUMENT NUMBER: 127:75521
TITLE: A pharmacophore for high affinity PAF antagonists. II. Hydrophobicity study using the molecular lipophilicity potential
AUTHOR(S): Le Solleu, Herve; Laguerre, Michel; Saux, Michel; Dubost, Jean-Pierre
CORPORATE SOURCE: G.E.R.S.A.A.C., Lab. Chim. Anal., UFR Sci. Pharmaceutiques, Univ. Bordeaux II, Bordeaux, 33076, Fr.
SOURCE: Journal of Lipid Mediators and Cell Signalling (1997), 16(2), 75-113
CODEN: JLMSEO; ISSN: 0929-7855
PUBLISHER: Elsevier
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Platelet-activating factor (PAF) is a powerful phospholipid-derived autacoid involved in many physiopathol. mechanisms. Many PAF antagonists have been synthesized and evaluated as therapeutic candidates. In a previous report, we have described an electronic pharmacophore of PAF antagonists using the mol. electrostatic potential. In the present study, a mol. lipophilicity potential is used to compare the hydrophobic

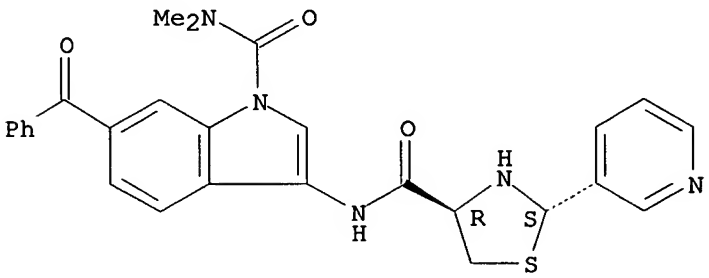
properties of 49 'heterocyclic sp2 nitrogen' highly potent PAF antagonists, belonging to six structurally different series (nine hetrazepines, five pyrrolo[1,2-c]thiazoles, 14 carboxyamides, nine dihydropyridines, nine pyridinyl-thiazolidines and three imidazo[4,5-c]pyridines). Their common features consist of three hydrophilic (HYD2, HY143B and HYD3) and two lipophilic zones (LIP3 and LIP4), defining the lipophilic pharmacophore of the antagonists. This pharmacophore is also characterized by several zone-to-zone distances: HYD3-HYD2 = 1.3 Å, HY3B-HYD2 = 7.8, HYD3-HY3B = 5.1 Å, LIP4-LIP3 = 5.4 Å, LIP3-HYD2 = 11.3 Å, LIP3-HY3B = 5.9 Å, LIP3-HYD3 = 4.3 Å, LIP4-HYD2 = 14.7 Å, LIP4-HY3B = 8.1 Å and LIP4-HYD3 = 3.9 Å. These results represent a new step in the determination of a global pharmacophore for PAF antagonists.

IT **179008-07-2**, AB 18
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); BIOL (Biological study)
(AB 18; hydrophobicity study using the mol. lipophilicity potential for pharmacophore for high affinity PAF antagonists)

RN 179008-07-2 CAPLUS

CN 1H-Indole-1-carboxamide, 6-benzoyl-N,N-dimethyl-3-[[[2-(3-pyridinyl)-4-thiazolidinyl]carbonyl]amino]-, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.



REFERENCE COUNT: 68 THERE ARE 68 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 41 OF 51 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1997:80139 CAPLUS

DOCUMENT NUMBER: 126:69744

TITLE: Synthesis and Protein Kinase C Inhibitory Activities of Balanol Analogs with Replacement of the Perhydroazepine Moiety

AUTHOR(S): Lai, Yen-Shi; Mendoza, Jose S.; Jagdmann, G. Erik, Jr.; Menaldino, David S.; Biggers, Christopher K.; Heerding, Julia M.; Wilson, Joseph W.; Hall, Steven E.; Jiang, Jack B.; et al.

CORPORATE SOURCE: Sphinx Pharmaceuticals, Durham, NC, 27707, USA

SOURCE: Journal of Medicinal Chemistry (1997), 40(2), 226-235
CODEN: JMCMAR; ISSN: 0022-2623

PUBLISHER: American Chemical Society

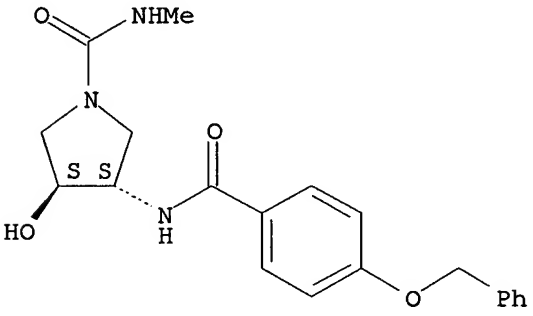
DOCUMENT TYPE: Journal

LANGUAGE: English

AB Balanol is a potent protein kinase C (PKC) inhibitor that is structurally composed of a benzophenone diacid, a 4-hydroxybenzamide, and a perhydroazepine ring. A number of balanol analogs in which the perhydroazepine moiety is replaced have been synthesized and their biol. activities evaluated against both PKC and cAMP-dependent kinase (PKA). The results suggested that the activity and the isoenzyme/kinase selectivity of these compds. are largely related to the conformation about this nonarom. structural element of the mols.

IT **167831-25-6P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; synthesis and protein kinase C inhibitory activities of balanol analogs)
RN 167831-25-6 CAPLUS
CN 1-Pyrrolidinecarboxamide, 3-hydroxy-N-methyl-4-[[4-(phenylmethoxy)benzoyl]amino]-, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.

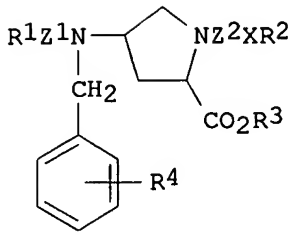


REFERENCE COUNT: 29 THERE ARE 29 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 42 OF 51 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1996:440544 CAPLUS
DOCUMENT NUMBER: 125:114472
TITLE: Preparation of pyrrolidinecarboxylic acid derivatives as angiotensin II antagonists.
INVENTOR(S): Yanagisawa, Hiroaki; Kanezaki, Takuo; Amamya, Yosha; Furusawa, Juji; Mizuno, Makoto
PATENT ASSIGNEE(S): Sankyo Co, Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 259 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
JP 08092207	A2	19960409	JP 1995-189453		19950725
PRIORITY APPLN. INFO.:			JP 1995-189453	A	19950725
			JP 1994-174452		19940726

OTHER SOURCE(S): MARPAT 125:114472
GI



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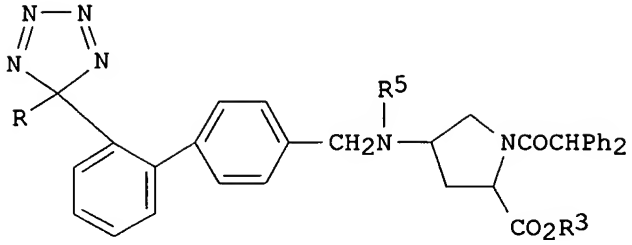


II

AB The title compds. [I; R1 = C1-6 alkyl, C2-6 alkenyl; R2 = (un)substituted C1-6 alkyl, C3-6 alkenyl or alkynyl, C3-6 cycloalkyl, etc.; R3 = H, protecting group; R4 = (protected) CO2H, tetrazolyl, SO2NHCOYR5 (wherein R5 = C1-16 alkyl, C6-14 aryl; Y = O, bond), (un)substituted Ph; X = bond, O; Z1, Z2 = CO, SO2], useful as cardiovascular agents in treating hypertension, etc., at 0.5-30 mg/day in adults, are prepared Acylation of trityl compound (2S,4S)-II (R = trityl, R3 = Me, R5 = H) with (BuCO)2O in pyridine gave valeryl compound (2S,4S)-II (R = trityl, R3 = Me, R5 = BuCO), which was treated with HOAc to give (2S,4S)-II (R = H, R3 = Me, R5 = BuCO) (III). Saponification of III gave the free acid II (R = R3 = H, R5 = BuCO).

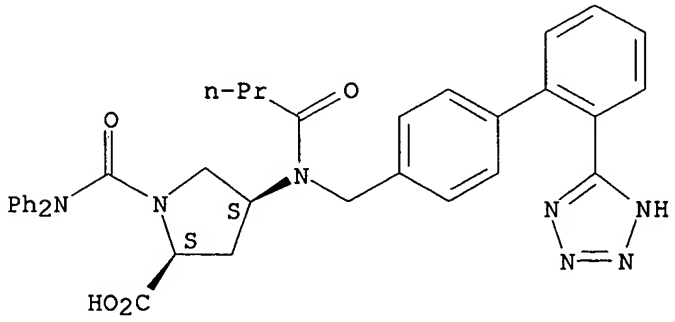
IC50 of I against angiotensin II in rats were determined

IT **178866-69-8P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of pyrrolidinecarboxylic acid derivs. as angiotensin II antagonists.)

RN 178866-69-8 CAPLUS

CN L-Proline, 1-[(diphenylamino)carbonyl]-4-[(1-oxobutyl)[[2'-(1H-tetrazol-5-yl)[1,1'-biphenyl]-4-yl]methyl]amino]-, cis- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



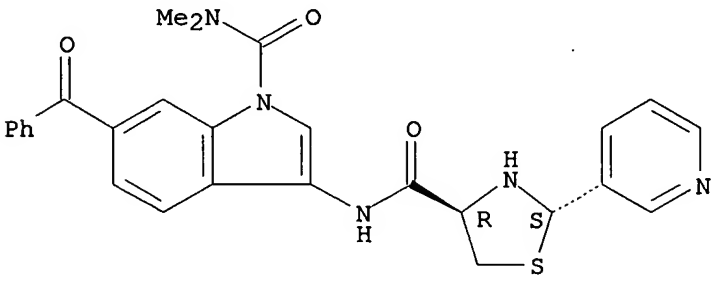
L4 ANSWER 43 OF 51 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1996:320570 CAPLUS

DOCUMENT NUMBER: 125:104229
TITLE: A pharmacophore for high affinity PAF antagonists. I. Electronic model using molecular electrostatic potential
AUTHOR(S): Solleu, Herve Le; Laguerre, Michel; Saux, Michel; Dubost, Jean-Pierre
CORPORATE SOURCE: G.E.R.S.A.A.C., Laboratoire de Chimie Analytique, UFR des Sciences Pharmaceutiques, Universite de Bordeaux II, 3 Place de la Victoire, Bordeaux, 33076, Fr.
SOURCE: Journal of Lipid Mediators and Cell Signalling (1996), 13(3), 249-282
CODEN: JLMSEO; ISSN: 0929-7855
PUBLISHER: Elsevier
DOCUMENT TYPE: Journal
LANGUAGE: English

AB PAF is a powerful phospholipid-derived autacoid involved in many physio-pathol. mechanisms. Many PAF antagonists have been synthesized and assayed for therapeutic purposes. In this study, mol. electrostatic potential is used to compare the electronic properties of 48 'heterocyclic sp2 nitrogen' highly potent PAF antagonists, belonging to six series (nine hetrazepines, five pyrrolo[1,2-c]thiazoles, 14 carboxamides, nine dihydropyridines, nine pyridinylthiazolidines and two imidazo[4,5-c]pyridines). Their common features consist of three main electroneg. zones (A, B1 and B2) describing the electronic pharmacophore of these ligands. The high affinity of these PAF antagonists seems to be related to this electroneg. system A-B(x), which is characterized by three distances A-B1 (9.3 Å), A-B2 (13.4 Å) and B1-B2 (4.9 Å). Moreover, B1 and B2 may surround a common anchorage point in the binding site of the receptor.

IT **179008-07-2**, AB 18
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(AB 18; pharmacophore for high affinity PAF antagonists in electronic model using mol. electrostatic potential)
RN 179008-07-2 CAPLUS
CN 1H-Indole-1-carboxamide, 6-benzoyl-N,N-dimethyl-3-[[[2-(3-pyridinyl)-4-thiazolidinyl]carbonyl]amino]-, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.



L4 ANSWER 44 OF 51 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1995:1002157 CAPLUS
DOCUMENT NUMBER: 124:175907
TITLE: Synthesis and evaluation of water soluble indole pyrrolothiazole PAF antagonists
AUTHOR(S): Sheppard, George S.; Davidsen, Steven K.; Carrera, George M., Jr.; Pireh, Daily; Holms, James H.; Heyman, H. Robin; Steinman, Douglas H.; Curtin, Michael L.; Conway, Richard G.; et al.

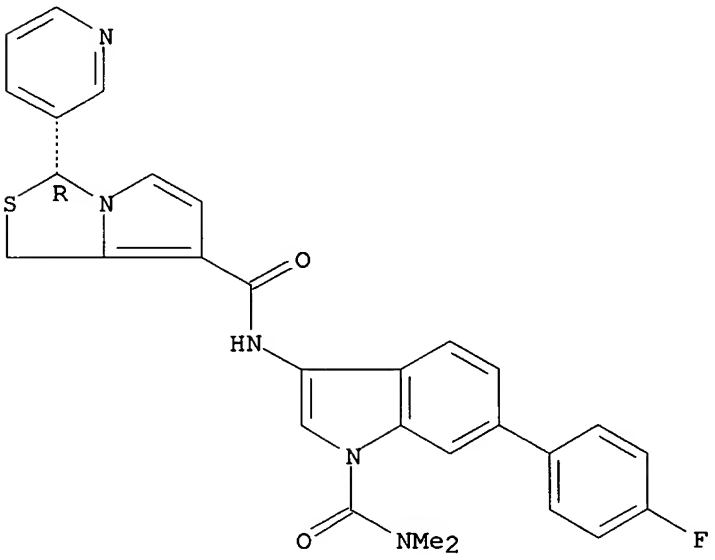
CORPORATE SOURCE: Immunosci. Res. Area, Dep. 47J, Abbott Laboratories, Abbott Park, IL, 60064, USA
SOURCE: Bioorganic & Medicinal Chemistry Letters (1995), 5(23), 2913-18
CODEN: BMCLE8; ISSN: 0960-894X
PUBLISHER: Elsevier
DOCUMENT TYPE: Journal
LANGUAGE: English

AB 3-(3-Pyridinyl)-7-(indol-3-ylcarbonyl)-1H,3H-pyrrolo[1,2-c]thiazoles represent a class of potent, orally active platelet activating factor (PAF) antagonists; however, the lead compds. in this series suffered from a lack of aqueous solubility To overcome this limitation, a number of strategies were examined to achieve improved solubility, involving the incorporation of polar substituents and the use of prodrugs.

IT **174003-43-1P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation of water soluble indolylcarbonylpyrrolothiazoles with platelet activating factor antagonist activity)

RN 174003-43-1 CAPLUS
CN 1H-Indole-1-carboxamide, 6-(4-fluorophenyl)-N,N-dimethyl-3-[[[3-(3-pyridinyl)-1H,3H-pyrrolo[1,2-c]thiazol-7-yl]carbonyl]amino]-, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

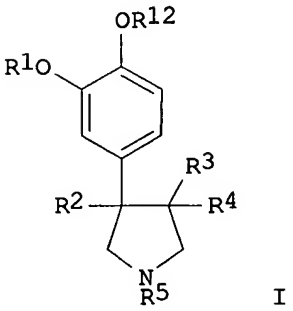


L4 ANSWER 45 OF 51 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1995:812865 CAPLUS
DOCUMENT NUMBER: 123:227981
TITLE: Preparation of 3-(3,4-dioxyphenyl)pyrrolidines as type IV phosphodiesterase inhibitors for treatment of inflammatory diseases
INVENTOR(S): Feldman, Paul Lawrence; Stafford, Jeffrey Alan
PATENT ASSIGNEE(S): Glaxo Inc., USA
SOURCE: PCT Int. Appl., 90 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9508534	A1	19950330	WO 1994-US10678	19940920
W: AM, AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, JP, KE, KG, KP, KR, KZ, LK, LR, LT, LU, LV, MD, MG, MN, MW, NL, NO, NZ, PL, PT, RO, RU, SD, SE, SI, SK, TJ, TT, UA, US, UZ				
RW: KE, MW, SD, SZ, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
US 5665754	A	19970909	US 1993-123837	19930920
CA 2171448	AA	19950330	CA 1994-2171448	19940920
AU 9478396	A1	19950410	AU 1994-78396	19940920
AU 685170	B2	19980115		
EP 720600	A1	19960710	EP 1994-929281	19940920
EP 720600	B1	20000712		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
JP 09502979	T2	19970325	JP 1994-509907	19940920
AT 194593	E	20000715	AT 1994-929281	19940920
ES 2149888	T3	20001116	ES 1994-929281	19940920
HK 1011972	A1	20001215	HK 1998-113069	19981210
PRIORITY APPLN. INFO.:			US 1993-123837 A	19930920
			WO 1994-US10678 W	19940920

OTHER SOURCE(S): MARPAT 123:227981
GI



I

AB Title compds. I (R1 = alkyl, haloalkyl, cycloalkyl bridged polycycloalkyl, aryl, heteroaryl, etc.; R2 = H, alkyl, haloalkyl, cycloalkyl, aryl, HOVH2, CHO, NC, etc.; R3 = NC, O2N, CHO, alkyl-CO, cycloalkyk-CO, etc.; R4 = H, alkyl, haloalkyl, cycloalkyl, alkyl-CO, haloalkyl-CO, etc.; R5 = NC, R10O2S, R11XC where R10 = alkyl, cycloalkyl, F3C, aryl, etc.. R11 = H, haloalkyl, aryl, etc.; R12 = C1-3 alkyl, cyclopropyl, C1-3 haloalkyl, X = O, S), are prepared To trimethylphosphonoacetate was added Lithiumbis(trimethylsilyl)amide and 3-(cyclopentyloxy)-4-methoxybenzaldehyde to give Me (E)-3-(3-cyclopentoxy-4-methoxyphenyl)-2-propenoate. A similar prepd compound cis-3-(3-cyclopentoxy-4-methoxyphenyl)-4-(methoxycarbonyl)-1-(phenylmethyl)pyrrolidine was treated with di-tert-Bu dicarbonate to give I (R1 = cyclopentyl, R2 = R4 = H, R3 = MeO2C, R5 Me3CO2C, R12 = Me). In test for phosphodiesterase inhibitory activity the IC50 of I was 100pM-200μM. I are also claimed for treatment of autoimmune diseases, elevated cytokinin levels, etc. Pharmaceutical compns. comprising I are given.

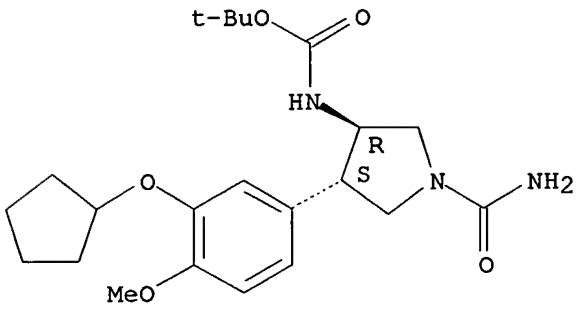
IT **168169-74-2P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);

BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of 3-(3,4-dioxyphenyl)pyrrolidines as type IV phosphodiesterase inhibitors for treatment of inflammatory diseases)

RN 168169-74-2 CAPLUS

CN Carbamic acid, [1-(aminocarbonyl)-4-[3-(cyclopentyloxy)-4-methoxyphenyl]-3-pyrrolidinyl]-, 1,1-dimethylethyl ester, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.



L4 ANSWER 46 OF 51 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1995:794873 CAPLUS

DOCUMENT NUMBER: 123:198645

TITLE: Preparation of balanoids as protein kinase C inhibitors

INVENTOR(S): Hall, Steven Edward; Ballas, Lawrence M.; Kulanthaivel, Palaniappan; Boros, Christie; Jiang, Jack B.; Jagdmann, Gunnar Erik, Jr.; Lai, Yen-Shi; Biggers, Christopher K.; Hu, Hong; et al.

PATENT ASSIGNEE(S): Nichols, Gina M., USA; Sphinx Pharmaceuticals Corporation

SOURCE: PCT Int. Appl., 559 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

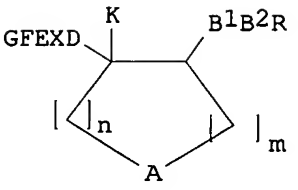
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9420062	A2	19940915	WO 1994-US2283	19940302
WO 9420062	A3	19960815		
W: AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, ES, FI, GB, HU, JP, KP, KR, KZ, LK, LU, LV, MG, MN, MW, NL, NO, NZ, PL, PT, RO, RU, SD, SE, SK, UA, US, UZ, VN				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
CA 2157412	AA	19940915	CA 1994-2157412	19940302
AU 9462527	A1	19940926	AU 1994-62527	19940302
EP 687249	A1	19951220	EP 1994-909847	19940302
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
JP 09503994	T2	19970422	JP 1994-520148	19940302
ZA 9401478	A	19950905	ZA 1994-1478	19940303

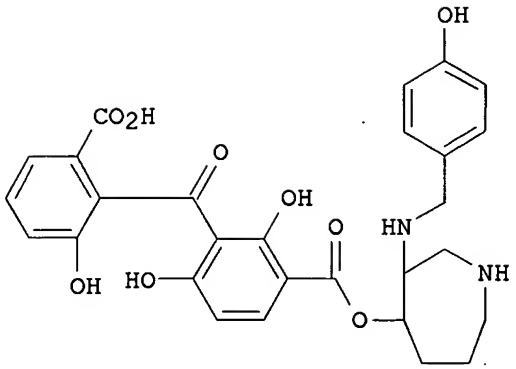
PRIORITY APPLN. INFO.: US 1993-25846 A 19930303
WO 1994-US2283 W 19940302

OTHER SOURCE(S): MARPAT 123:198645

GI



I



II

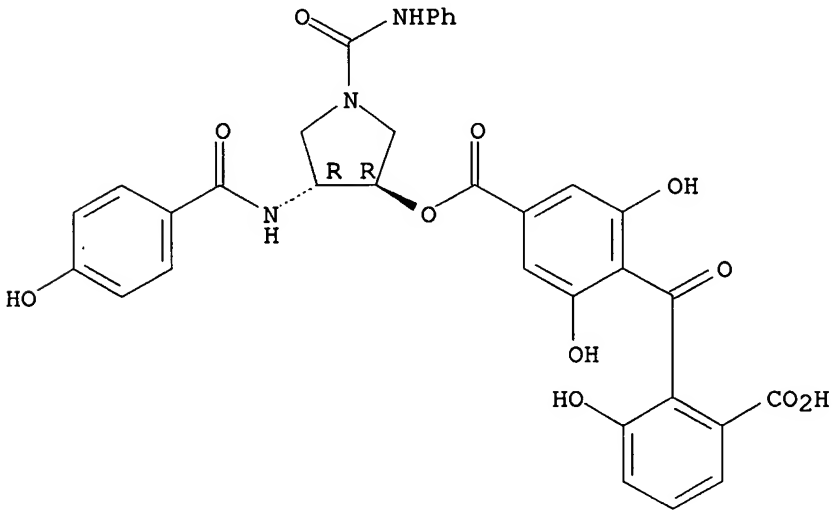
AB Title compds. [I; A = CH2, NR1, O, S, SO2; B1 = NR2, CH2, O; B2 = CO, CS, SO2; D = NR3 = O, CH2; E = R5, (un)substituted (hetero)arylene; F = CO or CH2; G = R7, cycloalkyl, (un)substituted (hetero)aryl; K = H, alkyl; R = R4, (un)substituted Ph, (hetero)aryl; R1-R4, R7 = H, alkyl, aryl, etc.; R5 = alkyl, aryl; X = CO, CS, CH2, etc.; m,n = 1-4] were prepared Thus, title compound (-)-trans-II (preparation given) gave 100% inhibition of protein kinase
C β2 at 0.5μM.

IT **167829-01-8P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of balanoids as protein kinase C inhibitors)

RN 167829-01-8 CAPLUS

CN Benzoic acid, 4-(2-carboxy-6-hydroxybenzoyl)-3,5-dihydroxy-, 1-[4-[(4-hydroxybenzoyl)amino]-1-[(phenylamino)carbonyl]-3-pyrrolidinyl] ester, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.



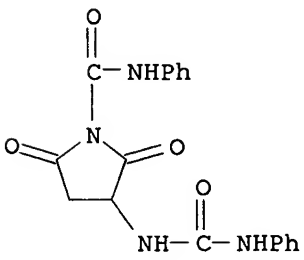
L4 ANSWER 47 OF 51 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1980:607167 CAPLUS
DOCUMENT NUMBER: 93:207167
TITLE: Antioxidant properties of N-phenylcarbamylmaleuric acid derivatives

AUTHOR(S): Zeinalova, G. A.; Kyazimova, N. S.; Nagieva, E. A.
CORPORATE SOURCE: Inst. Khim. Prisadok, Baku, USSR
SOURCE: Neftekhimiya (1980), 20(3), 457-60
CODEN: NEFTAH; ISSN: 0028-2421
DOCUMENT TYPE: Journal
LANGUAGE: Russian

AB The oxidation of synthetic lubricants based on pentaerythritol esters (A) was inhibited by N-morpholino-N-phenylcarbamoylsuccinimide [75222-43-4], N-morpholino-N-phenylsuccinimide [75222-44-5], N,N'-bis(N-phenyl-N-succinimidocarbonylamino)piperazine [75236-03-2], α-morpholino-N-phenylureidosuccinic acid (I) [75222-45-6], or phenylureido-N-phenylcarbamoylsuccinimide [**68494-39-3**], but their inhibiting activity was lower than that of phenyl-N-naphthylamine. All these compds. inhibited copper naphthenate (oxidation catalyst) and thus prevented oxidation of A. The oxidation of A on the surface of a copper plate was inhibited by I which gave a stable protective film on copper.

IT **68494-39-3**
RL: USES (Uses)
(antioxidants, for synthetic lubricants)

RN 68494-39-3 CAPLUS
CN 1-Pyrrolidinecarboxamide, 2,5-dioxo-N-phenyl-3-[[(phenylamino)carbonyl]amino]- (9CI) (CA INDEX NAME)

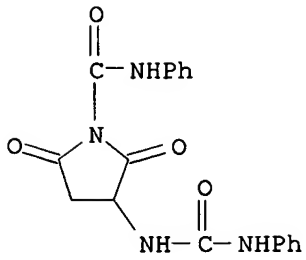


L4 ANSWER 48 OF 51 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1979:8578 CAPLUS
DOCUMENT NUMBER: 90:8578
TITLE: Use of amino-N-phenylcarbamylsuccinimides as antioxidant additives to synthetic lubricants
AUTHOR(S): Zeinalova, G. A.; Kyazimova, N. S.; Nagieva, E. A.
CORPORATE SOURCE: Inst. Khim. Silik. im. Grebenshchikova, Leningrad, USSR
SOURCE: Khimiya i Tekhnologiya Topliv i Masel (1978), (8), 26-7
CODEN: KTPMAG; ISSN: 0023-1169
DOCUMENT TYPE: Journal
LANGUAGE: Russian

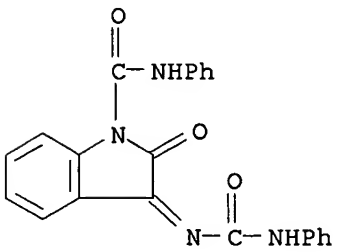
AB Piperidino- [68494-40-6], morpholino- [68494-41-7], dibutylamino- [68494-42-8], butylamino- [68494-43-9], ethanolamino- [68494-44-0], anilino- [68494-45-1], and phenylureido-N-(phenylcarbamoyl)succinimide [**68494-39-3**], 1,4-piperazinediylbis[N-(phenylcarbamoyl)succinimide] [62898-87-7], and Ph2NH [122-39-4] were tested as antioxidants for a synthetic lubricating oil for 10 h at 225 ° in the presence of steel, Cu, and Al plates. The N-(phenylcarbamoyl)succinimide derivs. had better antioxidn. properties than Ph2NH.

IT **68494-39-3**
RL: USES (Uses)
(antioxidants, for synthetic lubricating oils)

RN 68494-39-3 CAPLUS
CN 1-Pyrrolidinecarboxamide, 2,5-dioxo-N-phenyl-3-[[(phenylamino)carbonyl]amino]- (9CI) (CA INDEX NAME)



L4 ANSWER 49 OF 51 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1970:477186 CAPLUS
DOCUMENT NUMBER: 73:77186
TITLE: Heterocyclizations. VII. New hydantoins with bridge-head nitrogen of spiran structure
AUTHOR(S): Capuano, Lilly; Welter, Mechthild; Zander, Rita
CORPORATE SOURCE: Inst. Org. Chem., Univ. Saarland, Saarbruecken, Fed. Rep. Ger.
SOURCE: Chemische Berichte (1970), 103(8), 2394-2402
CODEN: CHBEAM; ISSN: 0009-2940
DOCUMENT TYPE: Journal
LANGUAGE: German
GI For diagram(s), see printed CA Issue.
AB Reaction of di-Me 4,5-imidazoledicarboxylate with MeNCO gave 1,3-dioxo-2-methyl-7-methoxycarbonyl-2,3-dihydro-1H- imidazo[1,5-c]imidazole (I). Similar reaction of Et prolinate or Et pipecolate gave 1,3-dioxo-2-methylperhydropyrrolo[1,2-c]imidazole (II) or -imidazo[1,5-a]pyridine (III), resp. Reaction of isatin with RNCO in EtOH-NEt3 gave Et 3-(R-substituted)-4-hydroxy-2-thiono-1,2,3,4-tetrahydro-4- quinazolinecarboxylates (IV) (where R = Me or Ph). Reaction of isatin-3-imide with RNCO gave 3-[(RNHCON:)-substituted]-1-[(RNHCO)-substituted]2-oxo-2, 3-dihydroindoles (V) (where R = Me or Ph), which on cyclization with EtOH-NEt3 gave 1',3-(R,R-disubstituted)-2,i',5h-trioxo-1,2,3, 4-tetrahydrospiro[quinazoline-4,4'-imidazolidines] (VI) (where R = Me or Ph).
IT **28567-72-8P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 28567-72-8 CAPLUS
CN Urea, 1-[2-oxo-1-(phenylcarbamoyl)-3-indolinylidene]-3-phenyl- (8CI) (CA INDEX NAME)

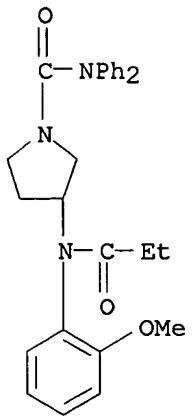


L4 ANSWER 50 OF 51 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1969:481150 CAPLUS
DOCUMENT NUMBER: 71:81150
TITLE: Basic substituted pyrrolidines as tranquilizers

INVENTOR(S): Welstead, William J., Jr.; Helsley, Grover C.; Chen, Ying-Ho
PATENT ASSIGNEE(S): A. H. Robins Co., Inc.
SOURCE: S. African, 41 pp.
CODEN: SFXXAB
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

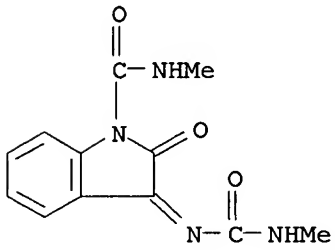
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
ZA 6804758		19681213	ZA	
CA 955257			CA	
DE 1795328			DE	
FR 1581322			FR	
GB 1239029			GB	
US 3509029		19700000	US	
US 3509171		19700000	US	
PRIORITY APPLN. INFO.:			US	19670914

GI For diagram(s), see printed CA Issue.
AB Title compds. of the general structure I, useful as tranquilizers, were prepared from the appropriate II by several routes: for R4 = H by addition of II to an alkyl isocyanate or isothiocyanate in an inert solvent or to NCO- in aqueous HCl; by Schotten-Baumen acylation in a CHCl3-aqueous CO3-system with R3R4NCOCl; for V = NH by displacement of SMe from R3R4NC(:NH)SMe in 95% EtOH at reflux; acyl groups are also introduced as R2 by Schotten-Baumen acylation. The I prepared are tabulated.
IT **23456-20-4P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 23456-20-4 CAPLUS
CN 1-Pyrrolidinecarboxamide, 3-[N-(o-methoxyphenyl)propionamido]-N,N-diphenyl- (8CI) (CA INDEX NAME)



L4 ANSWER 51 OF 51 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1969:19985 CAPLUS
DOCUMENT NUMBER: 70:19985
TITLE: Phenyldiazomethane and triethylamine as cyclization agents. III. Synthesis of imidazo[1,5-α]indoles, pyrrolo[1,2-c]imidazoles, and quinazolines
AUTHOR(S): Capuano, Lilly; Welter, Mechthild
CORPORATE SOURCE: Univ. Saarlandes, Saarbruecken, Fed. Rep. Ger.

SOURCE: Chemische Berichte (1968), 101(11), 3671-8
CODEN: CHBEAM; ISSN: 0009-2940
DOCUMENT TYPE: Journal
LANGUAGE: German
OTHER SOURCE(S): CASREACT 70:19985
GI For diagram(s), see printed CA Issue.
AB Treatment of α-CHO-or CO2R1-substituted pyrroles and indoles with RNCO and PhCHN2 gave imidazo[1,5-a]indoles (I) and pyrrolo[1,2-c]-imidazoles (II). Isatin and isatin-3-imide were N-carbamoylated with RNCO in the presence of PhCHN2 and Et3N to give the 1-CONHPh or -CONHMe derivative of isatin and 2-oxo-3-methylcarbamoylamino-1-methylcarbamoyl-2,3-dihydroindole, which added EtOH or H2O in the presence of Et3N or PhCHN2 to give quinazoline derivs.
IT **21381-52-2P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 21381-52-2 CAPLUS
CN Urea, 1-methyl-3-[1-(methylcarbamoyl)-2-oxo-3-indolinylidene]- (8CI) (CA INDEX NAME)

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E5            1     JOSIEN DELPHINE/AU
E6            1     JOSIEN E/AU
E7            5     JOSIEN F A/AU
E8            5     JOSIEN FRANCOIS A/AU
E9           16     JOSIEN FRANCOIS ANDRE/AU
E10           4     JOSIEN H/AU
E11           1     JOSIEN H B/AU
E12          25     JOSIEN HUBERT/AU

=> exp josien hubert/au
E1            4     JOSIEN H/AU
E2            1     JOSIEN H B/AU
E3           25 --> JOSIEN HUBERT/AU
E4           14     JOSIEN HUBERT B/AU
E5            3     JOSIEN J P/AU
E6            1     JOSIEN JEAN PIERRE/AU
E7            4     JOSIEN L/AU
E8            3     JOSIEN LEFEBVRE DELPHINE/AU
E9           10     JOSIEN LUDOVIC/AU
E10           1     JOSIEN M/AU
E11          33     JOSIEN M L/AU
E12          26     JOSIEN MARIE L/AU

=> s e1-e4
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             4 "JOSIEN H"/AU
             1 "JOSIEN H B"/AU
            25 "JOSIEN HUBERT"/AU
            14 "JOSIEN HUBERT B"/AU
L5          44 ("JOSIEN H"/AU OR "JOSIEN H B"/AU OR "JOSIEN HUBERT"/AU OR "JOSI
               EN HUBERT B"/AU)

=> fil uspatfull
COST IN U.S. DOLLARS                                SINCE FILE      TOTAL
                                                       ENTRY    SESSION
FULL ESTIMATED COST                                   264.90     426.44

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)          SINCE FILE      TOTAL
                                                       ENTRY    SESSION
CA SUBSCRIBER PRICE                                   -37.23     -37.23

FILE 'USPATFULL' ENTERED AT 18:49:34 ON 12 JUN 2005
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FILE COVERS 1971 TO PATENT PUBLICATION DATE: 9 Jun 2005 (20050609/PD)
FILE LAST UPDATED: 9 Jun 2005 (20050609/ED)
HIGHEST GRANTED PATENT NUMBER: US6904611
HIGHEST APPLICATION PUBLICATION NUMBER: US2005125869
CA INDEXING IS CURRENT THROUGH 9 Jun 2005 (20050609/UPCA)
ISSUE CLASS FIELDS (/INCL) CURRENT THROUGH: 9 Jun 2005 (20050609/PD)
REVISED CLASS FIELDS (/NCL) LAST RELOADED: Apr 2005
USPTO MANUAL OF CLASSIFICATIONS THESAURUS ISSUE DATE: Apr 2005

>>>  USPAT2 is now available.  USPATFULL contains full text of the     <<<
>>>  original, i.e., the earliest published granted patents or         <<<
>>>  applications.  USPAT2 contains full text of the latest US         <<<
>>>  publications, starting in 2001, for the inventions covered in     <<<
>>>  USPATFULL.  A USPATFULL record contains not only the original     <<<
>>>  published document but also a list of any subsequent              <<<
>>>  publications.  The publication number, patent kind code, and      <<<
>>>  publication date for all the US publications for an invention     <<<
>>>  are displayed in the PI (Patent Information) field of USPATFULL   <<<
>>>  records and may be searched in standard search fields, e.g., /PN, <<<
>>>  /PK, etc.                                                         <<<

>>>  USPATFULL and USPAT2 can be accessed and searched together        <<<
>>>  through the new cluster USPATALL.  Type FILE USPATALL to          <<<
>>>  enter this cluster.                                               <<<
>>>                                                                    <<<
>>>  Use USPATALL when searching terms such as patent assignees,       <<<
>>>  classifications, or claims, that may potentially change from      <<<
>>>  the earliest to the latest publication.                           <<<

This file contains CAS Registry Numbers for easy and accurate
substance identification.
'BI,IT,ST,CC' IS DEFAULT SEARCH FIELD FOR 'USPATFULL' FILE

=> s L5 and pyrrolidin?
             0 "JOSIEN H"/AU
             0 "JOSIEN H B"/AU
             9 "JOSIEN HUBERT"/AU
            13 "JOSIEN HUBERT B"/AU
         59620 PYRROLIDIN?/BI
          7205 PYRROLIDIN?/IT
          1856 PYRROLIDIN?/ST
             0 PYRROLIDIN?/CC
L6          13 L5 AND PYRROLIDIN?/BI,IT,ST,CC
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=> d L6 1-13 ibib abs

L6 ANSWER 1 OF 13 USPATFULL on STN
ACCESSION NUMBER: 2005:99587 USPATFULL
TITLE: Novel gamma secretase inhibitors
INVENTOR(S): Pissarnitski, Dmitri A., Scotch Plains, NJ, UNITED STATES
Josien, Hubert B., Hoboken, NJ, UNITED STATES
Smith, Elizabeth M., Verona, NJ, UNITED STATES
Clader, John W., Cranford, NJ, UNITED STATES
Asberom, Theodros, West Orange, NJ, UNITED STATES
Guo, Tao, Dayton, NJ, UNITED STATES
Hobbs, Douglas W., Yardley, PA, UNITED STATES
PATENT ASSIGNEE(S): Schering-Plough Corporation and Pharmacopeia, Inc. (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2005085506	A1	20050421
APPLICATION INFO.:	US 2004-941440	A1	20040915 (10)

RELATED APPLN. INFO.: Continuation-in-part of Ser. No. US 2003-663042, filed on 16 Sep 2003, PENDING Continuation-in-part of Ser. No. US 2003-358898, filed on 5 Feb 2003, PENDING

	NUMBER	DATE
PRIORITY INFORMATION:	US 2002-355618P	20020206 (60)

DOCUMENT TYPE: Utility
FILE SEGMENT: APPLICATION
LEGAL REPRESENTATIVE: SCHERING-PLOUGH CORPORATION, PATENT DEPARTMENT (K-6-1, 1990), 2000 GALLOPING HILL ROAD, KENILWORTH, NJ, 07033-0530, US
NUMBER OF CLAIMS: 31
EXEMPLARY CLAIM: 1
LINE COUNT: 4197
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB This invention discloses novel gamma secretase inhibitors of the formula: ##STR1## wherein:

R.sup.1 is a substituted aryl or substituted heteroaryl group;
R.sup.2 is an R.sup.1 group, alkyl, --XC(O)Y, alkylene-XC(O)Y, cycloalkylene-X--C(O)--Y, --CH--X--C(O)--NR.sup.3--Y or --CH--X--C(O)--Y, wherein X and Y are as defined herein;
each R.sup.3 and each R.sup.3A are independently H, or alkyl;
R.sup.11 is aryl, heteroaryl, alkyl, cycloalkyl, arylalkyl, arylcycloalkyl, heteroarylalkyl, heteroarylcycloalkyl, arylheterocycloalkyl, or alkoxyalkyl. Also disclosed is a method of treating Alzheimer's Disease using one or more compounds of the invention.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L6 ANSWER 2 OF 13 USPATFULL on STN
ACCESSION NUMBER: 2005:5015 USPATFULL
TITLE: MCH antagonists for the treatment of obesity
INVENTOR(S): Palani, Anandan, Bridgewater, NJ, UNITED STATES
Shapiro, Sherry A., Belford, NJ, UNITED STATES
Josien, Hubert B., Hoboken, NJ, UNITED STATES
Bara, Thomas A., Linden, NJ, UNITED STATES
Clader, John W., Cranford, NJ, UNITED STATES
Pushpavanam, Pradeep B., Kendall Park, NJ, UNITED STATES
Li, Shengjian, Belle Mead, NJ, UNITED STATES
McBriar, Mark D., Annandale, NJ, UNITED STATES

PATENT ASSIGNEE(S): Schering Corporation (U.S. corporation)

	NUMBER	KIND	DATE	
PATENT INFORMATION:	US 2005004121	A1	20050106	
APPLICATION INFO.:	US 2004-878788	A1	20040628	(10)

	NUMBER	DATE	
PRIORITY INFORMATION:	US 2003-483619P	20030630	(60)

DOCUMENT TYPE: Utility
FILE SEGMENT: APPLICATION
LEGAL REPRESENTATIVE: SCHERING-PLOUGH CORPORATION, PATENT DEPARTMENT (K-6-1, 1990), 2000 GALLOPING HILL ROAD, KENILWORTH, NJ, 07033-0530
NUMBER OF CLAIMS: 19
EXEMPLARY CLAIM: 1
LINE COUNT: 1337
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The present invention discloses methods of using antagonists for melanin-concentrating hormone (MCH), to treat obesity, metabolic disorders, eating disorders such as hyperphagia, and diabetes, as well as novel compounds which are antagonists for melanin-concentrating hormone (MCH). In other aspects, the invention is directed to pharmaceutical compositions comprising such MCH antagonists as well as methods for preparing such compounds. Compounds of the invention generally have the structure: ##STR1##

where the substituents are as defined herein.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L6 ANSWER 3 OF 13 USPATFULL on STN
ACCESSION NUMBER: 2004:292813 USPATFULL
TITLE: Bridged N-arylsulfonylpiperidines as gamma-secretase inhibitors
INVENTOR(S): **Josien, Hubert B.**, Hoboken, NJ, UNITED STATES
PATENT ASSIGNEE(S): Schering Corporation (U.S. corporation)

	NUMBER	KIND	DATE	
PATENT INFORMATION:	US 2004229902	A1	20041118	
APPLICATION INFO.:	US 2004-842783	A1	20040511	(10)

	NUMBER	DATE	
PRIORITY INFORMATION:	US 2003-470146P	20030513	(60)

DOCUMENT TYPE: Utility
FILE SEGMENT: APPLICATION
LEGAL REPRESENTATIVE: SCHERING-PLOUGH CORPORATION, PATENT DEPARTMENT (K-6-1, 1990), 2000 GALLOPING HILL ROAD, KENILWORTH, NJ, 07033-0530
NUMBER OF CLAIMS: 32
EXEMPLARY CLAIM: 1
LINE COUNT: 1458
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB In an embodiment, this invention discloses novel gamma secretase inhibitors of Formulae I: ##STR1##

wherein the various moieties are described herein. Also disclosed is a method of treating Alzheimer's disease using a compound of Formula I or a composition comprising the compound of Formula I.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L6 ANSWER 4 OF 13 USPATFULL on STN
ACCESSION NUMBER: 2004:221843 USPATFULL
TITLE: Novel gamma secretase inhibitors
INVENTOR(S): Pissarnitski, Dmitri A., Scotch Plains, NJ, UNITED STATES
Josien, Hubert B., Hoboken, NJ, UNITED STATES
Smith, Elizabeth M., Verona, NJ, UNITED STATES
Clader, John W., Cranford, NJ, UNITED STATES
Asberom, Theodros, West Orange, NJ, UNITED STATES
Guo, Tao, Dayton, NJ, UNITED STATES
Hobbs, Douglas W., Yardley, PA, UNITED STATES
PATENT ASSIGNEE(S): Schering-Plough Corporation (U.S. corporation)
Pharmacopeia, Inc. (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2004171614	A1	20040902
APPLICATION INFO.:	US 2003-663042	A1	20030916 (10)

RELATED APPLN. INFO.: Continuation-in-part of Ser. No. US 2003-358898, filed on 5 Feb 2003, PENDING

	NUMBER	DATE
PRIORITY INFORMATION:	US 2002-355618P	20020206 (60)

DOCUMENT TYPE: Utility
FILE SEGMENT: APPLICATION
LEGAL REPRESENTATIVE: SCHERING-PLOUGH CORPORATION, PATENT DEPARTMENT (K-6-1, 1990), 2000 GALLOPING HILL ROAD, KENILWORTH, NJ, 07033-0530
NUMBER OF CLAIMS: 31
EXEMPLARY CLAIM: 1
LINE COUNT: 3860
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB This invention discloses novel gamma secretase inhibitors of the formula: ##STR1##

wherein:

R.sup.1 is a substituted aryl or substituted heteroaryl group;

R.sup.2 is an R.sup.1 group, alkyl, --XC(O)Y, alkylene-XC(O)Y, cycloalkylene-X-C(O)--Y, --CH--X--C(O)--NR.sup.3--Y or --CH--X--C(O)--Y, wherein X and Y are as defined herein;

each R.sup.3 and each R.sup.3A are independently H, or alkyl;

R.sup.11 is aryl, heteroaryl, alkyl, cycloalkyl, arylalkyl, arylcycloalkyl, heteroarylalkyl, heteroarylcycloalkyl, arylheterocycloalkyl, or alkoxyalkyl. Also disclosed is a method of treating Alzheimer's Disease using one or more compounds of the invention.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L6 ANSWER 5 OF 13 USPATFULL on STN
ACCESSION NUMBER: 2004:64329 USPATFULL
TITLE: Novel gamma secretase inhibitors
INVENTOR(S): Pissarnitski, Dmitri A., Scotch Plains, NJ, UNITED STATES
Josien, Hubert B., Hoboken, NJ, UNITED STATES
Smith, Elizabeth M., Verona, NJ, UNITED STATES

Clader, John W., Cranford, NJ, UNITED STATES
Asberom, Theodros, West Orange, NJ, UNITED STATES
Guo, Tao, Dayton, NJ, UNITED STATES
Hobbs, Douglas W., Yardley, PA, UNITED STATES
PATENT ASSIGNEE(S): Schering-Plough Corporation and Pharmacopeia, Inc. (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2004048848	A1	20040311
APPLICATION INFO.:	US 2003-358898	A1	20030205 (10)

	NUMBER	DATE
PRIORITY INFORMATION:	US 2002-355618P	20020206 (60)

DOCUMENT TYPE: Utility
FILE SEGMENT: APPLICATION
LEGAL REPRESENTATIVE: SCHERING-PLOUGH CORPORATION, PATENT DEPARTMENT (K-6-1, 1990), 2000 GALLOPING HILL ROAD, KENILWORTH, NJ, 07033-0530
NUMBER OF CLAIMS: 19
EXEMPLARY CLAIM: 1
LINE COUNT: 3259
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB This invention discloses novel gamma secretase inhibitors of the formula: ##STR1##

wherein:

R.sup.1 is a substituted aryl or substituted heteroaryl group;

R.sup.2 is an R.sup.1 group, alkyl, --X(CO)Y, or alkylene-X(CO)Y wherein X and Y are as defined herein;

each R.sup.3 and each R.sup.3A are independently H, or alkyl;

R.sup.11 is aryl, heteroaryl, alkyl, cycloalkyl, arylalkyl, arylcycloalkyl, heteroarylalkyl, heteroarylcycloalkyl, arylheterocycloalkyl, or alkoxyalkyl. Also disclosed is a method of treating Alzheimer's Disease using one or more compounds of the invention.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L6 ANSWER 6 OF 13 USPATFULL on STN
ACCESSION NUMBER: 2004:39293 USPATFULL
TITLE: Camptothecin analogs and methods of preparation thereof
INVENTOR(S): Curran, Dennis P., Pittsburgh, PA, UNITED STATES
Josien, Hubert, Jersey City, NJ, UNITED STATES
David, Bom, Pittsburgh, PA, UNITED STATES

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2004029835	A1	20040212
APPLICATION INFO.:	US 2003-629432	A1	20030729 (10)

RELATED APPLN. INFO.: Continuation of Ser. No. US 2002-251153, filed on 20 Sep 2002, ABANDONED Continuation of Ser. No. US 2000-633561, filed on 7 Aug 2000, GRANTED, Pat. No. US 6455699 Continuation of Ser. No. US 1997-921102, filed on 29 Aug 1997, GRANTED, Pat. No. US 6150343 Continuation-in-part of Ser. No. US 1995-436799, filed on 8 May 1995, ABANDONED Continuation-in-part of Ser.

No. US 1993-85190, filed on 30 Jun 1993, ABANDONED
DOCUMENT TYPE: Utility
FILE SEGMENT: APPLICATION
LEGAL REPRESENTATIVE: HENRY E. BARTONY, JR., BARTONY & HARE, LLP, LAW & FINANCE BUILDING, SUITE 1801, 429 FOURTH AVENUE, PITTSBURGH, PA, 15219
NUMBER OF CLAIMS: 14
EXEMPLARY CLAIM: 1
NUMBER OF DRAWINGS: 6 Drawing Page(s)
LINE COUNT: 1570
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The present invention provides generally a compound having the following general formula (1): ##STR1##

wherein R.sup.1 and R.sup.2 are independently the same or different and are hydrogen, an alkyl group, an alkenyl group, a benzyl group, an alkynyl group, an alkoxyl group, an aryloxy group, an acyloxy group, a carbonyloxy group, a carbamoyloxy group, a halogen, a hydroxyl group, a nitro group, a cyano group, an azido group, a formyl group, a hydrazino group, an acyl group, an amino group, --SR.sup.c, wherein, R.sup.c is hydrogen, an acyl group, an alkyl group, or an aryl group, or R.sup.1 and R.sup.2 together form a group of the formula --O(CH.sub.2).sub.nO-- wherein n represents the integer 1 or 2; R.sup.3 is H, F, a halogen atom, a nitro group, an amino group, a hydroxyl group, or a cyano group; or R.sup.2 and R.sup.3 together form a group of the formula --O(CH.sub.2).sub.nO-- wherein n represents the integer 1 or 2; R.sup.4 is H, F, a C.sub.1-3 alkyl group, a C.sub.2-3 alkenyl group, a C.sub.2-3 alkynyl group, or a C.sub.1-3 alkoxyl group; R.sup.5 is a C.sub.1-10 alkyl group, or a propargyl group; and R.sup.6, R.sup.7 and R.sup.8 are independently a C.sub.1-10 alkyl group, a C.sub.2-10 alkenyl group, a C.sub.2-10 alkynyl group, an aryl group or a --(CH.sub.2).sub.NR.sup.9 group, wherein N is an integer within the range of 1 through 10 and R.sup.9 is a hydroxyl group, alkoxy group, an amino group, an alkylamino group, a dialkylamino group, a halogen atom, a cyano group or a nitro group; and pharmaceutically acceptable salts thereof.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L6 ANSWER 7 OF 13 USPATFULL on STN
ACCESSION NUMBER: 2003:306944 USPATFULL
TITLE: Novel gamma secretase inhibitors
INVENTOR(S): **Josien, Hubert B.**, Hoboken, NJ, UNITED STATES
Clader, John W., Cranford, NJ, UNITED STATES
Asberom, Theodros, West Orange, NJ, UNITED STATES
Pissarnitski, Dmitri A., Scotch Plains, NJ, UNITED STATES
PATENT ASSIGNEE(S): Schering Corporation (U.S. corporation)

	NUMBER	KIND	DATE	
PATENT INFORMATION:	US 2003216380	A1	20031120	
APPLICATION INFO.:	US 2002-210803	A1	20020801	(10)

	NUMBER	DATE	
PRIORITY INFORMATION:	US 2001-310068P	20010803	(60)

DOCUMENT TYPE: Utility
FILE SEGMENT: APPLICATION
LEGAL REPRESENTATIVE: SCHERING-PLOUGH CORPORATION, PATENT DEPARTMENT (K-6-1, 1990), 2000 GALLOPING HILL ROAD, KENILWORTH, NJ, 07033-0530
NUMBER OF CLAIMS: 24
EXEMPLARY CLAIM: 1

LINE COUNT: 1510
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB Novel aryl and heteroaryl sulfonamides are disclosed. The sulfonamides, which are gamma secretase inhibitors, are represented by the formula: ##STR1##

wherein Ar.sup.1 and Ar.sup.2 independently represent aryl or heteroaryl and Y represents a bond or a --(C(R.sup.3).sub.2).sub.1-3 group. Also disclosed is a method of inhibiting gamma secretase, and a method of treating Alzheimer's disease using the compounds of formula I.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L6 ANSWER 8 OF 13 USPATFULL on STN
ACCESSION NUMBER: 2003:153656 USPATFULL
TITLE: Camptothecin analogs and methods of preparation thereof
INVENTOR(S): Curran, Dennis P., Pittsburgh, PA, UNITED STATES
Josien, Hubert, Jersey City, NJ, UNITED STATES
David, Bom, Pittsburgh, PA, UNITED STATES

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2003105324	A1	20030605
APPLICATION INFO.:	US 2002-251153	A1	20020920 (10)

RELATED APPLN. INFO.: Continuation of Ser. No. US 2000-633561, filed on 7 Aug 2000, GRANTED, Pat. No. US 6455699 Continuation of Ser. No. US 1997-921102, filed on 29 Aug 1997, GRANTED, Pat. No. US 6150343 Continuation-in-part of Ser. No. US 1995-436799, filed on 8 May 1995, ABANDONED Continuation-in-part of Ser. No. US 1993-85190, filed on 30 Jun 1993, ABANDONED
DOCUMENT TYPE: Utility
FILE SEGMENT: APPLICATION
LEGAL REPRESENTATIVE: HENRY E. BARTONY, JR., LAW & FINANCE BUILDING, SUITE 1801, 429 FOURTH AVENUE, PITTSBURGH, PA, 15219
NUMBER OF CLAIMS: 14
EXEMPLARY CLAIM: 1
NUMBER OF DRAWINGS: 5 Drawing Page(s)
LINE COUNT: 1577
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB The present invention provides generally a compound having the following general formula (1): ##STR1##

wherein R.sup.1 and R.sup.2 are independently the same or different and are hydrogen, an alkyl group, an alkenyl group, a benzyl group, an alkynyl group, an alkoxyl group, an aryloxy group, an acyloxy group, a carbonyloxy group, a carbamoyloxy group, a halogen, a hydroxyl group, a nitro group, a cyano group, an azido group, a formyl group, a hydrazino group, an acyl group, an amino group, --SR.sup.c, wherein, R.sup.c is hydrogen, an acyl group, an alkyl group, or an aryl group, or R.sup.1 and R.sup.2 together form a group of the formula --O(CH.sub.2).sub.nO-- wherein n represents the integer 1 or 2; R.sup.3 is H, F, a halogen atom, a nitro group, an amino group, a hydroxyl group, or a cyano group; or R.sup.2 and R.sup.3 together form a group of the formula --O(CH.sub.2).sub.nO-- wherein n represents the integer 1 or 2; R.sup.4 is H, F, a C.sub.1-3 alkyl group, a C.sub.2-3 alkenyl group, a C.sub.2-3 alkynyl group, or a C.sub.1-3 alkoxyl group; R.sup.5 is a C.sub.1-10 alkyl group, or a propargyl group; and R.sup.6, R.sup.7 and R.sup.8 are independently a C.sub.1-10 alkyl group, a C.sub.2-10 alkenyl group, a C.sub.2-10 alkynyl group, an aryl group or a --(CH.sub.2).sub.NR.sup.9 group, wherein N is an integer within the range of 1 through 10 and R.sup.9 is a hydroxyl group, alkoxy group, an amino group, an alkylamino

group, a dialkylamino group, a halogen atom, a cyano group or a nitro group; and pharmaceutically acceptable salts thereof.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L6 ANSWER 9 OF 13 USPATFULL on STN

ACCESSION NUMBER:	2003:153426 USPATFULL
TITLE:	MCH antagonists and their use in the treatment of obesity
INVENTOR(S):	Clader, John W., Cranford, NJ, UNITED STATES **Josien, Hubert B.**, Hoboken, NJ, UNITED STATES Palani, Anandan, Bridgewater, NJ, UNITED STATES Chan, Tin Yau, Edison, NJ, UNITED STATES
PATENT ASSIGNEE(S):	Schering Corporation (U.S. corporation)

	NUMBER	KIND	DATE	
PATENT INFORMATION:	US 2003105094	A1	20030605	
	US 6900329	B2	20050531	
APPLICATION INFO.:	US 2002-100840	A1	20020319	(10)

	NUMBER	DATE
PRIORITY INFORMATION:	US 2001-277584P	20010321 (60)

DOCUMENT TYPE:	Utility
FILE SEGMENT:	APPLICATION
LEGAL REPRESENTATIVE:	SCHERING-PLOUGH CORPORATION, PATENT DEPARTMENT (K-6-1, 1990), 2000 GALLOPING HILL ROAD, KENILWORTH, NJ, 07033-0530
NUMBER OF CLAIMS:	30
EXEMPLARY CLAIM:	1
LINE COUNT:	3774

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The present invention discloses compounds which, are novel antagonists for melanin-concentrating hormone (MCH), as well as methods for preparing such compounds. In another embodiment, the invention discloses pharmaceutical compositions comprising such MCH antagonists as well as methods of using them to treat obesity, metabolic disorders, eating disorders such as hyperphagia, and diabetes.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L6 ANSWER 10 OF 13 USPATFULL on STN

ACCESSION NUMBER:	2002:338227 USPATFULL
TITLE:	Camptothecin analogs and methods of preparation thereof
INVENTOR(S):	Curran, Dennis P., Pittsburgh, PA, UNITED STATES **Josien, Hubert**, Jersey City, NJ, UNITED STATES Bom, David, Pittsburgh, PA, UNITED STATES Burke, Thomas G., Lexington, KY, UNITED STATES

	NUMBER	KIND	DATE	
PATENT INFORMATION:	US 2002193598	A1	20021219	
	US 6743917	B2	20040601	
APPLICATION INFO.:	US 2002-134781	A1	20020429	(10)
RELATED APPLN. INFO.:	Continuation of Ser. No. US 2000-613968, filed on 11 Jul 2000, ABANDONED Continuation of Ser. No. US 1998-212178, filed on 15 Dec 1998, GRANTED, Pat. No. US 6136978 Continuation-in-part of Ser. No. US 1997-921102, filed on 29 Aug 1997, GRANTED, Pat. No. US 6150343 Continuation-in-part of Ser. No. US 1995-436799, filed on 8 May 1995, ABANDONED			

Continuation-in-part of Ser. No. US 1993-85190, filed on 30 Jun 1993, ABANDONED
DOCUMENT TYPE: Utility
FILE SEGMENT: APPLICATION
LEGAL REPRESENTATIVE: HENRY E. BARTONY, JR, BARTONY & HARE, LAW & FINANCE BUILDING, SUITE 1801, 429 FOURTH AVENUE, PITTSBURGH, PA, 15219
NUMBER OF CLAIMS: 59
EXEMPLARY CLAIM: 1
NUMBER OF DRAWINGS: 25 Drawing Page(s)
LINE COUNT: 2780
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB A compound and a method of synthesizing a compound having the following general formula (1): ##STR1##

wherein R.sup.1 and R.sup.2 are independently the same or different and are hydrogen, an alkyl group, an alkenyl group, a benzyl group, an alkynyl group, an alkoxy group, an aryloxy group, an acyloxy group, --OC(O)OR.sup.d, wherein R.sup.d is an alkyl group, a carbamoyloxy group, a halogen, a hydroxy group, a nitro group, a cyano group, an azido group, a formyl group, a hydrazino group, an acyl group, an amino group, --SR.sup.c, wherein, R.sup.c is hydrogen, an acyl group, an alkyl group, or an aryl group, or R.sup.1 and R.sup.2 together form a group of the formula --O(CH.sub.2).sub.nO-- wherein n represents the integer 1 or 2; R.sup.3 is H, F, a halogen atom, a nitro group, an amino group, a hydroxy group, or a cyano group; or R.sup.2 and R.sup.3 together form a group of the formula --O(CH.sub.2).sub.nO-- wherein n represents the integer 1 or 2; R.sup.8 is H, a trialkylsilyl group, F, a C.sub.1-3 alkyl group, a C.sub.2-3 alkenyl group, a C.sub.2-3 alkynyl group, or a C.sub.1-3 alkoxy group; R.sup.5 is a C.sub.1-10 alkyl group, an allyl group, a benzyl group or a propargyl group; and R.sup.6, R.sup.7 and R.sup.8 are independently a C.sub.1-10 alkyl group, a C.sub.2-10 alkenyl group, a C.sub.2-10 alkynyl group, an aryl group or a --(CH.sub.2).sub.NR.sup.9 group, wherein N is an integer within the range of 1 through 10 and R.sup.9 is a hydroxy group, alkoxy group, an amino group, an alkylamino group, a dialkylamino group, a halogen atom, a cyano group or a nitro group; and R.sup.11 is an alkylene group or an alkenylene group, and pharmaceutically acceptable salts thereof.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L6 ANSWER 11 OF 13 USPATFULL on STN
ACCESSION NUMBER: 2002:246858 USPATFULL
TITLE: Camptothecin analogs and methods of preparation thereof
INVENTOR(S): Curran, Dennis P., Pittsburgh, PA, United States
Josien, Hubert, Jersey City, NJ, United States
David, Bom, Pittsburgh, PA, United States
PATENT ASSIGNEE(S): University of Pittsburgh, Pittsburgh, PA, United States (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 6455699	B1	20020924
APPLICATION INFO.:	US 2000-633561		20000807 (9)

RELATED APPLN. INFO.: Continuation of Ser. No. US 1997-921102, filed on 29 Aug 1997, now patented, Pat. No. US 6150343 Continuation-in-part of Ser. No. US 1995-436799, filed on 8 May 1995, now abandoned Continuation-in-part of Ser. No. US 1993-85190, filed on 30 Jun 1993, now abandoned
DOCUMENT TYPE: Utility
FILE SEGMENT: GRANTED

PRIMARY EXAMINER: Berch, Mark L.
LEGAL REPRESENTATIVE: Bartony & Hare
NUMBER OF CLAIMS: 8
EXEMPLARY CLAIM: 1
NUMBER OF DRAWINGS: 6 Drawing Figure(s); 6 Drawing Page(s)
LINE COUNT: 1609
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB The present invention provides generally a compound having the following general formula (1): ##STR1##

wherein R.sup.1 and R.sup.2 are independently the same or different and are hydrogen, an alkyl group, an alkenyl group, a benzyl group, an alkynyl group, an alkoxyl group, an aryloxy group, an acyloxy group, --OC(O)OR.sup.d, wherein R.sup.d is an alkyl group, a carbamoyloxy group, a halogen, a hydroxyl group, a nitro group, a cyano group, an azido group, a formyl group, a hydrazino group, an acyl group, an amino group, --SR.sup.c, wherein, R.sup.c is hydrogen, an acyl group, an alkyl group, or an aryl group, or R.sup.1 and R.sup.2 together form a group of the formula --O(CH.sub.2).sub.nO-- wherein n represents the integer 1 or 2; R.sup.3 is H, F, a halogen atom, a nitro group, an amino group, a hydroxyl group, or a cyano group; or R.sup.2 and R.sup.3 together form a group of the formula --O(CH.sub.2).sub.nO-- wherein n represents the integer 1 or 2; R.sup.4 is H, F, a C.sub.1-3 alkyl group, a C.sub.2-3 alkenyl group, a C.sub.2-3 alkynyl group, or a C.sub.1-3 alkoxyl group; R.sup.5 is a C.sub.1-10 alkyl group, or a propargyl group; and R.sup.6, R.sup.7 and R.sup.8 are independently a C.sub.1-10 alkyl group, a C.sub.2-10 alkenyl group, a C.sub.2-10 alkynyl group, an aryl group or a --(CH.sub.2).sub.NR.sup.9 group, wherein N is an integer within the range of 1 through 10 and R.sup.9 is a hydroxyl group, alkoxy group, an amino group, an alkylamino group, a dialkylamino group, a halogen atom, a cyano group or a nitro group; and pharmaceutically acceptable salts thereof.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L6 ANSWER 12 OF 13 USPATFULL on STN
ACCESSION NUMBER: 2000:157394 USPATFULL
TITLE: Camptothecin analogs and methods of preparation thereof
INVENTOR(S): Curran, Dennis P., Pittsburgh, PA, United States
Josien, Hubert, Jersey City, NJ, United States
David, Bom, Pittsburgh, PA, United States
PATENT ASSIGNEE(S): University of Pittsburgh, Pittsburgh, PA, United States (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 6150343		20001121
APPLICATION INFO.:	US 1997-921102		19970829 (8)

RELATED APPLN. INFO.: Continuation-in-part of Ser. No. US 1995-436799, filed on 8 May 1995 which is a continuation-in-part of Ser. No. US 1993-85190, filed on 30 Jun 1993
DOCUMENT TYPE: Utility
FILE SEGMENT: Granted
PRIMARY EXAMINER: Berch, Mark L
LEGAL REPRESENTATIVE: Bartony & Hare
NUMBER OF CLAIMS: 14
EXEMPLARY CLAIM: 1
NUMBER OF DRAWINGS: 6 Drawing Figure(s); 6 Drawing Page(s)
LINE COUNT: 1533
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB The present invention provides generally a compound having the following general formula (1): ##STR1## wherein R.sup.1 and R.sup.2 are

independently the same or different and are hydrogen, an alkyl group, an alkenyl group, a benzyl group, an alkynyl group, an alkoxyl group, an aryloxy group, an acyloxy group, a OC(O)OR.sup.d group, a carbamoyloxy group, a halogen, a hydroxyl group, a nitro group, a cyano group, an azido group, a formyl group, a hydrazino group, an acyl group, an amino group, --SR.sup.c, wherein, R.sup.c is hydrogen, an acyl group, an alkyl group, or an aryl group, or R.sup.1 and R.sup.2 together form a group of the formula --O(CH.sub.2).sub.n O-- wherein n represents the integer 1 or 2; R.sup.3 is H, F, a halogen atom, a nitro group, an amino group, a hydroxyl group, or a cyano id group; or R.sup.2 and R.sup.3 together form a group of the formula --O(CH.sub.2).sub.n O-- wherein n represents the integer 1 or 2; R.sup.4 is H, F, a C.sub.1-3 alkyl group, a C.sub.2-3 alkenyl group, a C.sub.2-3 alkynyl group, or a C.sub.1-3 alkoxyl group; R.sup.5 is a C.sub.1-10 alkyl group, or a propargyl group; and R.sup.6, R.sup.7 and R.sup.8 are independently a C.sub.1-10 alkyl group, a C.sub.2-10 alkenyl group, a C.sub.2-10 alkynyl group, an aryl group or a --(CH.sub.2).sub.N R.sup.9 group, wherein N is an integer within the range of 1 through 10 and R.sup.9 is a hydroxyl group, alkoxy group, an amino group, an alkylamino group, a dialkylamino group, a halogen atom, a cyano group or a nitro group; and pharmaceutically acceptable salts thereof.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L6 ANSWER 13 OF 13 USPATFULL on STN

ACCESSION NUMBER:	2000:142544 USPATFULL
TITLE:	Camptothecin analogs and methods of preparation thereof
INVENTOR(S):	Curran, Dennis P., Pittsburgh, PA, United States **Josien, Hubert**, Jersey City, NJ, United States Bom, David, Pittsburgh, PA, United States Burke, Thomas G., Lexington, KY, United States
PATENT ASSIGNEE(S):	University of Pittsburgh, Pittsburgh, PA, United States (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 6136978		20001024
APPLICATION INFO.:	US 1998-212178		19981215 (9)

RELATED APPLN. INFO.:	Continuation-in-part of Ser. No. US 1997-921102, filed on 29 Aug 1997 which is a continuation-in-part of Ser. No. US 1995-436799, filed on 8 May 1995, now abandoned which is a continuation-in-part of Ser. No. US 1993-85190, filed on 30 Jun 1993, now abandoned
DOCUMENT TYPE:	Utility
FILE SEGMENT:	Granted
PRIMARY EXAMINER:	Raymond, Richard L.
ASSISTANT EXAMINER:	Schroeder, Ben
LEGAL REPRESENTATIVE:	Bartony & Hare
NUMBER OF CLAIMS:	17
EXEMPLARY CLAIM:	1
NUMBER OF DRAWINGS:	28 Drawing Figure(s); 25 Drawing Page(s)
LINE COUNT:	2539

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB A compound and a method of synthesizing a compound having the following general formula (1): ##STR1## wherein R.sup.1 and R.sup.2 are independently the same or different and are hydrogen, an alkyl group, an alkenyl group, a benzyl group, an alkynyl group, an alkoxy group, an aryloxy group, an acyloxy group, --OC(O)OR.sup.d, wherein R.sup.d is an alkyl group, a carbamoyloxy group, a halogen, a hydroxy group, a nitro group, a cyano group, an azido group, a formyl group, a hydrazino group, an acyl group, an amino group, --SR.sup.c, wherein, R.sup.c is hydrogen, an acyl group, an alkyl group, or an aryl group, or R.sup.1 and R.sup.2

together form a group of the formula --O(CH.sub.2).sub.n O-- wherein n represents the integer 1 or 2; R.sup.3 is H, F, a halogen atom, a nitro group, an amino group, a hydroxy group, or a cyano group; or R.sup.2 and R.sup.3 together form a group of the formula --O(CH.sub.2).sub.n O-- wherein n represents the integer 1 or 2; R.sup.4 is H, a trialkylsilyl group, F, a C.sub.1-3 alkyl group, a C.sub.2-3 alkenyl group, a C.sub.2-3 alkynyl group, or a C.sub.1-3 alkoxy group; R.sup.5 is a C.sub.1-10 alkyl group, an allyl group, a benzyl group or a propargyl group; and R.sup.6, R.sup.7 and R.sup.8 are independently a C.sub.1-10 alkyl group, a C.sub.2-10 alkenyl group, a C.sub.2-10 alkynyl group, an aryl group or a --(CH.sub.2).sub.N R.sup.9 group, wherein N is an integer within the range of 1 through 10 and R.sup.9 is a hydroxy group, alkoxy group, an amino group, an alkylamino group, a dialkylamino group, a halogen atom, a cyano group or a nitro group; and R.sup.11 is an alkylene group or an alkenylene group, and pharmaceutically acceptable salts thereof.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

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COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	26.36	452.80

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	0.00	-37.23

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USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

FILE COVERS 1907 - 12 Jun 2005 VOL 142 ISS 25
FILE LAST UPDATED: 10 Jun 2005 (20050610/ED)

New CAS Information Use Policies, enter HELP USAGETERMS for details.

This file contains CAS Registry Numbers for easy and accurate substance identification.

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DHIS IS NOT A RECOGNIZED COMMAND
The previous command name entered was not recognized by the system.
For a list of commands available to you in the current file, enter
"HELP COMMANDS" at an arrow prompt (=>).

=> d his

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                EXP JOSIEN HUBERT/AU
L5           44 S E1-E4

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L6           13 S L5 AND PYRROLIDIN?

     FILE 'CAPLUS' ENTERED AT 18:50:15 ON 12 JUN 2005

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         58083 PYRROLIDIN?
L7           3 L5 AND PYRROLIDIN?

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L7   ANSWER 1 OF 3  CAPLUS  COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER:         2005:346733  CAPLUS
DOCUMENT NUMBER:          142:411239
TITLE:                    Preparation of 1-(arylsulfonyl)piperidines as
                          γ-secretase inhibitors for treatment of
                          neurodegenerative diseases
INVENTOR(S):              Pissarnitski, Dmitri A.; Josien, Hubert B.;
                          Smith, Elizabeth M.; Clader, John W.; Asberom,
                          Theodros; Guo, Tao; Hobbs, Douglas W.
PATENT ASSIGNEE(S):       Schering-Plough Corp., USA; Pharmacopeia, Inc.
SOURCE:                   U.S. Pat. Appl. Publ., 170 pp., Cont.-in-part of U.S.
                          Ser. No. 663,042.
                          CODEN: USXXCO
DOCUMENT TYPE:            Patent
LANGUAGE:                 English
FAMILY ACC. NUM. COUNT:   3
PATENT INFORMATION:

     PATENT NO.           KIND   DATE        APPLICATION NO.          DATE
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     US 2005085506         A1    20050421    US 2004-941440           20040915
     US 2004048848         A1    20040311    US 2003-358898           20030205
     US 2004171614         A1    20040902    US 2003-663042           20030916
PRIORITY APPLN. INFO.:                       US 2002-355618P      P   20020206
                                             US 2003-358898       A2  20030205
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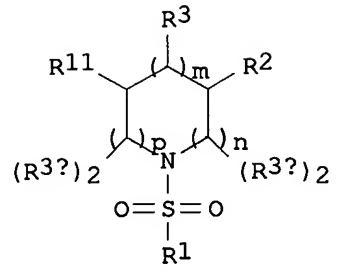

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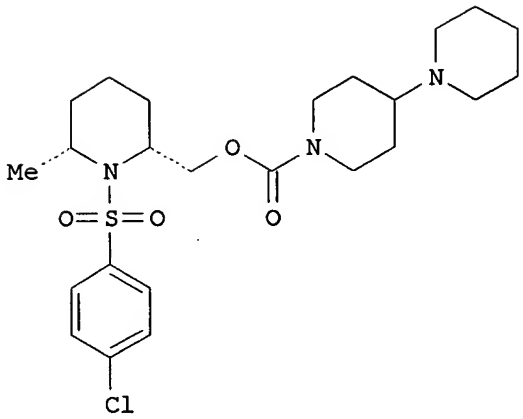

II

AB Title compds. I [wherein R1 = (un)substituted (hetero)aryl; R2 = alkyl, XCOY, alkylene-XCOY, alkylene-cycloalkylene-alkylene-XCOY, or (un)substituted (hetero)aryl, etc.; R3 = H, alkyl, OH, alkoxy, etc.; R3a, R3b = independently H or alkyl; R11 = (un)substituted (hetero)aryl, alkyl, (hetero)cycloalkyl, etc.; X = O, NH, N-alkyl, or O-alkylene; Y = (un)substituted amino, hydrazino, (hetero)aryl, alkyl, (hetero)cycloalkyl, etc.; m = 0-3; n = 0-3; p = 0-3; and pharmaceutically acceptable salts and solvates thereof] were prepared as γ-secretase inhibitors, which inhibit the deposition of β-amyloid protein. For example, trans-(tert-butoxycarbonyl)-2-formyl-6-methylpiperidine was epimerized using K2CO3. The aldehyde was converted to the alc. with NaBH4 and protected with t-BuPh2SiCl. Addition of 4-chlorobenzenesulfonyl chloride gave the sulfonamide. Deprotection of the alc., followed by coupling with 4-nitrophenylchlorocarbonate, and addition of 4-(1-piperidino)piperidine provided II. The latter inhibited γ-secretase activity in transfected human APP cells with an IC50 value in the range of about 0.0002 μM to about 15 μM. Thus, I and their pharmaceutical compns. are useful for the treatment of neurodegenerative disease, such as Alzheimer's disease (no data).

L7 ANSWER 2 OF 3 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER:	2004:722916 CAPLUS
DOCUMENT NUMBER:	141:207066
TITLE:	Preparation of 1-(arylsulfonyl)piperidines as γ-secretase inhibitors for treatment of neurodegenerative diseases
INVENTOR(S):	Pissarnitski, Dmitri A.; **Josien, Hubert B.**; Smith, Elizabeth M.; Clader, John W.; Asberom, Theodros; Guo, Tao; Hobbs, Douglas W.
PATENT ASSIGNEE(S):	Schering-Plough Corporation, USA; Pharmacopeia, Inc.
SOURCE:	U.S. Pat. Appl. Publ., 155 pp., Cont.-in-part of U.S. Ser. No. 358,898. CODEN: USXXCO
DOCUMENT TYPE:	Patent

LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2004171614	A1	20040902	US 2003-663042	20030916
US 2004048848	A1	20040311	US 2003-358898	20030205
WO 2005028440	A1	20050331	WO 2004-US30191	20040915
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US 2005085506	A1	20050421	US 2004-941440	20040915

PRIORITY APPLN. INFO.: US 2002-355618P P 20020206
US 2003-358898 A2 20030205
US 2003-663042 A 20030916

OTHER SOURCE(S): MARPAT 141:207066
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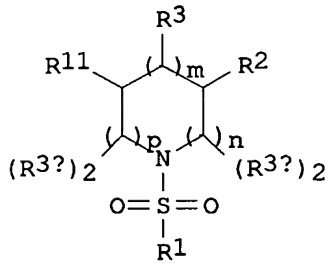

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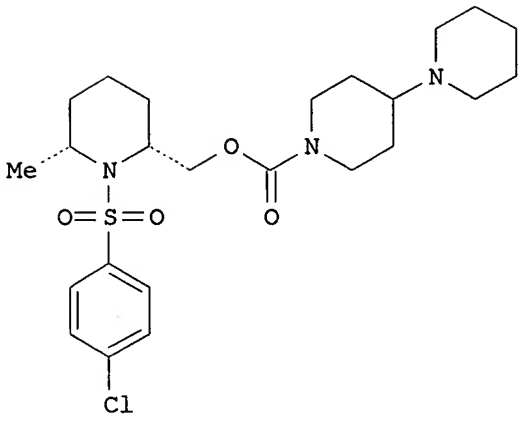

II

AB Title compds. I [wherein R1 = (un)substituted (hetero)aryl; R2 = alkyl, XCOY, alkylene-XCOY, alkylene-cycloalkylene-alkylene-XCOY, or (un)substituted (hetero)aryl; R3, R3a, and R3b = independently H or alkyl; R11 = (un)substituted (hetero)aryl, alkyl, (hetero)cycloalkyl, etc.; X = O, NH, N-alkyl, or O-alkylene; Y = (un)substituted amino, hydrazino,

(hetero)aryl, alkyl, (hetero)cycloalkyl, etc.; m = 0-3; n = 0-3; p = 0-3; and pharmaceutically acceptable salts and solvates thereof] were prepared as γ-secretase inhibitors, which inhibit the deposition of β-amyloid protein. For example, trans-(tert-butoxycarbonyl)-2-formyl-6-methylpiperidine was epimerized using K2CO3. The aldehyde was converted to the alc. with NaBH4 and protected with t-BuPh2SiCl. Addition of 4-chlorobenzenesulfonyl chloride gave the sulfonamide. Deprotection of the alc., followed by coupling with 4-nitrophenylchloroformate, and addition of 4-(1-piperidino)piperidine provided II. The latter inhibited γ-secretase activity in transfected human APP cells with an IC50 value in the range of about 0.0002 μM to about 15 μM. Thus, I and their pharmaceutical compns. are useful for the treatment of neurodegenerative disease, such as Alzheimer's disease (no data).

L7 ANSWER 3 OF 3 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2003:133040 CAPLUS
DOCUMENT NUMBER: 138:170082
TITLE: Preparation of piperidinylsulfonamides as γ-secretase inhibitors
INVENTOR(S): **Josien, Hubert B.**; Clader, John W.; Asberom, Theodros; Pissarnitski, Dmitri A.
PATENT ASSIGNEE(S): Schering Corporation, USA
SOURCE: PCT Int. Appl., 90 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
WO 2003013527	A1	20030220	WO 2002-US24293		20020801
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, HR, HU, ID, IL, IN, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LU, LV, MA, MD, MG, MK, MN, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UZ, VN, YU, ZA, ZM, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM					
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG					
CA 2455861	AA	20030220	CA 2002-2455861		20020801
US 2003216380	A1	20031120	US 2002-210803		20020801
EP 1411944	A1	20040428	EP 2002-761207		20020801
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK					
JP 2005504042	T2	20050210	JP 2003-518536		20020801
PRIORITY APPLN. INFO.:			US 2001-310068P	P	20010803
			WO 2002-US24293	W	20020801

OTHER SOURCE(S): MARPAT 138:170082
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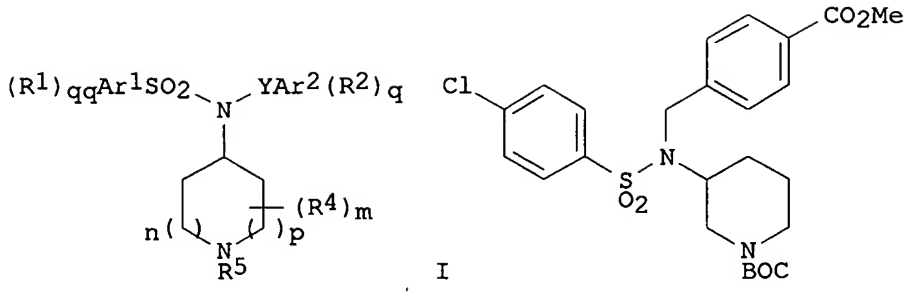

AB Title compds. [I; Ar1, Ar2 = aryl, heteroaryl; Y = bond, [C(R3)2]1-3; R1 = halo, CF3, OCF3, cyano, amino, alkyl, alkylaminocarbonyl, (substituted) aryl, heteroaryl, etc.; R2 = alkyl, halo, CF3, OCF3, cyano, NO2, amino, OH, alkoxycarbonyl, alkylaminocarbonyl, alkoxy, aryloxy, etc.; R3 = H, alkyl; R4 = alkyl, OH, alkoxy; R5 = H, alkyl, aryl, heteroaryl, alkoxyalkylene, alkylcarbonyl, arylcarbonyl, alkoxycarbonyl, alkylsulfonyl, alkylaminosulfonyl, etc.; m, n, p, q, qq = 0-3], were prepared Thus, 3-amino-1-tert-butoxycarbonylpiperidine, Me 4-formylbenzoate, and 4Å mol. sieves were stirred together in MeOH overnight; NaBH4 was added followed by 3 h stirring to give 85% benzylpiperidinylamine derivative This was stirred 2 days with 4-ClC6H4SO2Cl and Et3N in CH2Cl2 to give 77% title compound (II). I inhibited γ-secretase with IC50 = 0.028-69.550 μM.

REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	11.19	463.99

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	-2.19	-39.42

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